PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 :
C07D 215/48, A61K 31/47, C07D 417/12, 417/14, 215/36, 403/12, C07F 7/10, C07D 405/06, 215/60, 401/12, 413/12, 405/12

A1

(11) International Publication Number: WO 98/11073

(43) International Publication Date: 19 March 1998 (19.03.98)

(21) International Application Number: PCT/US97/15310

(22) International Filing Date: 5 September 1997 (05.09.97)

(30) Priority Data:

60/025,870	10 September 1996 (10.09.96)	US
60/050,720	25 June 1997 (25.06.97)	US

(71) Applicant *(for all designated States except US)*: PHARMACIA & UPJOHN COMPANY [US/US]; 301 Henrietta Street, Kalamazoo, MI 49001 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: VAILLANCOURT, Valerie, A. [US/US]; 4342 Bronson Boulevard, Kalamazoo, MI 49008 (US). ROMINES, Karen, R. [US/US]; 62181 41st Street, Paw Paw, MI 49079 (US). ROMERO, Arthur, G. [US/US]; 6629 Morningstar Way, Kalamazoo, MI 49009 (US). TUCKER, John, A. [US/US]; Apartment 301, 3721 Greenleaf Circle, Kalamazoo, MI 49008 (US). STROHBACH, Joseph, W. [US/US]; 54490 M-66, Mendon, MI 49072 (US). BEZENCON, Olivier [SE/US]; 254 Lake Ridge Drive, Kalamazoo, MI 49006 (US). THAISRIVONGS, Suvit [US/US]; 5695 Swallow, Kalamazoo, MI 49002 (US).

(74) Agent: GAMMILL, Martha, A.; Pharmacia & Upjohn Company, Intellectual Property Legal Services, 301 Henrietta Street, Kalamazoo, MI 49001 (US).

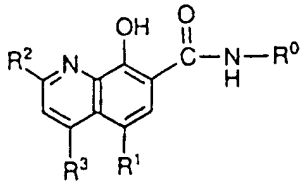
(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, ARIPO patent (GH, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).

Published

With international search report.

Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.

(54) Title: 8-HYDROXY-7-SUBSTITUTED QUINOLINES AS ANTI-VIRAL AGENTS



(IA)

(57) Abstract

The present invention provides for 8-hydroxy-7-substituted quinoline compounds such as formula (IA). These compounds are useful as anti-viral agents. Specifically, these compounds have anti-viral activity against the herpes virus, cytomegalovirus (CMV). Many of these compounds are also active against other herpes viruses, such as the varicella zoster virus, the Epstein-Barr virus, the herpes simplex virus and the human herpes virus type 8 (HHV-8).

FOR THE PURPOSES OF INFORMATION ONLY

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AL	Albania	ES	Spain	LS	Lesotho	SI	Slovenia
AM	Armenia	FI	Finland	LT	Lithuania	SK	Slovakia
AT	Austria	FR	France	LU	Luxembourg	SN	Senegal
AU	Australia	GA	Gabon	LV	Latvia	SZ	Swaziland
AZ	Azerbaijan	GB	United Kingdom	MC	Monaco	TD	Chad
BA	Bosnia and Herzegovina	GE	Georgia	MD	Republic of Moldova	TG	Togo
BB	Barbados	GH	Ghana	MG	Madagascar	TJ	Tajikistan
BE	Belgium	GN	Guinea	MK	The former Yugoslav Republic of Macedonia	TM	Turkmenistan
BF	Burkina Faso	GR	Greece			TR	Turkey
BG	Bulgaria	HU	Hungary	ML	Mali	TT	Trinidad and Tobago
BJ	Benin	IE	Ireland	MN	Mongolia	UA	Ukraine
BR	Brazil	IL	Israel	MR	Mauritania	UG	Uganda
BY	Belarus	IS	Iceland	MW	Malawi	US	United States of America
CA	Canada	IT	Italy	MX	Mexico	UZ	Uzbekistan
CF	Central African Republic	JP	Japan	NE	Niger	VN	Viet Nam
CG	Congo	KE	Kenya	NL	Netherlands	YU	Yugoslavia
CH	Switzerland	KG	Kyrgyzstan	NO	Norway	ZW	Zimbabwe
CI	Côte d'Ivoire	KP	Democratic People's Republic of Korea	NZ	New Zealand		
CM	Cameroon			PL	Poland		
CN	China	KR	Republic of Korea	PT	Portugal		
CU	Cuba	KZ	Kazakstan	RO	Romania		
CZ	Czech Republic	LC	Saint Lucia	RU	Russian Federation		
DE	Germany	LI	Liechtenstein	SD	Sudan		
DK	Denmark	LK	Sri Lanka	SE	Sweden		
EE	Estonia	LR	Liberia	SG	Singapore		

8-HYDROXY-7-SUBSTITUTED QUINOLINES AS ANTI-VIRAL AGENTS

FIELD OF THE INVENTION

The present invention provides for 8-hydroxy-7-substituted quinoline compounds and pharmaceutically acceptable salts thereof which are useful as antiviral agents. The invention also relates to a pharmaceutical composition containing such compound in combination with a suitable excipient, the composition being useful in combating viral infections. The invention also relates to a method for selectively combating viral infections in animals, including man. Specifically, these compounds have anti-viral activity against the herpes virus, cytomegalovirus (CMV). Many of these compounds are also active against other herpes viruses, such as the varicella zoster virus, the Epstein-Ban virus, the herpes simplex virus, and the human herpes virus type 8 (HHV-8).

BACKGROUND OF THE INVENTION

The herpesviruses comprise a large family of double stranded DNA viruses. The herpesvirus family can be divided into three subfamilies (α, β, γ) based upon a number of biological properties such as host range and tropism, viral life cycle, and viral persistence and latency. Eight of the herpesviruses, herpes simplex virus types 1 and 2 (HSV-1 and HSV-2), varicella zoster virus (VZV), human cytomegalovirus (HCMV), Epstein-Barr virus (EBV), and human herpes viruses 6, 7, and 8 (HHV-6, HHV-7, and HHV-8), have been shown to infect humans.

HSV-1 and HSV-2 are the prototypic α-herpesviruses. These two serotypes share approximately 50% nucleotide homology. Both are neurotropic viruses, but their primary sites of replication are different. HSV-1 typically infects the oral mucosa resulting in ulcerations commonly refered to as cold sores. HSV-2 infects and cuases ulcerations of the genital mucosa. HSV infection can also result in disseminated disease and encephalitis, especially in immunocompromised patients. D.O. White and F.J. Fenner, In Medical Virology, D.O. White and F.J. Fenner, eds., Academic Press, p. 318-347 (1994).

VZV is also an α-herpesvirus and is the causitive agent of chicken pox. VZV establishes a latent infection in the dorsal root ganglia of the peripheral nervous system. From its latent site, VZV can cause recurrent disease commonly refered to as shingles or zoster. The probability of shingles increases with age and frequently occurs in immunocompromised patients. A.M. Arvin, In Virology, B.N. Field, D.M. Knipe, and P.M. Howley, ed., Lippincott-Raven Press, New York, p. 2547-2586 (1996).

Human cytomegalovirus (HCMV), a β-herpesvirus, is an ubiquitous agent producing infection in individuals of all age groups. Infection rates of 60-100%, depending on geographic area and socioeconomic status have been reported. R.J. Whitley, S. Goldsmith and J. Gnann, In Society for General Microbiology. 45th Symposium: Control of Virus Diseases, Mimmock, N.J.; P.D. Griffiths and C.R. Madely, eds., Cambridge University Press, Cambridge, p. 315 (1990). The majority of infections are asymptomatic. However infections occuring in the immuno-compromised patient, including organ transplant recipients and individuals with AIDS may be severe and include HCMV induced pneumonia, colitis, and retinitis. L.W. Drew, Clin. Infect. Dis. 14:608-615 (1992). HCMV is the leading cause of blindness in AIDS patients. T.C. Merigan and S. Resta, Rev. Infect. Dis.12:S693 (1990). HCMV also establishes lifelong latency in the host.

HCMV DNA polymerase (HCMV pol) is an enzyme essential for viral replication. D.H. Spector, K.M. Klucher, D.K. Rabert and D.A. Wright, In Herpesvirus Transcription and Its Regulation, E.K. Wagner, ed., CRC Press, Boca Raton, FL, p. 261 (1991). The current therapies for HCMV; Ganciclovir, Foscarnet and Vistide act by inhibition of HCMV pol. A.K. Field and K.K. Biron, Clin. Micro. Reviews 7:(1) 1-13 (1994). See also US Patents 4,199,574; 4,215,113; 4,355,032; and E. DeClercq et al., Antiviral Research, Vol 8, pages 261-272 (1987). Ganciclovir and Foscarnet display significant toxicity and induction therapy is restricted to an intravenous route of administration. D. Faulds and R.C. Heel, Drugs, 39:597 (1990). Maintenance therapy with Ganciclovir and Foscarnet will likely contribute to drug resistant virus. A.K. Field and K.K. Biron, Clin. Micro. Reviews 7:(1) 1-13 (1994). Clearly less toxic, orally bioavailable alternatives are needed.

EBV is a γ-herpesvirus which replicates in the epithelial cells of the nasopharynx and salivary glands and resides latently in B-cells. Childhood infections of EBV are normally asymptomatic. However, EBV infection is associated with several diseases in adults such as infectious mononucleosis, Burkitt's lymphoma, nasopharyngeal carcinoma, and Hodgkins disease. A.B. Rickinson and E. Kieff, In Virology, B.N. Fields, D.M. Knipe, and P.M. Howley, eds., Lippincott-Raven Press, New York, p. 2397-2446 (1996).

HHV-6 is a β-herpesvirus which causes roseola (exanthem subitum) in children. P. Lusso, Antivir. Res. 31:1-21 (1996). HHV-7 shares 50-60% nucleotide sequence homology with HHV-6. It's disease association is unclear, but it may be involved in some cases of roseola. N. Frenkel and E. Roffman, In Virology, B.N. Fields, D.M. Knipe, P.M. Howley, eds., Lippincott-Raven Press, New York, p. 2609-

2622 (1996). HHV-8, also known as Kaposi's sarcoma associated herpesvirus (KSHV), is a γ-herpesvirus which has recently been associated with Kaposi's sarcoma in AIDS patients and multiple myeloma. M.B. Rettig et al., Science, 276:1851-1854 (1997).

INFORMATION DISCLOSURE

Published Japanese patent application H1-136152 published 29 May 1989 discloses a silver halide photographic light-sensitive material comprising a support, and thereon, at least 1 silver halide emulsion layer containing a cyan dye-forming coupler represented by a broad generic formula. This broad generic formula includes 8-hydroxy-quinoline derivatives substituted by a wide variety of substituents, e.g., substituted carboxamide groups at the 7-position. None of the specific compounds disclosed in this reference are structurally similar to the compounds of the present invention. Also, the compounds of the present invention are useful as pharmaceutical agents, specifically HCMV inhibitors, whereas the reference compounds are useful in color photography.

Published Japanese patent application HEI 3-73949 published 28 March 1991 discloses a thermally developable color light-sensitive material comprising at least a light-sensitive silver halide, a reducing agent, a binder, and a coupler represented by a first generic formula and/or a second generic formula on a support. These broad generic formulas include 8-hydroxy-quinoline derivatives substituted by a wide variety of substituents, e.g., substituted carboxamide groups at the 7-position. As noted for the previous Japanese reference, none of the specific compounds disclosed in this reference are structurally similar to the compounds of the present invention. Also, the compounds of the present invention are useful as pharmaceutical agents, specifically HCMV inhibitors, whereas the reference compounds are useful in color photography.

Published Japanese patent application 02152966 A2 discloses 4-hydroxy-carbostyryl derivatives as anti-allergy and antiinflammatory agents. The compounds of the present invention are 1-(N-unsubstituted)- 8-hydroxy-7-quinoline-carboxamides.

US Patent No. 4,959,363 discloses 1-(N-substituted)-1,4-dihydro-4-oxo-6-and/or-7-substituted-3-quinolinecarboxamides as antiviral agents. The compounds of the present invention are 1-(N-unsubstituted)-8-hydroxy-7-quinolinecarboxamides.

US Patent Nos. 5,459,146 and 5,506,236 disclose 4-substituted-3-alkyl-pyrazolo[3,4-b]quinoline compounds as antiviral agents. Basically, these compounds are the tricyclic version of compounds such as those disclosed in the '363 patent

above, and are structurally very different from the compounds of the present invention.

US Patent No. 5,378,694 discloses compounds such as 1-(N-substituted)-3-substituted-4-hydroxy-2-quinolinones, and generically, 3-substituted-4-hydroxycoumarin compounds as antiviral agents. US Patent No. 5,412,104 discloses compounds similar to those disclosed in the '694 patent for anti-viral or anti-hypertensive use; however, these 1-(N-substituted) reference compounds are disclosed as having substituents other than hydroxy at the 4-position of the quinolinone ring. The compounds of the present invention are 1-(N-unsubstituted)-8-hydroxy-7-quinolinecarboxamides.

German patent DE 1 908 548 discloses a variety of compounds including 4-hydroxy-quinoline compounds which may be substituted at the 3-position by carboxamide groups, and which are useful against cold viruses.

Published German patent application DE 44 25 647 A1 discloses heterocyclic-1-phenyl substituted quinolone and naphthyridone carboxylic acids for treating retroviral infections; Published German patent application DE 44 25 648 A1 discloses 6 and 6,8-substituted 1-[4-(1H-1,2,4-triazol-1-yl-methyl)phenyl] quinolone carboxylic acids for treating retroviral infections; Published German patent application DE 44 25 650 A1 discloses substituted triazolylmethylphenyl-naphthyridone carboxylic acids for treating retroviral infections; Published German patent application DE 44 25 659 A1 discloses N1-diverse 6-fluoro-8-difluoromethoxy substituted quinolone carboxylic acids for treating retroviral infections. The compounds of these references are structurally very different from the compounds of the present invention.

Derwent Abstract 96-246942/25 of JP 8099957-A discloses optionally heterocyclyl substituted 4-oxo-quinoline and naphthyridine derivatives which are useful for treating herpes, particularly herpes simplex virus, herpex zoster virus and cytomegalovirus.

Derwent Abstract 95-271358/36 of JP 7165748-A discloses compounds having heterocyclic ketones which are used in antiviral agents for treating cytomegalovirus infectious disease.

Nowhere do these references teach or suggest the specific 8-hydroxyquinoline-7-carboxamide compounds of the present invention which are useful as anti-HCMV agents.

US Patent 5,463,072 discloses a process for the preparation of naphtholic 2-equivalent cyan couplers which are useful in color photography. It discloses an 8-

hydroxy-quinoline compound having a substituted triazole moiety at the 6-position and a carbamoyl moiety at the 7-position.

International Publication WO 95/11592, published 4 May 1995, discloses a marine structure carrying a coating comprising a layer which contains a quinoline compound, or an N-oxide or a salt thereof, having antifouling activity. It generically discloses such compounds with a variety of substituents, such as hydroxy, (optionally substituted C_{1-12}-alkyl)sulphonyl, (optionally substituted aryl)sulphonyl, mono or di (optionally substituted C_{1-12}alkyl)aminosulphonyl.

Derwent Abstract 91-232424/32 (Sandoz AG) discloses the use of 5HT-3 antagonists for the prevention or reduction of dependence on alcohol, psycho-stimulants, nicotine or opiates. A variety of compounds is disclosed including quinoline compounds having unsubstituted phenyl rings.

Derwent Abstract 90-343755/46 (Sandoz Ltd.) discloses serotonin 5-HT3 antagonists used for treating stress-related psychiatric disorders, rhinitis, nasal disorders and lung embolism. It discloses a variety of compounds, including quinoline compounds substituted by bridged piperidine groups.

Derwent Abstract 90-290145/38 (DuPont DeNemours Co.) discloses n-substituted naphthalene or quinoline sulphonamides which are radio and chemo-sensitising agents in tumour treatment. Other than the sulfonamide bonds, the quinoline compounds are not further substituted on their phenyl rings.

Derwent Abstract 90-264471/35 (Yoshitomi Pharm. Ind. KK.) discloses (iso)quinoline-sulphonamide compounds and their acid addition salts as vasodilators and cerebral circulation improving agents.

Derwent Abstract 85-063337/11 (Sandoz-Patent-Gmbh) discloses a variety of new fused heterocyclic sulphonic amide and ester derivatives with analgesic, antiarrythmic and antipsychotic activities.

Derwent Abstract 22,706 (Pfizer & Co.) discloses quinoline derivatives and their acid addition salts as bronchodilators, but no sulfonamide substituents are disclosed for these compounds.

U.S. Patent 5,240,940 discloses fungicidal compositions comprising a combination of two fungicides, one of which is a quinoline or cinnoline compound. U.S. Patent 4,881,969 discloses sulfonamides as herbicidal agents.

European Published applications 0326330 and 0326328 discloses quinoline, quinazoline and cinnoline fungicides.

JP 63307451 discloses a silver halide color photographic photosensitive material with improved granularity containing a water-soluble coupler capable of a

coupling reaction with an oxidant main ingredient in color developing, which coupler may include specific 8-hydroxy-quinoline compounds.

JPO7033729-A discloses the production of N-cyano-N-substituted-arylcarboxyimidamide compounds in which aryl may be 8-quinolyl groups.

International Publication Number WO 96/25399, published 22 August 1996, discloses aroylaniline derivatives which exhibit anti-retroviral activity.

International Publication Number WO 97/03069, published 30 January 1997, discloses substituted heteroaromatic compounds which are protein tyrosine kinase inhibitors, in particular to substituted quinolines and quinazolines.

International Publication Number WO 96/06084, published 29 February 1996, discloses quinolylamine derivatives which are useful for the treatment of arrhythmia.

European Patent Application No. 0206751, published 30 December 1996, discloses 2-substituted-phenylalkenyl-quinoline derivatives which are useful as selective antagonists of leukotrienes of D_4.

International Application No. WO 9632015 discloses synergistic fungicidal compositions made of quinoline derivatives and cytochrome complex III inhibitors.

European Patent Application No. 0399818 discloses diarylstyrylquinoline diacids which are leukotriene antagonists and inhibitors of leukotriene biosynthesis. These compounds are useful as anti-asthmatic, anti-allergic, anti-inflammatory and cytoprotective agents.

SUMMARY OF THE INVENTION

The present invention particularly provides:

A compound of formula IA

wherein R^0 is

a) $-(CH_2)_n-X^1$,

b) $-(CH_2)_n-C_3-C_8$ cycloalkyl substituted by zero (0) or one (1) R^8,

c) $-(CH_2)_p\ W^1X^2$,

d) $-(CH_2)_p\ W^1CH_2X^1$, or

e) $-(CH_2)_n-CHR^9-(CH_2)_n-X^1$;

wherein R^1 is

a) -H,

b) -F,

c) -Cl,

d) -Br,

e) $-CF_3$, or

f) $-NO_2$;

wherein R^2 is

a) -H,

b) $-C_1$-C_3alkyl,

c) -OH,

d) $-CF_3$,

e) -CH=CH-furanyl,

f) -CH=CH-phenyl substituted by zero (0) or one (1) R^4,

g) -CH=CH-pyridinyl,

h) $-(CH_2)_p$-phenyl substituted by zero (0) or one (1) R^4,

i) $-NHV^1$,

j) $-CH_2NHV^1$, or

k) $-CH_2Z^1$;

wherein R^3 is

a) -H,

b) -OH,

c) $-CF_3$, or

d) $-C_1$-C_3alkyl;

wherein R^4 is

a) -H

b) -F,

c) -Cl,

d) -Br,

e) $-NO_2$,

f) $-CF_3$,

g) $-W^1$-R^{10},

h) $-C_1$-C_6 alkyl,

i) $-C_3$-C_8 cycloalkyl,

j) $-[CH_2]_n$-aryl,

k) $-[CH_2]_n$-het,

l) $-CH_2$-C_3-C_8 cycloalkyl,

m) $-SO_2NH$-het

n) -CN,

o) -I, or

p) $-CH_2$-OH;

wherein R^5 is

a) -H,

b) -F,

c) -Cl,

d) -Br,

e) $-W^1-R^{10}$,

f) $-CF_3$,

g) $-C_1-C_6$ alkyl,

h) $-C_3-C_8$ cycloalkyl,

i) $-(CH_2)_n$-aryl substituted by R^6,

j) $-(CH_2)_n$-het substituted by R^7, or

k) $-CH_2-C_3-C_8$ cycloalkyl;

wherein R^6 is

a) -H,

b) -F,

c) -Cl, or

d) -Br;

wherein R^7 is

a) -H,

b) -F,

c) -Cl, or

d) -Br;

wherein R^5 is

a) $-C_1-C_4$ alkyl,

b) $-W^1-H$, or

c) $-CH_2W^1H$;

wherein R^9 is

a) $-C_1-C_7$ alkyl,

b) $-C_3-C_8$ cycloalkyl,

c) $-C(O)R^{11}$,

d) $-C(O)NHR^{11}$,

e) $-CH(OH)R^{11}$,

f) $-CH_2OH$,

g) $-CO_2R^{11}$, or

h) -aryl;

wherein R^{10} is

a) -H,

b) $-C_1-C_6$ alkyl,

c) $-C_3-C_8$ cycloalkyl,

d) $-(CH_2)_n$-aryl optionally substituted with F, Cl, CH_2OH or $-NO_2$,

e) $-(CH_2)_n$-het, or

f) $-CH_2-C_3-C_3$ cycloalkyl;

wherein R^{11} is

a) $-C_1-C_7$ alkyl,

b) $-C_3-C_8$ cycloalkyl,

c) $-(CH_2)_n X^1$, or

d) $-CH_2-C_3-C_8$ cycloalkyl;

wherein X^1 is

a) -aryl substituted by zero (0), one (1), two (2), or three (3) R^4,

b) -het substituted by zero (0), one (1) or two (2) R^6,

c) $-C_1-C_8$ alkyl,

d) -CH(OH)-phenyl,

e) -S-phenyl,

f) $-NHSO_2$-phenyl substituted by one (1), two (2) or three (3) R^4,

g) -CN,

h) -OH,

i) $-C_3-C_6$ cycloalkyl substituted by zero (0), one (1) or two (2) R^8, or

j) -4-cyano-2,3,5,6-tetrafluoro-phenyl;

wherein X^2 is

a) -aryl substituted by zero (0), one (1), two (2) or three (3) R^4,

b) -het substituted by zero (0), one (1) or two (2) R^5,

c) $-C_1-C_8$ alkyl,

d) -CH(OH)-phenyl, or

e) $-C_3-C_8$ cycloalkyl substituted by zero (0), one (1) or two (2) R^5;

wherein W^1 is

a) -NH,

b) -oxygen, or

c) -sulfur;

wherein V^1 is

a) $-R^{11}$,

b) $-C(O)R^{11}$,

c) $-SO_2R^{11}$, or

d) $-C(O)NHR^{11}$;

whrein Z^1 is

a) -C_1-C_7 alkyl,

b) -C_3-C_8 cycloalkyl,

c) -$C(O)R^{11}$,

d) -$C(O)NHR^{11}$, or

e) -CO_2R^{11};

wherein -aryl is

a) -phenyl,

b) -naphthyl,

c) -biphenyl,

d) -tetrahydro-naphthyl, or

e) fluorenyl;

wherein -het is a 5-, 6- or 7-membered saturated or unsaturated ring containing from one (1) to three (3) heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur; and including any bicyclic group in which any of the above heterocyclic rings is fused to a benzene ring or another heterocyclic;

wherein -cycloalkyl is a saturated or unsaturated hydrocarbon ring including any bicyclic group in which the above ring is connected to a benzene, heterocyclic or other hydrocarbon ring;

wherein n is zero (0) to six (6), inclusive;

wherein p is one (1), two (2) or three (3);

or a pharmaceutically acceptable salt or N-oxide thereof.

The present invention further provides:

The compound of formula IA provided that:

a) when R^0 is -$(CH_2)_n$-X^1 and X^1 is -OH, then n is one or greater; and

b) when R^0 is -$(CH_2)_p$ W^1X^2, W^1 is -oxygen or -sulfur and X^2 is phenyl then R^4 is other than t-pentyl.

The present invention also provides:

A compound of formula I

wherein R^1 is

a) -H,

b) -F,

c) -Cl,

d) -Br,

e) -CF_3, or

f) -NO_2;

wherein R^2 is

a) -H,

b) -C_1-C_3alkyl,

c) -OH,

d) -CF_3,

e) -CH=CH-furanyl,

f) -CH=CH-phenyl substituted by zero (0) or one (1) R^4,

g) -CH=CH-pyridinyl, or

h) -$(CH_2)_p$-phenyl substituted by zero (0) or one (1) R^4;

wherein R^3 is

a) -H,

b) -OH,

c) -CF_3, or

d) -C_1-C_3alkyl;

wherein X^1 is

a) -phenyl substituted by zero (0) or one (1) R^4,

b) -het substituted by zero (0) or one (1) R^5,

c) -C_1-C_{12} alkyl,

d) -CH(OH)-phenyl,

e) -S-phenyl,

f) -naphthyl,

g) -$NHSO_2$-phenyl substituted by one (1) R^4, or

h) -CN;

wherein het is

a) -1,3,4-thiadiazol-2-yl,

b) -4,5-dihydro-4-oxo-2-thiazolyl,

c) -thiazolyl,

d) -benzothiazolyl,

e) -pyridinyl,

f) -morpholinyl, or

g) -imidazolyl;

wherein R^4 is

a) -H

b) -F,

c) -Cl,

d) -Br,

e) $-NO_2$,

f) $-OCH_3$,

g) $-CF_3$, or

h) $-C_1$-C_4 alkyl;

wherein R^5 is

a) -H,

b) -F,

c) -Cl,

d) -Br,

e) $-(CH_2)_n$-(phenyl substituted by R^6),

f) -thienyl substituted by R^7, or

g) -OH;

wherein R^6 is

a) -H,

b) -F,

c) -Cl, or

d) -Br;

wherein R^7 is

a) -H,

b) -F,

c) -Cl, or

d) -Br;

wherein n is zero (0) to six (6) inclusive;

or a pharmaceutically acceptable salt or a N-oxide thereof.

The present invention further provides compounds of formula II

wherein R^1 is

a) -H,

b) -Cl,

c) -Br, or

d) $-NO_2$;

wherein R^2 is

a) -H,

b) $-CH_3$,

c) $-CF_3$,

d) $-(CH_2)_p$-phenyl substituted by zero (0) or one (1) R^4,

e) -CH=CH-furanyl, or

f) -CH=CH-phenyl substituted by zero (0) or one (1) R^4;

wherein X^1 is

a) -phenyl substituted by one (1) R^4,

b) -het substituted by one (1) R^5,

c) -CH(OH)-phenyl,

d) -S-phenyl,

e) -naphthyl,

f) $-NHSO_2$-phenyl substituted by one (1), two (2) or three (3) R^4, or

g) -CN;

wherein het is

a) -1,3,4-thiadiazol-2-yl,

b) -4,5-dihydro-4-oxo-2-thiazolyl,

c) -2-thiazolyl, or

d) -2-benzothiazolyl;

wherein R^4 is

a) -H,

b) -Cl,

c) -Br,

d) $-NO_2$, or

e) $-OCH_3$;

wherein R^5 is

a) -H,

b) -Cl,

c) $-(CH_2)_n$-(phenyl substituted by R^6),

d) -2-thienyl substituted by R^7, or

e) OH;

wherein R^6 is

a) -H,

b) -Cl, or

c) -Br;

wherein R^7 is

a) -H,

b) -Cl, or

c) -Br.

In another aspect, the present invention provides

A use of a compound of formula IA

to prepare a medicament for treating a susceptible cytomegaloviral infection in a mammal

wherein R^0 is

a) $-(CH_2)_n-X^1$,

b) $-(CH_2)_n-C_3-C_8$ cycloalkyl substituted by zero (0) or one (1) R^8,

c) $-(CH_2)_p\ W^1X^2$,

d) $-(CH_2)_p\ W^1CH_2X^1$, or

e) $-(CH_2)_n-CHR^9-(CH_2)_n-X^1$;

wherein R^1 is

a) -H,

b) -F,

c) -Cl,

d) -Br,

e) $-CF_3$, or

f) $-NO_2$;

wherein R^2 is

a) -H,

b) $-C_1-C_3$alkyl,

c) -OH,

d) $-CF_3$,

e) -CH=CH-furanyl,

f) -CH=CH-phenyl substituted by zero (0) or one (1) R^4,

g) -CH=CH-pyridinyl,

h) $-(CH_2)_p$-phenyl substituted by zero (0) or one (1) R^4,

i) $-NHV^1$,

j) $-CH_2NHV^1$, or

k) $-CH_2Z^1$;

wherein R^3 is

a) -H,

b) -OH,

c) $-CF_3$, or

d) $-C_1-C_3$alkyl;

wherein R^4 is

a) -H

b) -F,

c) -Cl,

d) -Br,
e) $-NO_2$,
f) $-CF_3$,
g) $-W^1-R^{10}$,
h) $-C_1-C_6$ alkyl,
i) $-C_3-C_8$ cycloalkyl,
j) $-[CH_2]_n$-aryl,
k) $-[CH_2]_n$-het,
l) $-CH_2-C_3-C_8$ cycloalkyl,
m) $-SO_2NH$-het
n) -CN,
o) -I, or
p) $-CH_2-OH$;

wherein R^5 is

a) -H,
b) -F,
c) -Cl,
d) -Br,
e) $-W^1-R^{10}$,
f) $-CF_3$,
g) $-C_1-C_6$ alkyl,
h) $-C_3-C_8$ cycloalkyl,
i) $-(CH_2)_n$-aryl substituted by R^6,
j) $-(CH_2)_n$-het substituted by R^7, or
k) $-CH_2-C_3-C_8$ cycloalkyl;

wherein R^6 is

a) -H,
b) -F,
c) -Cl, or
d) -Br;

wherein R^7 is

a) -H,
b) -F,
c) -Cl, or
d) -Br;

wherein R^8 is

a) $-C_1-C_4$ alkyl,

b) $-W^1-H$, or

c) $-CH_2W^1H$;

wherein R^9 is

a) $-C_1-C_7$ alkyl,

b) $-C_3-C_8$ cycloalkyl,

c) $-C(O)R^{11}$,

d) $-C(O)NHR^{11}$,

e) $-CH(OH)R^{11}$,

f) $-CH_2OH$,

g) $-CO_2R^{11}$, or

h) -aryl;

wherein R^{10} is

a) -H,

b) $-C_1-C_6$ alkyl,

c) $-C_3-C_8$ cycloalkyl;

d) $-(CH_2)_n$-aryl optionally substituted with F, Cl, CH_2OH or $-NO_2$,

e) $-(CH_2)_n$-het, or

f) $-CH_2-C_3-C_3$ cycloalkyl;

wherein R^{11} is

a) $-C_1-C_7$ alkyl,

b) $-C_3-C_8$ cycloalkyl,

c) $-(CH_2)_n X^1$, or

d) $-CH_2-C_3-C_8$ cycloalkyl;

wherein X^1 is

a) -aryl substituted by zero (0), one (1), two (2), or three (3) R^4,

b) -het substituted by zero (0), one (1) or two (2) R^5,

c) $-C_1-C_8$ alkyl,

d) -CH(OH)-phenyl,

e) -S-phenyl,

f) $-NHSO_2$-phenyl substituted by one (1), two (2) or three (3) R^4,

g) -CN,

h) -OH,

i) $-C_3-C_8$ cycloalkyl substituted by zero (0), one (1) or two (2) R^8, or

j) -4-cyano-2,3,5,6-tetrafluoro-phenyl;

wherein X^2 is

a) -aryl substituted by zero (0), one (1), two (2) or three (3) R^4,

b) -het substituted by zero (0), one (1) or two (2) R^5,

c) -C_1-C_8 alkyl,

d) -CH(OH)-phenyl, or

e) -C_3-C_8 cycloalkyl substituted by zero (0), one (1) or two (2) R^8;

wherein W^1 is

a) -NH,

b) -oxygen, or

c) -sulfur;

wherein V^1 is

a) -R^{11},

b) -$C(O)R^{11}$,

c) -SO_2R^{11}, or

d) -$C(O)NHR^{11}$;

whrein Z^1 is

a) -C_1-C_7 alkyl,

b) -C_3-C_8 cycloalkyl,

c) -$C(O)R^{11}$,

d) -$C(O)NHR^{11}$, or

e) -CO_2R^{11};

wherein -aryl is

a) -phenyl,

b) -naphthyl,

c) -biphenyl,

d) -tetrahydro-naphthyl, or

e) fluorenyl;

wherein -het is a 5-, 6- or 7-membered saturated or unsaturated ring containing from one (1) to three (3) heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur; and including any bicyclic group in which any of the above heterocyclic rings is fused to a benzene ring or another heterocyclic;

wherein -cycloalkyl is a saturated or unsaturated hydrocarbon ring including any bicyclic group in which the above ring is connected to a benzene, heterocyclic or other hydrocarbon ring;

wherein n is zero (0) to six (6), inclusive;

wherein p is one (1), two (2) or three (3);

or a pharmaceutically acceptable salt or N-oxide thereof; as well as a method of

treating a cytomegalovirus comprising the administration of an effective amount of a compound of the formula IA.

The present invention also provides:

An antiviral pharmaceutical composition which comprises a pharmaceutically acceptable excipient and an effective amount of a compound of formula I.

Further, the present invention provides:

A compound of the formula III

wherein R^1 is

a) -H,

b) -C_1-C_6 alkyl, or

c) -CH=CH-aryl;

wherein R^2 is

a) -C_1-C_{10} alkyl,

b) -$(CH_2)_nR^3$,

c) -$CH(R^4)R^3$, or

d) -$(CH_2)_n$-X^2-R^3;

wherein R^3 is

a) -aryl,

b) -het substituted by zero (0) to two (2) R^5, or

c) -C_3-C_6 cycloalkyl;

wherein R^4 is

a) -C_1-C_5 alkyl, or

b) -aryl;

wherein X^1 is

a) -H,

b) -F,

c) -Cl,

d) -Br, or

e) -I;

wherein X^2 is

a) -O-,

b) -S-, or

c) -NH-;

wherein n is zero (0) to four (4) inclusive;

wherein aryl is

a) phenyl substituted by zero (0) to two (2) R^5, or

b) naphthyl substituted by zero (0) to two (2) R^6;

wherein het is a 5-, 6- or 7-membered saturated or unsaturated ring containing from one (1) to three (3) heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur; and including any bicyclic group in which any of the above heterocyclic rings is fused to a benzene ring or another heterocycle; and the ring may be connected through a carbon or secondary nitrogen in the ring or an exocyclic nitrogen; and if chemically feasible, the nitrogen and sulfur atoms may be in the oxidized forms; and if chemically feasible, the nitrogen atom may be in the protected form;

wherein R^6 is

a) -H,

b) -C_1-C_5 alkyl,

c) -F,

d) -Cl,

e) -OCH_3,

f) -CF_3,

g) -$NHSO_2$-het substituted by zero (0) to two (2) -C_1-C_5 alkyl, or

h) -$NHSO_2$-phenyl;

or a pharmaceutically acceptable salt thereof;

A compound of formula III

wherein R^1 is

a) -H,

b) -CH_3, or

c) -CH=CH-phenyl;

wherein R^2 is

a) -$(CH_2)_nR^3$,

b) -$(CH_2)_n$-X^2-R^3, or

c) -$CH(R^4)R^3$;

wherein R^3 is

a) -phenyl substituted by zero (0) to two (2) R^6,

b) -het,

c) -naphthyl, or

d) -C_{3-6} cycloalkyl;

wherein R^4 is

a) -CH_3, or

b) -phenyl;

wherein R^6 is

a) -F,

b) -Cl,

c) $-NHSO_2$-phenyl;

wherrein X^1 is

a) -Cl, or

b) -Br;

wherein X^2 is

a) -O-, or

b) -S-;

wherein het is

a) -imidazolyl, or

b) -indolyl.

The present invention also provides:

A compound of the formula IV

where X^1 is

a) -H,

b) -F,

c) -Cl,

d) -Br, or

e) -I;

wherein R_2, R_3 and R_4 may be the same or different and are

a) $-C_1$-C_5 alkyl, or

b) -phenyl.

Also provided is:

A compound of formula V

wherein X^1 is

a) phenyl substituted by zero (0) to three (3) R^4,

b) naphthyl substituted by zero (0) to three (3) R^4,

c) fluorenyl substituted by zero (0) to three (3) R^4,

d) het substituted by zero (0) to one (1) R^5, or

e) 4-cyano-2,3,5,6-tetrafluorophenyl;

wherein R^4 is

a) -F,

b) -Cl,

c) -Br,

d) -I,
e) $-NO_2$,
f) -CN,
g) $-CF_3$,
h) $-C_1$-C_6 alkyl,
i) phenyl,
j) cyclohexyl,
k) hydroxymethyl,
l) $-OR^{10}$,
m) $-SR^{10}$, or
n) $-SO_2NH$-het;

wherein het is

a) 1,3-benzodioxol-4-yl,
b) 1,3-benzodioxo-5-yl,
c) coumarinyl,
d) indazoyl,
e) indolyl,
f) benzothiazolyl,
g) benzothiadiazolyl,
h) quinolinyl,
i) pyridinyl,
j) 1,3,4-thiadiazol-2-yl, or
k) isoxazolyl substituted with one or two C_1-C_4 alkyl;

wherein R^5 is

a) -F,
b) -Cl,
c) -Br,
d) -I,
e) $-CF_3$,
f) $-C_1$-C_4-alkyl, or
g) $-C_1$-C_2-alkylsubstituted with an aryl;

wherein R^{10} is

a) hydrogen,
b) $-C_1$-C_4 alkyl,
c) phenyl,
d) benzyl, or

e) 4-nitrophenyl; as well as

A compound of formula V

wherein het is

a) indazoyl,

b) indoyl, or

c) isoxazolyl substituted with one (1) or two (2) C_1-C_4 alkyl.

Finally, the present invention provides:

A compound of formula VI or VII

wherein X is

a) -C, or

b) -SO;

wherein Y is

a) -NH,

b) -O, or

c) -S;

wherein EWG is an electron withdrawing group;

wherein R^1, R^2 and R^3 are as defined in claim 1;

wherein R^4 is

a) -H,

b) -$(CH_2)_n$-CO_2-C_1-C_6 alkyl,

c) -$(CH_2)_m$-phenyl optionally substituted with one (1) or two (2) R^7,

d) -$(CH_2)_m$-het,

e) -C_1-C_6 alkyl optionally substituted by one R^6,

f) -C_1-C_4 alkyl-NH-$COOCH_2$-benzyl, or

g) -C_1-C_4 alkyl-S-CH_3;

wherein R^5 is pyrrolidin-1-yl optionally substituted with EWG or R^6;

wherein n is zero (0) to three (3);

wherein m is zero (0) to one (1);

wherein -het is a 5-, 6- or 7-membered saturated or unsaturated ring containing from one (1) to three (3) heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur; and including any bicyclic group in which any of the above heterocyclic rings is fused to a benzene ring or another heterocyclic;

wherein R^6 is

a) hydroxy,

b) -C_1-C_6 alkyloxy,

c) mercapto, or

d) -C_1-C_6 alkylmercapto;

wherein R^7 is

a) hydroxy, or

b) -C_1-C_6 alkyloxy; as well as

A compound of formula VI or VII

wherein R^7 is t-butyl;

wherein EWG is

a) -NH-$CO_2C(CH_3)_3$,

b) -CN,

c) -COX^2-C_1-C_6 alkyl, or

d) -COOH;

wherein X^2 is

a) -O-, or

b) -NH; and

wherein het is

a) 1,3-benzodioxol-4-yl,

b) 1,3-benzodioxol-5-yl, or

c) indolyl.

"Pharmaceutically acceptable salts" refers to those salts which possess the biological effectiveness and properties of the parent compound and which are not biologically or otherwise undesirable.

"N-oxide" refers to the oxidized form of the nitrogen in the ring of the 8-hydroxy-quinoline compounds of the present invention. The preparation of such compounds is well known to one of ordinary skill in organic chemistry, including methods such as oxidation with metachloro-peroxy-benzoic acid.

"Electron-withdrawing group" means any substituent on the ring which tends to draw electron density from the ring. Examples of such groups include halogen, nitro, cyano, carboxylic acids, carboxylic esters, sulfoxides, sulfones, sulfonamides, ketones and aldehydes.

"Halogen" means fluroine, chlorine, or bromine.

"Het" is a 5-, 6- or 7-membered saturated or unsaturated ring containing from one (1) to three (3) heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur; and including any bicyclic group in which any of the above heterocyclic rings is fused to a benzene ring or another heterocycle; and the ring may be connected through a carbon or secondary nitrogen in the ring or an exocyclic nitrogen; and if chemically feasible, the nitrogen and sulfur atoms may be in the

oxidized forms; and if chemically feasible, the nitrogen atom may be in the protected form; and substituted or unsubstituted. Examples of "het" include the following: thiadiazolyl, thiazolyl, benzothiazolyl, pyridinyl (or pyridyl), morpholinyl, imidazolyl, indolyl, and piperazinyl.

The compounds of the present invention are named according to the IUPAC or CAS nomenclature system.

The carbon atom content of various hydrocarbon-containing moieties is indicated by a prefix designating the minimum and maximum number of carbon atoms in the moiety, i.e., the prefix C_i-C_j indicates a moiety of the integer "i" to the integer "j" carbon atoms, inclusive. Thus, for example, (C_1-C_3)alkyl refers to alkyl of one to three carbon atoms, inclusive, or methyl, ethyl, propyl and isopropyl, straight and branched forms thereof.

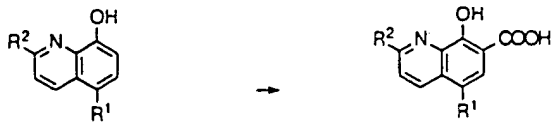
Throughout this application, abbreviations which are well known to one of ordinary skill in the art may be used, such as "Ph" for phenyl, "Me" for methyl, and "Et" for ethyl.

The following Charts A-I describe the preparation of the compounds of the present invention. All of the starting materials are prepared by procedures described in these charts or by procedures analogous thereto, which would be well known to one of ordinary skill in organic chemistry. All of the final compounds of the present invention are prepared by procedures described in these charts or by procedures analogous thereto, which would be well known to one of ordinary skill in organic chemistry. All of the variables used in the charts are as defined below or as in the claims.

CHART A

The preparation of the starting materials, 8-hydroxyquinoline-7-carboxylic acids, is accomplished in low to moderate yields by the carboxylation of 8-hydroxy-quinolines, which are either commercially available or which are prepared by literature methods: G.S. Bajwa, K.E. Hartman, and M.N. Jouillie, Journal of Medicinal Chemistry, Vol.16, No. 2, pages 134-138 (1973); L.C. March, W.A. Romanchick, G.S. Bajwa, and M.M. Jouillie, Journal of Medicinal Chemistry, Vol. 16, No. 4, pages 337-342 (1973). The compound of formula A-1 is reacted with K_2CO_3 (3 eq.), CO_2(800 p.s.i) at 170° for 7 days, to yield the compound of formula A-2. J. Hannah et al., Journal of Medicinal Chemistry, Vol. 21, No. 11, pages 1093-1100 (1978). (R^1 and R^2 in formula A-1 are the same as R^1 and R^2 in formula A-2.) The compound of formula A-2 wherein R^1 is -H and R^2 is -H is the intermediate compound of Preparation 1 below. The compound of formula A-2 wherein R^1 is -F

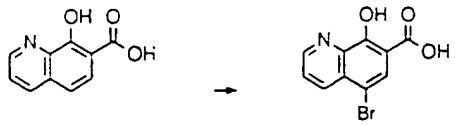
and R^2 is -H is the intermediate compound of Preparation 4 below. The compound of formula A-2 wherein R^1 is -Cl and R^2 is -H is the intermediate compound of Preparation 3 below. The compound of formula A-2 wherein R^1 is -H and R^2 is $-CH_3$ is the intermediate compound of Preparation 5 below.



A-1 A-2

CHART B

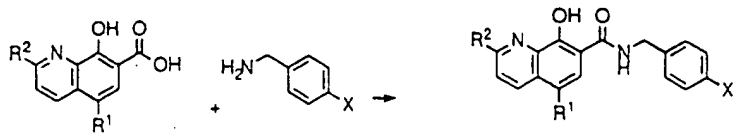
Bromination of 8-hydroxyquinoline-7-carboxylic acid of formula B-1 with one equivalent of bromine (HOAc, reflux, 1 hr) yields 5-bromo-8-hydroxy-7-quinoline-carboxylic acid of formula B-2 in quantitative yield, which is prepared in Preparation 2 below. R. Schmitt and F. Engelmann, Chem. Ber., 20; 1887; 2694.



B-1 B-2

CHART C

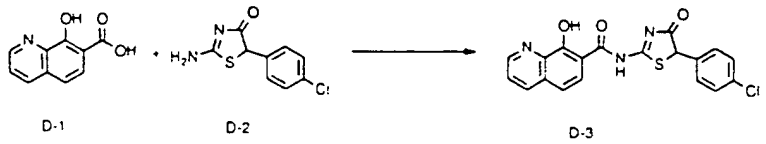
The acid of formula C-1, prepared as described in Charts A and B above, is condensed with the amine of formula C-2, which is commercially available (e.g, *p*-chloro or *p*-nitrobenzylamine), under appropriate conditions (EDC is used as the coupling agent, HOBt, DMF, rt, 18 hr) to yield the compound of formula C-3. (R^1 and R^2 in formula C-1 are the same as R^1 and R^2 in formula C-3. X in formula C-2 is the same as X in formula C-3.) The compound of formula C-3 wherein R^1 is -Br, R^2 is -H and X is -Cl is the final compound of Example 9 below. The compound of formula C-3 wherein R^1 is -H, R^2 is $-CH_3$ and X is -Cl is the final compound of Example 10 below. The compound of formula C-3 wherein R^1 is -Cl, R^2 is -H and X is -Cl is the final compound of Example 11 below. The compound of formula C-3 wherein R^1 is -H, R^2 is -H and X is $-NO_2$ is the final compound of Example 12 below. The compound of formula C-3 wherein R^1 is -F, R^2 is -H and X is -Cl is the final compound of Example 16 below. Chart C is the preferred coupling method for benzylamines.



C-1 C-2 C-3

CHART D

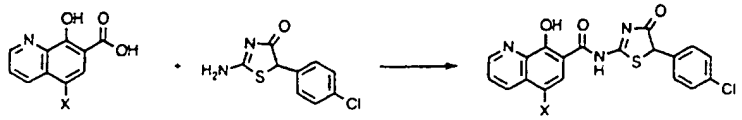
Under the same conditions as in Chart C above (i.e., EDC, HOBt, DMF, rt, 7 days), the acid of formula D-1 is condensed with the heterocyclic amine of formula D-2 to give the final compound of formula D-3, which is prepared in Example 8 below.



D-1 D-2 D-3

CHART E

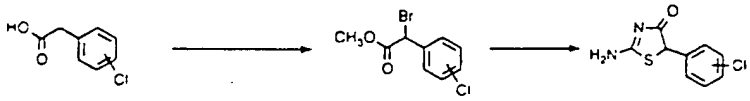
Chart E discloses a more efficient method of coupling the 8-hydroxyquinoline-7-carboxylic acids with anilines and heterocyclic amines utilizing PCl_3 as the condensing agent. H. Singh, A.K. Singh, S. Sharma, R.N. Iyer, J. Med. Chem., 20:826 (1977); H. Singh, S. Sharma, R.N. Iyer, Ind. J. Chem., 15B:73 (1977); S.K. Dubey, A.K. Singh, H. Singh, S. Sharma, R.N. Iyer, J. Med. Chem., 37:999 (1994). The compound of formula E-1 is coupled with the compound of formula E-2 (using PCl_3, xylenes, at reflux, for 18hr) to yield the compound of formula E-3 wherein X is -H (which is the final compound of Example 5 below) or X is -Br (which is the final compound of Example 6 below). (X in formula E-1 is the same as X in formula E-3.) Chart E is the preferred coupling method for heterocyclic amines.



E-1 E-2 E-3

CHART F

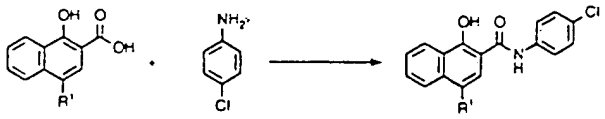
The required thiazolones of formula F-3 are prepared in three steps from commercially available acids of formula F-1 as follows: the compound of formula F-1 is first treated with $P_{(red)}$ in Br and is then treated with AcCl in methanol to yield the compound of formula F-2. This compound is then reacted with thiourea at ethanol at reflux to yield the compound of formula F-3. T. Sohda et al., Chem. Pharm. Bull., Vol. 30, No. 10, pages 3601-3616 (1982).



F-1 F-2 F-3

CHART G

Anilines are also coupled in low to moderate yields under the conditions of Chart E. Thus, the compound of formula G-1 is coupled with the compound of formula G-2 (using PCl_3, xylenes, at reflux, for 18 hours) to yield the compound of formula G-3. (R^1 in formula G-1 is the same as R^1 in formula G-3.) The compound of formula G-3 wherein R^1 is -H is the final compound of Example 3 below; the compound of formula G-3 wherein R^1 is -Br is the final compound of Example 4 below; and the compound of formula G-3 wherein R^1 is -Cl is the final compound of Example 15 below. The coupling conditions of this reaction are preferred when anilines are used.

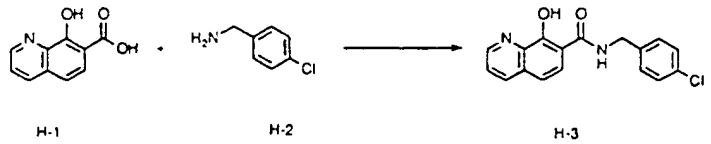


G-1 G-2 G-3

CHART H

Chart H discloses another method of coupling which is used in the condensation of benzylamines, although the yields are lower than found for the EDC couplings. The compound of formula H-1 is coupled with the compound of formula

H-2 (using PCl_3, xylenes, at reflux for 18 hr) to yield the compound of formula H-3, which is the final compound of Example 1 below.



H-1 H-2 H-3

CHART I

Other heterocyclic amines are also condensed with quinoline carboxylic acids under these conditions. The quinoline carboxylic acid of formula I-1 (which was prepared in Chart A above) is coupled with the appropriate heterocyclic amine of formula I-2, I-4, I-6 or I-8 (using PCl_3, xylenes, at reflux, for 18 hours) to yield the compound of formula I-3, I-5, I-7 or I-9, respectively. The compound of formula I-3 is the final compound of Example 2 below; the compound of formula I-5 is the final compound of Example 7 below; the compound of formula I-7 is the compound of Example 13 below which is useful as an intermediate; and the compound of formula I-9 is the final compound of Example 14 below.

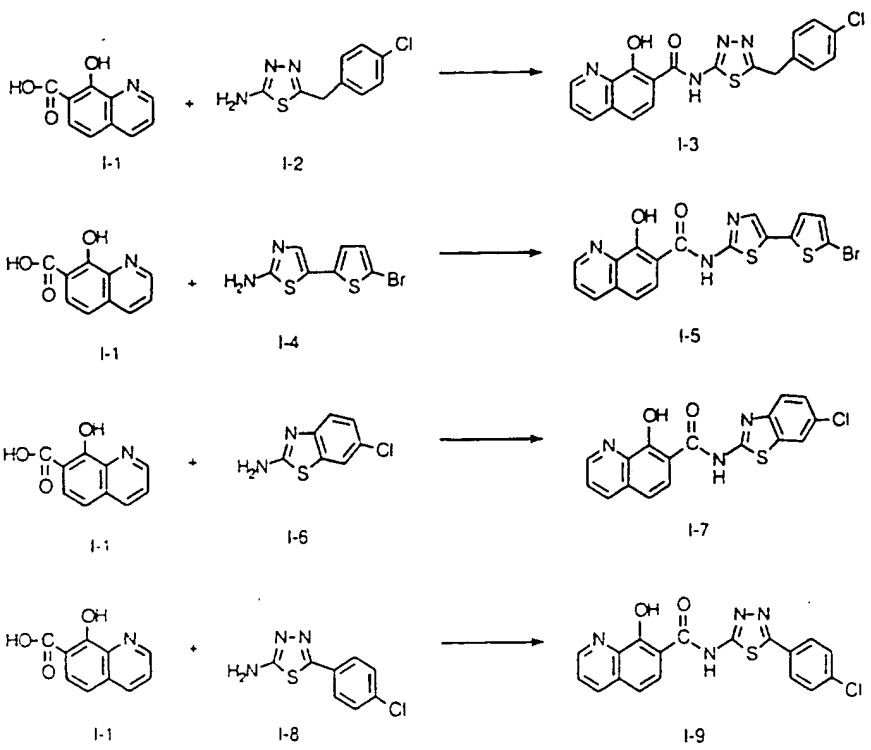


CHART J

The preparation of the starting materials is accomplished by O-methylation of commercially-available 5,7-dihalo-8-hydroxyquinolines according to the procedure of R.A.W. Johnstone and M.E. Rose in Tetrahedron, vol. 35, page 21169 (1979). The compound of formula J-1 is treated with t-butyllithium or n-butyllithium at low temperature in ether/toluene, then exposed to sulfur dioxide gas to prepare the compound of formula J-2. Conversion of the compound of formula J-2 to the sulfonyl chloride of formula J-3 is accomplished by treatment with N-chlorosuccinimide (CH_2Cl_2, 3 hr). The sulfonamide of formula J-4 is then prepared by reaction of the sulfonyl chloride of formula J-3 with 1 equivalent of a primary amine of the formula R^2NH_2 and 2 equivalents of pyridine in CH_2Cl_2 (15 hr). Finally, the compound of formula J-5 is prepared using either excess pyridinium hydrochloride (220 °C, 10 min) or excess boron tribromide (CH_2Cl_2, 1.5 hr).

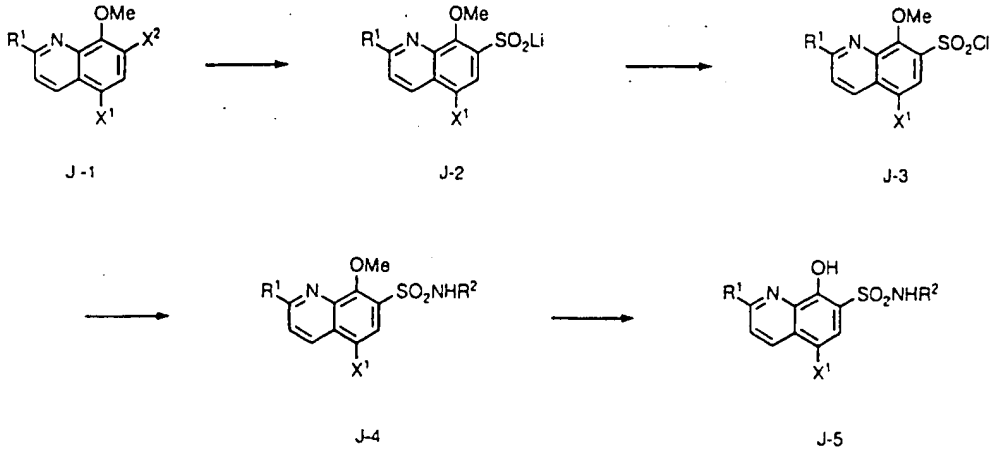


CHART K

Compounds of the structure K-3 are prepared from commercially-available 5,7-dihalo-8-hydroxyquinolines (K-1) in two steps. Formation of the silylether intermediates K-2 is accomplished by reaction of the 8-hydroxyquinolines K-1 with chlorotrialkylsilanes in the presence of imidazole and DMF at room temperature for 18-20 hours. The intermediates are then treated with t-butyllithium or n-butyllithium at low temperature in THF to give the compound of formula K-3.

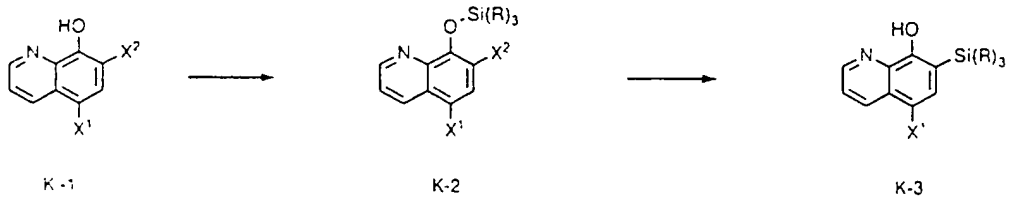


CHART L

To a mixture of o-anidisine of L-1 and ethyl-4,4,4-trifluoroacetoacetate of L-2 is added 6N HCl. The resulting enamine is heated in diphenylether at 250°C to produce 4-hydroxy-8-methoxy-2-trifluoromethylquioline of L-3.

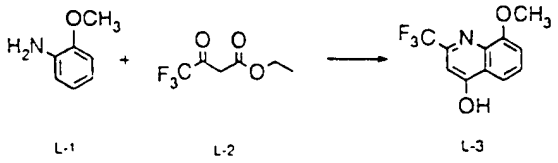


CHART M

The compound of M-1 is chlorinated with phosphorus oxychloride in CH_2Cl_2/DMF at room temperature. The resulting chloride of M-2 is reductively cleaved by hydrogenation in EtOH, Et_3N to give M-3. Methyl ether deprotection with pyridine hydrochloride at 220°C gives 2-trifluoromethyl-8-hydroxyquinoline of M-4. This material is carboxylated to M-5 under Kolbe-Schmidt conditions. Standard amide couplings gives the desired products of M-6.

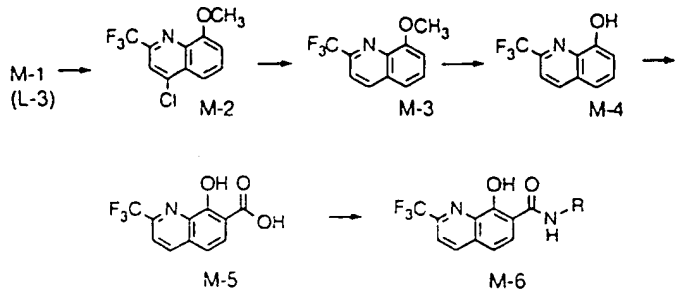


CHART N

Alternatively, pyridine hydrochloride deprotection of N-1 gives the 4,8-dihydroxy-quinoline of N-2, which again is carboxylated under Kolbe-Schmidt conditions to give N-3. Standard amide couplings give the desired products of N-4.

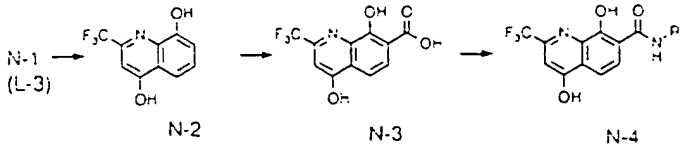
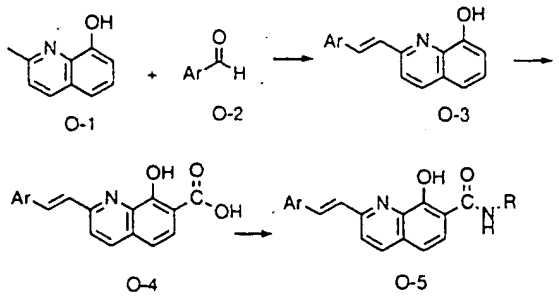


CHART O

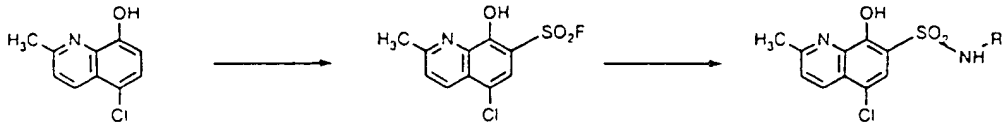
Aryl aldehydes of O-2 are condensed with 8-hydroxyquinaldine of O-1 at 180°C to form the 2-styryl-8-hydroxyquinolines of O-3. These are carboxylated under Kolbe-Schmidt conditions to give O-4. Standard couplings of the resulting acid with amines gives the desired amides O-5.



O-1 O-2 O-3 O-4 O-5

CHART P

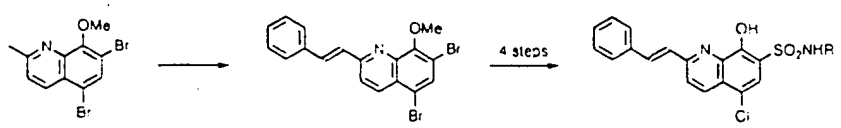
The preparation of the starting material of formula P-1 is accomplished by chlorination of commercially-available 8-hydroxyquinaldine according to the procedure described in DE 1770065. The compound of formula P-1 is then treated with neat flourosulfonic acid at 120 °C to form the compound of formula P-2. Finally, the sulfonamides of formula P-3 are prepared by heating to 140 °C a mixture of 1 eq of the sulfonyl flouride of formula P-2, 2 eq of the primary amine of formula RNH_2 and 3 eq of N,N-diisopropylethylamine in chlorobenzene.



P-1 P-2 P-3

CHART Q

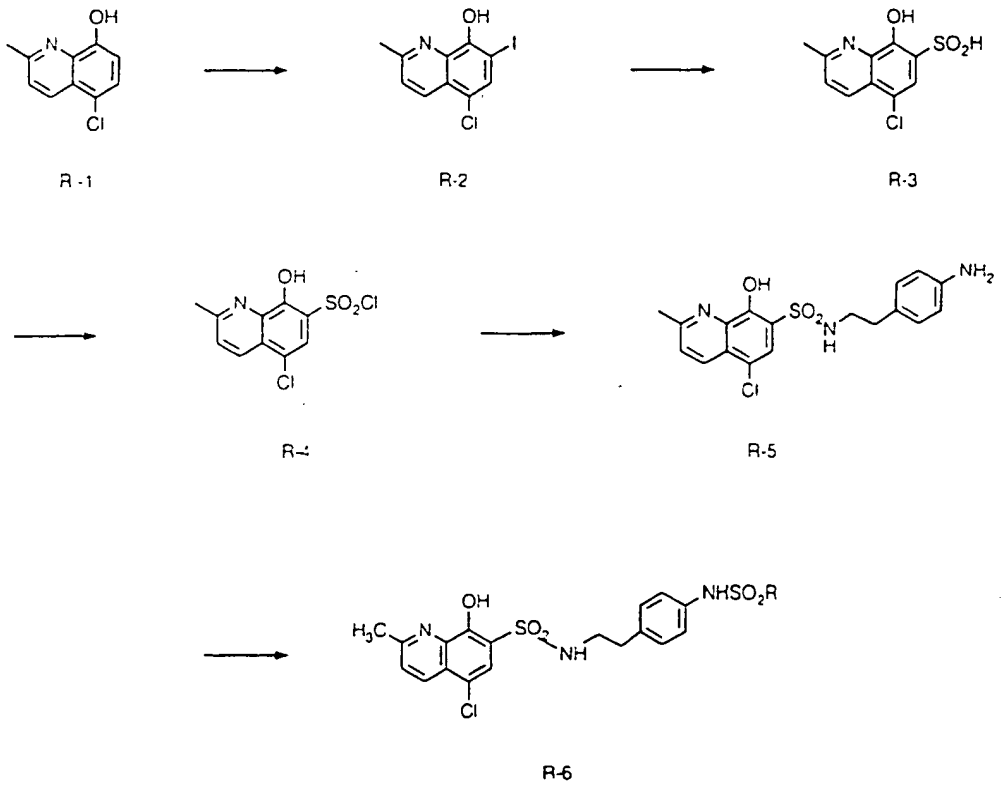
The preparation of the starting material of formula Q-1 is accomplished by O-methylation of commercially-available 5,7-dibromo-2-methyl-8-quinolinol according to the procedure of R. A. W. Johnstone and M. E. Rose in Tetrahedron, vol. 35, page 21169 (1979). The styrene derivative of formula Q-2 is obtained by heating the 2-methylquinoline of formula Q-1 with benzaldehyde for 18 h. The intermediate of formula Q-2 (which corresponds to J-1, R^1 = CH=CHPh, $X^1 = X^2$ = Br) is then advanced in four steps to the sulfonamides of formula Q-3 (which corresponds to J-5, R^1 = CH=CHPh, X^1 = Cl; R^2 = R) following the route previously described in Chart J.



Q-1 Q-2 Q-3

CHART R

The preparation of the starting material of formula R-1 is accomplished by chlorination of commercially-available 8-hydroxyquinaldine according to the procedure described in DE 1770065. The 7-iodo derivative of formula R-2 is then prepared by reaction of the quinoline of formula R-1 with iodine monochloride in methanol. The compound of formula R-2 is treated successively with methyl magnesium bromide and n-butyllithium at -78 °C in THF, then exposed to sulfur dioxide gas to prepare the compound of formula R-3. Conversion of the compound of formula R-3 to the sulfonyl chloride of formula R-4 is accomplished by treatment with N-chlorosuccinimide in methylene chloride at room temperature for 2 h. The sulfonamide of formula R-5 is then prepared by reaction of the sulfonyl chloride of formula R-4 with 2-(4-aminophenyl)ethylamine and pyridine in methylene chloride. Finally, the compound of formula R-6 is prepared by reaction of the compound of formula R-5 with excess sulfonyl chloride of the formula RSO_2Cl in pyridine.

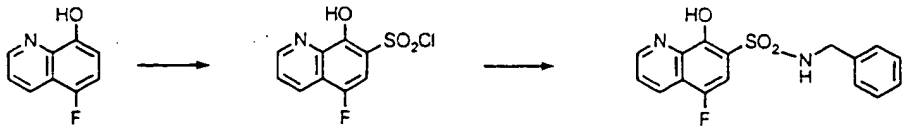


R-1 R-2 R-3 R-4 R-5 R-6

CHART S

The commercially-available 5-flouro-8-hydroxyquinoline of formula S-1 is treated with neat chlorosulfonic acid at 90-105 °C to form the sulfonyl chloride of formula S-

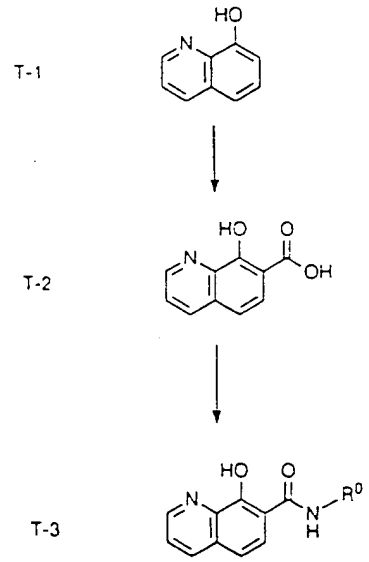
2. The sulfonamide of formula S-3 is then prepared by reaction of 1 eq of the sulfonyl chloride of formula S-2 with 3 eq of benzylamine in THF.



S-1 S-2 S-3

CHART T

Commercially available 8-hydroxyquinoline (T-1) is converted to the 7-carboxylic acid (T-2) by heating at 175° C in the presence of potassium carbonate under 800 psi carbon dioxide gas for 7 days. The acid is then condensed with various aliphatic amines after activation with either 1,1'-carbonyldiimidazole, or alternatively 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide and 1-hydroxybenzotriazole to afford the desired amides of the formula T-3. The above amides are prepared either as discrete analogues or as part of a parallel synthesis block.



T-1

T-2

T-3

CHART U

Anhydride U-1 is prepared from 8-hydroxy-7-quinoline carboxylic acid using 2,2,2-trichloroethyl chloroformate and diisopropylethylamine. The purity of the starting materials is crucial for this reaction to succeed; particularly, any trace of any metalic cations but alkali cations, or Lewis acids, has to be avoided, as they lead to an

inhibition of the reaction as well as to decarboxylation of anhydride U-1, probably through a chelation of both starting material and product; during the whole course of the reaction, strictly basic conditions have to be maintained, acidic conditions favoring a decarboxylation of the product as well. Ester U-3 is prepared from 8-hydroxy-7-quinoline carboxylic acid as well, the 8-hydroxy substituent being first protected to ester U-2 according to a literature procedure (German Patent No. 540842, 10 December 1931) and subsequent activation of the 7-carboxylic acid as its fluoride, using cyanuric fluoride and diisopropylethylamine.

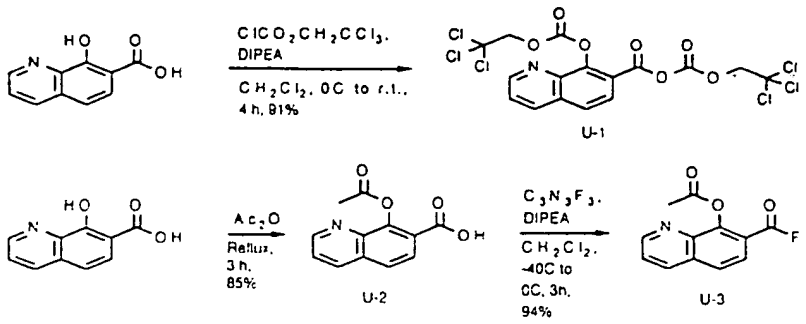
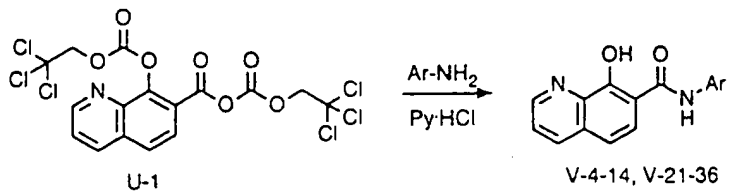


CHART V

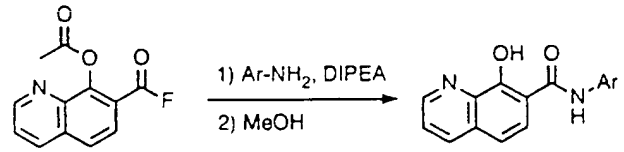
N-Aryl-8-hydroxy-7-quinolinecarboxamides V-4-14 are prepared as single compounds from anhydride U-1 (Chart U) following GP II described below. Both amide coupling and deprotection of the 8-hydroxy substituent can be realized in a single step with primary amines, provided some traces of water are present in the reaction mixture. (No water needs to be added; water coming from glassware and used solvents is enough to ensure a complete deprotectino, at least on small scale.) Probably, the amide function of the still protected intermediate is nucleophilic enough to attack the carbonate at the 7-position via a six-membered ring; subsequent hydrolysis, catalyzed by pyridinium chloride, leads to the desired amides. Similarly, N-Aryl-8-hydroxy-7-quinolinecarboxamides V-21-36 are prepared by parallel synthesis from anhydride U-1, following GP III described below. N-Aryl-8-hydroxy-7-quinoline-carboxamides V-15-20 are prepared as single compounds following GP IV described below from ester U-3 (Chart U). After the coupling step is achieved (6 h to 5 days depending on the amine), methanol is added, which leads to the deprotection of the 8-hydroxy substituent within 6 to 24 h. N-aryl-8-hydroxyquinoline-7-carboxamides V-17-20 as well as V-37-94 are also prepared by parallel synthesis from ester U-3, following GP V described below.

When parallel synthesis is used, some impurities appear occasionally besides

the desired product, mainly the carbamate resulting from an attack of the amine at the carbonate positions when anhydride U-1 is involved, or methyl 8-hydroxy-7-quinoline carboxylate after methanolic treatment of the reaction mixture from ester U-3.



U-1 V-4-14, V-21-36



U-3 V-15-20, V-37-94

CHART W

The synthesis of 2-amino-5-alkyl-1,3,4-thiadiazoles W-95-98, W-100-102, W-105, W-108 and X-109-117, which are to be coupled with the activated 8-hydroxy-7-quinoline carboxylic acid derivatives U-1 or U-3 (refer to Chart U) to afford the corresponding 8-hydroxy-N-(1,3,4-thiadiazol-2-yl)-7-quinolinecarboxamides X-118-136, required one to four steps. 2-Amino-5-bromo-1,3,4-thiadiazole W-95 is prepared through bromination of commercially available 2-amino-1,3,4-thiadiazole. Thiadiazole derivatives W-96-98 are prepared through direct bromide displacement of thiadiazole W-95 with the corresponding amines. Using the same strategy, nitrile W-100 is prepared from aminonitrile W-99, itself prepared from piperonal through a Strecker synthesis. Displacement of the bromide of thiadiazole W-95 with L- and D-phenylalanine methyl esters leads to esters W-101 and W-102, though in low yields. Known literature procedures are used to prepare amino acids W-103 and W-106, of which acid groups are converted into the corresponding tert-butyl esters (compounds W-104 and W-107) by standard procedures; subsequent bromide displacement as last step affords esters W-105 and W-108.

TABLE 2

Example No.	Conc (M)	pol type	% Inhib	IC50 uM
17		CMV		11.3
	3.13e-006	CMV	0.7	
	6.25e-006	CMV	26.4	
	1.30e-005	CMV	57.1	
	2.50e-005	CMV	89	
	5.00e-005	CMV	95.5	
	1.00e-004	CMV	97.5	
18		CMV		27.9
	3.13e-006	CMV	5.3	
	6.25e-006	CMV	14.9	
	1.30e-005	CMV	13.9	
	2.50e-005	CMV	51.7	
	5.00e-005	CMV	79.6	
	1.00e-004	CMV	91.8	
19	3.13e-006	CMV	11.8	
	6.25e-006	CMV	20	
	1.30e-005	CMV	28.9	
	2.50e-005	CMV	56.6	
	5.00e-005	CMV	69.1	
	1.00e-004	CMV	83.9	
		CMV		23.6
20		CMV		14.5
	3.13e-006	CMV	10.9	
	6.25e-006	CMV	25.5	
	1.30e-005	CMV	41.3	
	2.50e-005	CMV	73.2	
	5.00e-005	CMV	92	
	1.00e-004	CMV	95.4	
21		CMV		7.5
	3.13e-006	CMV	33.5	
	6.25e-006	CMV	43.4	
	1.30e-005	CMV	57.2	
	2.50e-005	CMV	85.2	
	5.00e-005	CMV	94.4	
	1.00e-004	CMV	96.6	
		CMV		12.6
	3.13e-006	CMV	17.6	
	6.25e-006	CMV	35.3	
	1.30e-005	CMV	45.1	
	2.50e-005	CMV	69.9	
	5.00e-005	CMV	90.8	
	1.00e-004	CMV	97.9	
22		CMV		8.6
	3.13e-006	CMV	13.2	
	6.25e-006	CMV	33.3	
	1.30e-005	CMV	68.9	
	2.50e-005	CMV	90.7	
	5.00e-005	CMV	96.7	
	1.00e-004	CMV	98.2	
		CMV		4.5
	3.13e-006	CMV	43.3	
	6.25e-006	CMV	51.5	
	1.30e-005	CMV	78.3	

TABLE 2 (CONTINUED)

Example No.	Conc (M)	pol type	% Inhib	IC50 uM
22	2.50e-005	CMV	95.2	
	5.00e-005	CMV	98.6	
	1.00e-004	CMV	99.7	
23		CMV		2
	3.13e-006	CMV	48	
	6.25e-006	CMV	90.9	
	1.30e-005	CMV	98.8	
	2.50e-005	CMV	99.4	
	5.00e-005	CMV	99.4	
	1.00e-004	CMV	98.3	
		CMV		3.1
	3.13e-007	CMV	16.3	
	6.25e-007	CMV	13	
	1.25e-006	CMV	14.7	
	2.50e-006	CMV	34.6	
	5.00e-006	CMV	83.9	
	1.00e-005	CMV	99.9	
24		CMV		>100
	3.13e-006	CMV	7.9	
	6.25e-006	CMV	8	
	1.30e-005	CMV	9.9	
	2.50e-005	CMV	3.9	
	5.00e-005	CMV	-6.4	
	1.00e-004	CMV	-0.3	
		CMV		4.5
	3.13e-006	CMV	36.5	
	6.25e-006	CMV	55.4	
	1.30e-005	CMV	82.4	
	2.50e-005	CMV	97.5	
	5.00e-005	CMV	99.3	
	1.00e-004	CMV	98.8	
25	3.13e-006	CMV	48.7	
	6.25e-006	CMV	63.3	
	1.30e-005	CMV	69.4	
	2.50e-005	CMV	76.6	
	5.00e-005	CMV	83.7	
	1.00e-004	CMV	87.6	
		CMV		3.6
26		CMV		4.6
	3.13e-006	CMV	32.1	
	6.25e-006	CMV	60.2	
	1.30e-005	CMV	79.5	
	2.50e-005	CMV	86.4	
	5.00e-005	CMV	87.8	
	1.00e-004	CMV	90.1	
27		CMV		14.8
	3.13e-006	CMV	27.2	
	6.25e-006	CMV	36.6	
	1.30e-005	CMV	33.5	
	2.50e-005	CMV	58.7	
	5.00e-005	CMV	93.5	
	1.00e-004	CMV	96.7	

TABLE 2 (CONTINUED)

Example No.	Conc (M)	pol type	% Inhib	IC50 uM
28		CMV		5.5
	3.13e-006	CMV	28.1	
	6.25e-006	CMV	52	
	1.30e-005	CMV	78.3	
	2.50e-005	CMV	93	
	5.00e-005	CMV	94.6	
	1.00e-004	CMV	96.4	
29		CMV		18.3
	3.13e-006	CMV	40.9	
	6.25e-006	CMV	33.9	
	1.30e-005	CMV	36.1	
	2.50e-005	CMV	44.4	
	5.00e-005	CMV	54.1	
	1.00e-004	CMV	71.4	
		CMV		40.1
	3.13e-006	CMV	27.3	
	6.25e-006	CMV	27.3	
	1.30e-005	CMV	32.9	
	2.50e-005	CMV	42	
	5.00e-005	CMV	45.8	
	1.00e-004	CMV	64	
30		CMV		4.3
	3.13e-006	CMV	42.6	
	6.25e-006	CMV	59.9	
	1.30e-005	CMV	73.4	
	2.50e-005	CMV	87.5	
	5.00e-005	CMV	95.4	
	1.00e-004	CMV	97	
31		CMV		< 3.1
	3.13e-006	CMV	82.9	
	6.25e-006	CMV	95	
	1.30e-005	CMV	97.3	
	2.50e-005	CMV	97.8	
	5.00e-005	CMV	97.8	
	1.00e-004	CMV	97.3	
		CMV		3.7
	3.13e-007	CMV	-7.9	
	6.25e-007	CMV	20	
	1.25e-006	CMV	22.7	
	2.50e-006	CMV	38.5	
	5.00e-006	CMV	55	
	1.00e-005	CMV	88.2	
32		CMV		< 3.1
	3.13e-006	CMV	75.1	
	6.25e-006	CMV	89.1	
	1.30e-005	CMV	94.6	
	2.50e-005	CMV	96.3	
	5.00e-005	CMV	97.5	
	1.00e-004	CMV	98.2	
		CMV		4.7
	3.13e-007	CMV	-14.4	
	6.25e-007	CMV	9.8	
	1.25e-006	CMV	20.6	
	2.50e-006	CMV	30.4	

TABLE 2 (CONTINUED)

Example No.	Conc (M)	pol type	% Inhib	IC50 uM
32	5.00e-006	CMV	47.9	
	1.00e-005	CMV	85.2	
33		CMV		12.8
	3.13e-006	CMV	-10.5	
	6.25e-006	CMV	38.7	
	1.30e-005	CMV	45.7	
	2.50e-005	CMV	78	
	5.00e-005	CMV	87.9	
	1.00e-004	CMV	95.4	

TABLE 3

Example No.	Concentration (uM)	% Inhibition	IC_{50} (uM)
34	100	97	17.2
	50	54	
	25	63	
	12.5	57	
	6.25	21	
35	100	96	10.0
	50	89	
	12.5	44	
	6.25	41	
	3.13	31	
36	200	19	>200
	100	3	
	50	15	
	25	0	
	12.5	6	
	6.25	-3	
	3.13	-1	
37	100	55	72.3
	50	50	
	25	21	
	12.5	12	
	6.25	3	

TABLE 3 (CONTINUED)

Example No.	Concentration (uM)	% Inhibition	IC_{50} (uM)
38	100	97	10.5
	50	96	
	25	62	
	12.5	58	
	6.25	36	
39	200	90	21.6
	100	71	
	50	79	
	25	41	
	12.5	43	
	6.25	28	
	3.13	16	
40	100	94	13.7
	50	80	
	25	53	
	12.5	47	
	6.25	36	
	3.13	22	

TABLE 4

Example No.	Conc (uM)	% Inh - AV	IC50 (AV)
41	2.00e+000	56.0	
	1.00e+001	92.0	0.5
	5.00e+001	78.0	
	4.00e+001	76.0	
	2.00e+001	76.0	1.4
	4.00e+000	70.0	
	8.00e-001	39.0	
	4.00e+001	99.0	3.8
	2.00e+001	86.0	3.8
	8.00e+000	78.0	3.8
	4.00e+000	65.0	3.8
	8.00e-001	0.0	3.8
42	8.00e-001	0.0	5.2
	4.00e+000	51.0	5.2
	2.00e+001	88.0	5.2
	4.00e+001	92.0	5.2
	4.00e+001	99.0	<0.1
	2.00e+001	99.0	<0.1
	4.00e+000	89.0	<0.1
43	8.00e-001	65.0	0.12
	4.00e+000	77.0	0.12
	2.00e+001	90.0	0.12
	4.00e+001	85.0	0.12
	4.00e+001	81.0	0.44
	4.00e+000	88.0	0.44
	8.00e-001	43.0	0.44
	4.00e-001	50.0	0.44
	2.00e+001		<0.5
	1.00e+001	99.0	<0.5
	5.00e+000	99.0	<0.5
	2.50e+000	99.0	<0.5
	1.25e+000	87.0	<0.5
44	8.00e-001	23.0	2.7
	4.00e+000	65.0	2.7
	2.00e+001	88.0	2.7
	4.00e+001	93.0	2.7
	4.00e+001	44.0	
	2.00e+001	43.0	
	4.00e+000	59.0	
	8.00e-001	58.0	
45	8.00e-001	39.0	1.2
	4.00e+000	75.0	1.2
	2.00e+001	83.0	1.2
	4.00e+001	89.0	1.2

TABLE 5

Example No.	Conc (M)	pol type	% Inhib	IC50 uM
46	5.00e-005	CMV	67.1	
	1.00e-004	CMV	83.2	
	2.50e-005	CMV	54.2	
		CMV		23.3
	3.13e-006	CMV	13.9	
	6.25e-006	CMV	23	
	1.30e-005	CMV	30.7	
47	3.13e-006	CMV	76.5	
	6.25e-006	CMV	61.3	
	1.30e-005	CMV	54.3	
	2.50e-005	CMV	59.4	
	5.00e-005	CMV	65.9	
	1.00e-004	CMV	73.1	
		CMV		0.35
	7.81e-008	CMV	5.6	
	1.56e-007	CMV	20.3	
	3.13e-007	CMV	48.6	
	6.25e-007	CMV	76.7	
	1.25e-006	CMV	88.5	
	2.50e-006	CMV	94.9	
	1.25e-006	CMV	96.4	
	2.50e-006	CMV	96.7	
	5.00e-006	CMV	96.1	
	1.00e-005	CMV	95.5	
	6.25e-007	CMV	93.2	
		CMV		< 0.3
	3.13e-007	CMV	80.5	
48		CMV		0.5
	3.13e-007	CMV	32.6	
	6.25e-007	CMV	61.3	
	1.25e-006	CMV	77.2	
	2.50e-006	CMV	87.2	
	5.00e-006	CMV	91.8	
	1.00e-005	CMV	95.6	
	3.13e-006	CMV	97.2	
	6.25e-006	CMV	96.8	
	1.30e-005	CMV	97.5	
	2.50e-005	CMV	97.8	
	5.00e-005	CMV	98.8	
	1.00e-004	CMV	97.8	
		CMV		< 3.1
49	3.13e-006	CMV	95.2	
	6.25e-006	CMV	96	
	1.30e-005	CMV	97	
	2.50e-005	CMV	97.3	
	5.00e-005	CMV	97.2	
	1.00e-004	CMV	98.4	
		CMV		< 3.1
		CMV		0.6
	3.13e-007	CMV	41.2	
	6.25e-007	CMV	49.3	
	1.25e-006	CMV	66.8	
	2.50e-006	CMV	85.5	
	5.00e-006	CMV	92.8	
	1.00e-005	CMV	96.1	

TABLE 5 (CONTINUED)

Example No.	Conc (M)	pol type	% Inhib	IC50 uM
50	5.00e-005	CMV	92.6	
	1.00e-004	CMV	95.6	
	2.50e-005	CMV	80.9	
		CMV		< 3.1
	3.13e-006	CMV	83.7	
	6.25e-006	CMV	91.1	
	1.30e-005	CMV	92.6	
	2.50e-005	CMV	96	
	5.00e-005	CMV	97.1	
	1.00e-004	CMV	97.7	
		CMV		1.2
	3.13e-006	CMV	23.2	
	6.25e-006	CMV	34.9	
	1.30e-005	CMV	40.1	
51		CMV		< 3.1
	3.13e-006	CMV	97.1	
	6.25e-006	CMV	96.6	
	1.30e-005	CMV	96.8	
	2.50e-005	CMV	96.9	
	5.00e-005	CMV	97.9	
	1.00e-004	CMV	98.7	
		CMV		0.17
	3.13e-006	CMV	60.2	
	6.25e-006	CMV	86.7	
	1.30e-005	CMV	94.2	
	2.50e-005	CMV	98.2	
	5.00e-005	CMV	98.7	
	1.00e-004	CMV	98.4	
52		CMV		< 3.1
	3.13e-006	CMV	97.4	
	6.25e-006	CMV	98.4	
	1.30e-005	CMV	98.9	
	2.50e-005	CMV	98.7	
	5.00e-005	CMV	98.5	
	1.00e-004	CMV	98.6	
		CMV		0.17
	3.13e-006	CMV	59.7	
	6.25e-006	CMV	84.6	
	1.30e-005	CMV	95.2	
	2.50e-005	CMV	97.3	
	5.00e-005	CMV	98.7	
	1.00e-004	CMV	99	
53		CMV		< 3.1
	3.13e-006	CMV	94.6	
	6.25e-006	CMV	94.2	
	1.30e-005	CMV	94.7	
	2.50e-005	CMV	95.8	
	5.00e-005	CMV	92.7	
	1.00e-004	CMV	95.8	
		CMV		0.3
	3.13e-007	CMV	46	
	6.25e-007	CMV	68.2	
	1.25e-006	CMV	84.8	
	2.50e-006	CMV	92.8	
	5.00e-006	CMV	95.4	

TABLE 5 (CONTINUED)

Example No.	Conc (M)	pol type	% Inhib	IC50 uM
53	1.00e-005	CMV	94.9	
54		CMV		< 3.1
	3.13e-006	CMV	88.7	
	6.25e-006	CMV	94.4	
	1.30e-005	CMV	95.1	
	2.50e-005	CMV	95.6	
	5.00e-005	CMV	95.6	
	1.00e-004	CMV	95.3	
		CMV		0.3
	3.13e-007	CMV	45.9	
	6.25e-007	CMV	77.8	
	1.25e-006	CMV	89.6	
	2.50e-006	CMV	94.2	
	5.00e-006	CMV	97.3	
	1.00e-005	CMV	98.7	
55		CMV		31.5
	3.13e-006	CMV	17.2	
	6.25e-006	CMV	27.4	
	1.30e-005	CMV	27.7	
	2.50e-005	CMV	42.9	
	5.00e-005	CMV	51.4	
	1.00e-004	CMV	73.5	
		CMV		19.7
56	3.13e-006	CMV	27.9	
	6.25e-006	CMV	30	
	1.30e-005	CMV	36.8	
	2.50e-005	CMV	48.4	
	5.00e-005	CMV	59.8	
	1.00e-004	CMV	81.8	
	3.13e-006	CMV	-2.9	
58	6.25e-006	CMV	2.4	
	1.30e-005	CMV	27.9	
	2.50e-005	CMV	40.2	
	5.00e-005	CMV	46.2	
	1.00e-004	CMV	65	
	3.13e-006	CMV	-2.9	
	6.25e-006	CMV	2.4	
	1.30e-005	CMV	27.9	
	2.50e-005	CMV	40.2	
	5.00e-005	CMV	46.2	
	1.00e-004	CMV	65	
	3.13e-006	CMV	-2.9	
	6.25e-006	CMV	2.4	
	1.30e-005	CMV	27.9	
	2.50e-005	CMV	40.2	
	5.00e-005	CMV	46.2	
	1.00e-004	CMV	65	
		CMV		49.7
	3.13e-006	CMV	-2.9	
	6.25e-006	CMV	2.4	
	1.30e-005	CMV	27.9	
	2.50e-005	CMV	40.2	
	5.00e-005	CMV	46.2	
	1.00e-004	CMV	65	
57		CMV		8.0

TABLE 6

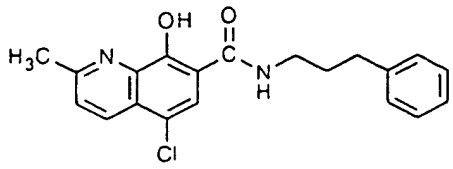
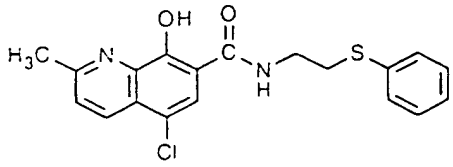
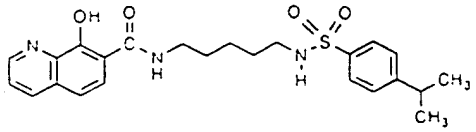
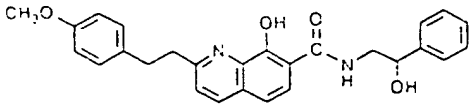
Example No.	Concentration (μM)	% Inhibition	IC_{50} (μM)
Example 59	200	43	>200
	100	31	
	50	14	
	25	0	
	12.5	-3	
	6.25	-6	
	3.13	-7	
Example 60	200	70	57.4
	100	55	
	50	51	
	25	32	
	12.5	31	
	6.25	19	
	3.13	30	
Example 61	200	51	>200
	100	38	
	50	30	
	25	30	
	12.5	23	
	6.25	15	
	3.13	13	

Example No.	Concentration (μM)	% Inhibition	IC_{50} (μM)
Example 62	200	42	>200
	100	33	
	50	14	
	25	10	
	12.5	6	
	6.25	3	
	3.13	1	
Example 63	100	92	11.4
	50	74	
	25	71	
	12.5	49	
	6.25	34	
	3.13	29	
Example 64	200	84	29.8
	100	58	
	50	75	
	25	46	
	12.5	25	
	6.25	25	
	3.13	16	
Example 65	200	-8	>200
	100	-23	
	50	-23	

Example No.	Concentration (μM)	% Inhibition	IC_{50} (μM)
	25	-21	
	12.5	-13	
	6.25	-8	
	3.13	-8	
Example 66	200	89	11.0
	100	86	
	50	64	
	25	57	
	12.5	60	
	6.25	41	
	3.13	32	
	200	88	17.9
	100	91	
	50	71	
	25	77	
	12.5	37	
	6.25	30	
	3.13	13	
Example 67	200	94	23.6
	100	86	
	50	76	
	25	41	
	12.5	25	

Example No.	Concentration (μM)	% Inhibition	IC_{50} (μM)
	6.25	23	
	3.13	13	

TABLE 7

Example Number, Structure	Antiviral Selective Polymerase IC50 Values - Polymerase	IC50 (uM)
Example 69 	CMV	9.7 9.4
Example 70 	CMV	42.8
Example 71 	CMV	<3.1
Example 73 	CMV	13.3

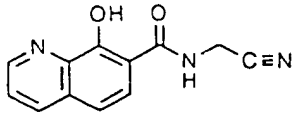
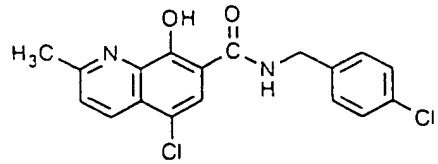
Example Number, Structure	CMV Antiviral Assay Conc (uM)	% Inh - AV	IC50 (AV)
Example 72 	2.00e+001 4.00e+000 8.00e-001	90.0 41.0 34.0	3 3 3
Example 68 	4.00e+001 2.00e+001 4.00e+000 8.00e+001 4.00e+001 3.00e+001 2.00e+001 1.00e+001 8.00e+000 4.00e+000	99.0 96.0 12.0 21.0 66.0 52.0 54.0 50.0 35.0 8.0	3 3 3 3 14.1 14.1 14.1 14.1 14.1 14.1

TABLE 8

Compound	MS-ESI (+)	MS-ESI (-)	NMR (d) (CDCl3)	Elem. Anal.
75	332	330	8.8, 8.2, 8.1, 7.9, 7.5, 7.4, 7.3, 7.2, 7.1, 3.9, 3.2	
76	309	307		
77	385	383		
78	347	345		
79	347	345		
80	329	327		
81	321	319		
82	301	299	8.8, 8.2, 7.8, 7.5, 7.4, 3.5, 1.7, 1.5-1.2, 0.9	
83	347	345		
84	347	345		
85	297	295		
86	361	359	8.8, 8.2, 7.9, 7.5, 7.4, 7.2, 3.8, 3.1	
87	325	323		
88	313	311		
89	293	291		
90	293	291		
91	313	311		
92	309	307	8.8, 8.3, 8.2, 7.6, 7.5, 7.4, 5.0, 4.0, 3.7	

Compound	MS-ESI (+)	MS-ESI (-)	NMR (d) (CDCl3)	Elem. Anal.
93	369	367		
94	308	306		
95	301	299	8.8, 8.2, 7.8, 7.5, 7.4, 3.5, 1.6, 1.5-1.2, 1.0, 0.9	
96	343	341		
97	441	439		
98	371	369		
99	327	325		
100	307	305		
101	383	381		
102	307	305		
103	315	313	8.8, 8.2, 7.8, 7.5, 7.4, 3.5, 1.7, 1.5-1.2, 0.9	
104	315	313		
105	313	311		
106	285	283		
107	299	297		
108	285	283		
109	285	283		
110	319	317		
111	299	297		
112	305	303		
113	285	283		

Compound	MS-ESI (+)	MS-ESI (-)	NMR (d) (CDCl3)	Elem. Anal.
114	355	353		
115	293	291		
116	287	282		
117	301	299		
118	327	325		
119	409	407		
120	343	341		
121	343	341		
122	293	291		
123	373	371		
124	373	371		
125	385	383		
126	385	383		
127	283	281		
128	325	323		
129	339	337		
130	367	365	8.8, 8.2, 8.1, 7.5, 7.4-7.2, 5.3, 5.0, 4.2	
131	367	355	8.8, 8.2, 8.1, 7.5, 7.4, 7.1, 6.8, 5.1, 3.8, 3.2	
132	404	402		
133	323	321		
134	457	455		

Compound	MS-ESI (+)	MS-ESI (-)	NMR (d) (CDCl3)	Elem. Anal.
135	396	394		
136	315	313		
137	287	285		
138	331	329		
139	347	345		
140	347	345		
141	391	389		
142	407	405		
143	405	403		
144	417	415		
145	444	442		
146	285	283	8.8, 8.2, 7.9, 7.5, 7.4, 3.4, 1.9-1.6, 1.4-1.0	C 71.57, H 7.08, N 9.87
147	329	327	8.8, 8.2, 7.8, 7.6-7.4, 7.3, 5.2	C 76.52, H 5.19, N 8.59
148	327	325	10.0, 8.8, 8.2, 8.0, 7.5, 7.3, 7.2, 7.1, 3.8, 3.0	C 65.82, H 4.63, N 8.56
149	347	345	9.6, 8.8, 8.4, 8.2, 7.7-7.3, 4.8	C 66.22, H 4.09, N 8.04
150	325	323	10.0, 8.8, 8.2, 8.1, 7.5, 7.4, 7.3, 7.2, 7.1, 3.8, 3.2	C 66.48, H 5.06, N 8.55
151	287	285	10, 8.8, 8.1, 7.8, 7.5, 7.3, 3.5, 1.7, 1.5-1.2, 0.9	

TABLE 9

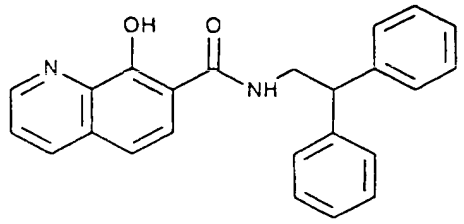
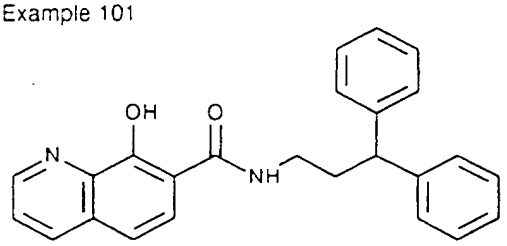
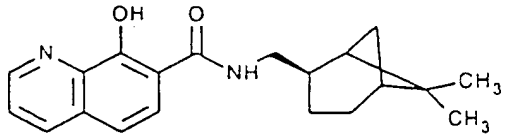
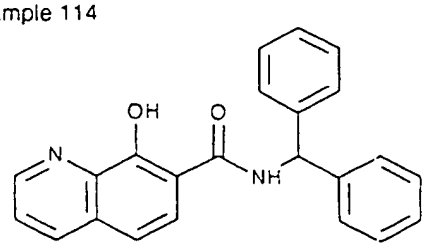
	CMV pol Assay -
Example Number, Structure	IC50 uM
Example 93 	0.9
Example 101 	< 1.5
Example 87 	1.5
Example 114 	< 3.1 1.6 20

TABLE 9 (CONT'D.)

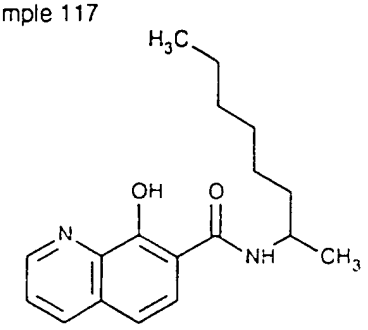
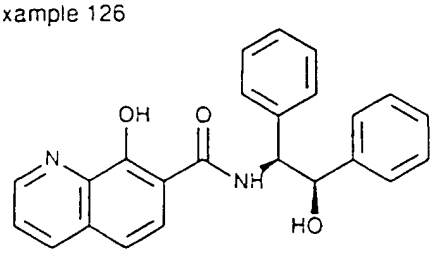
Example Number, Structure	CMV pol Assay - IC50 uM
Example 117 	1.7
Example 126 	2.2 4.7

TABLE 9 (CONT'D.)

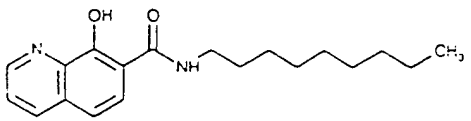
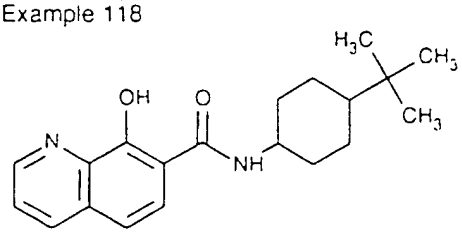
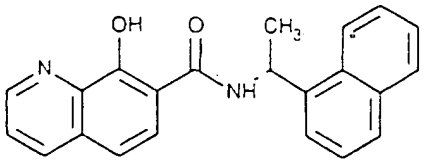
	CMV pol Assay -
Example Number, Structure	IC50 uM
Example 103 	2.2
Example 118 	2.3
Example 120 	< 3.1 2.4 10.8

TABLE 9 (CONT'D.)

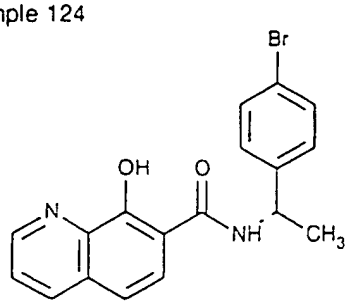
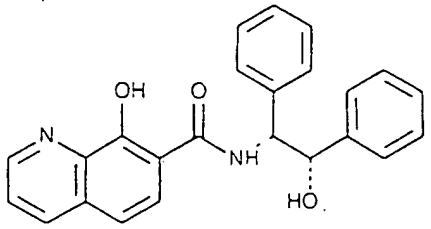
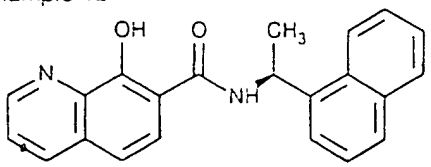
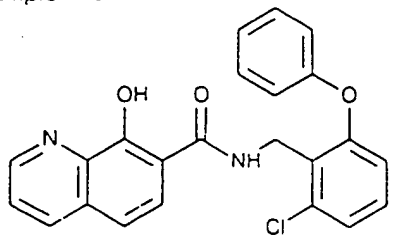
	CMV pol Assay -
Example Number, Structure	IC50 uM
Example 124 	2.6
Example 125 	2.9 6.4
Example 121 	3
Example 143 	3.1

TABLE 9 (CONT'D.)

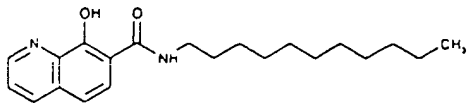
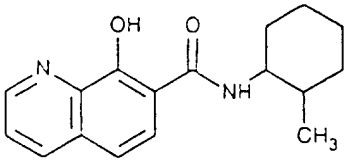
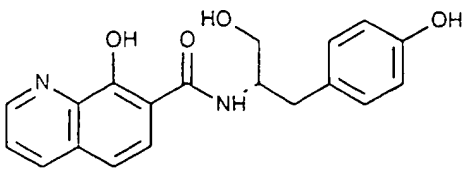
	CMV pol Assay -
Example Number, Structure	IC50 uM
Example 96 	< 3.1
Example 106 	< 3.1 > 10
Example 129 	3.1

TABLE 9 (CONT'D.)

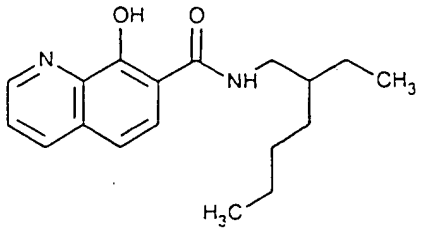
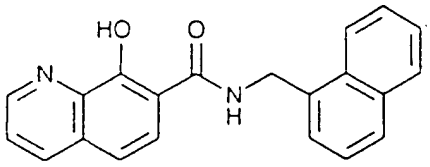
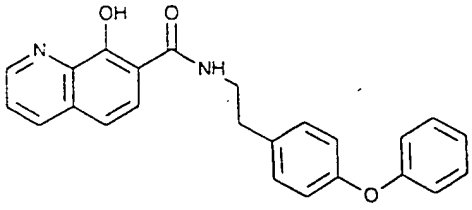
	CMV pol Assay -
Example Number, Structure	IC50 uM
Example 95 	3.2
Example 147 	3.7
Example 77 	4.5

TABLE 9 (CONT'D.)

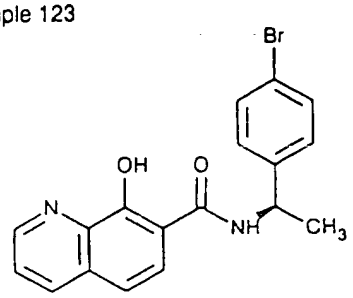
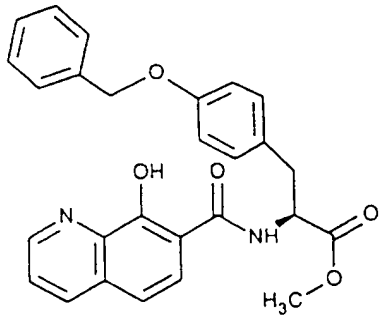
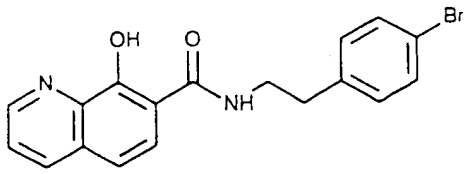
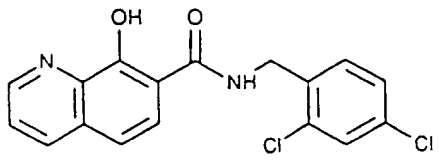
	CMV pol Assay -
Example Number, Structure	IC50 uM
Example 123 	4.6
Example 134 	4.9
Example 98 	5
Example 78 	5.2

TABLE 9 (CONT'D.)

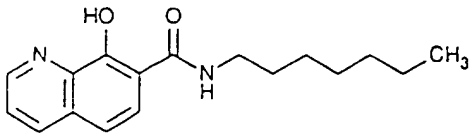
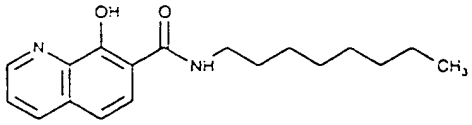
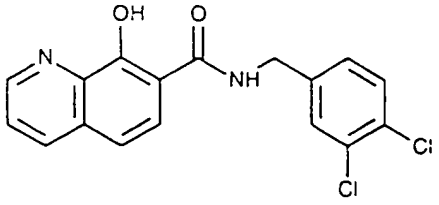
Example Number, Structure	CMV pol Assay - IC50 uM
Example 151 	5.2
Example 82 	5.6
Example 79 	5.6

TABLE 9 (CONT'D.)

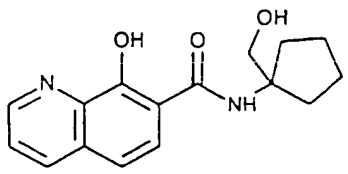
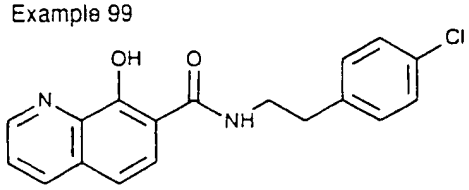
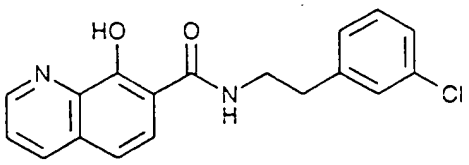
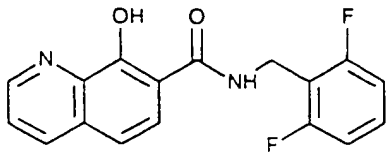
Example Number, Structure	CMV pol Assay - IC50 uM
Example 137 	6.6
Example 99 	6.7
Example 148 	6.9
Example 104 	7.1

TABLE 9 (CONT'D.)

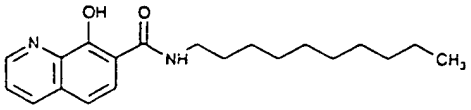
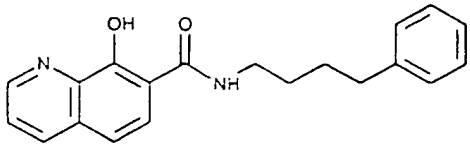
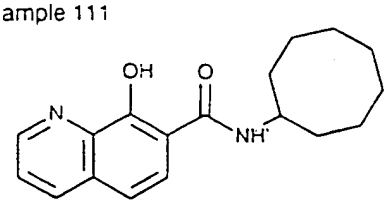
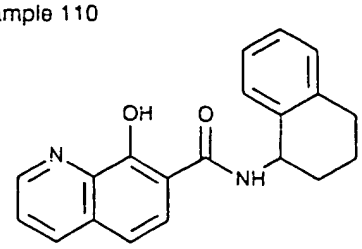
	CMV pol Assay -
Example Number, Structure	IC50 uM
Example 80 	7.1
Example 81 	7.4
Example 111 	7.6
Example 110 	7.6

TABLE 9 (CONT'D.)

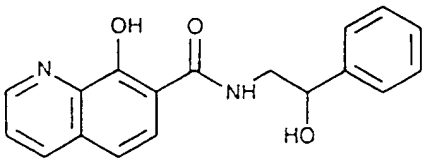
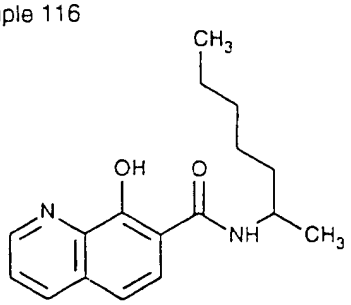
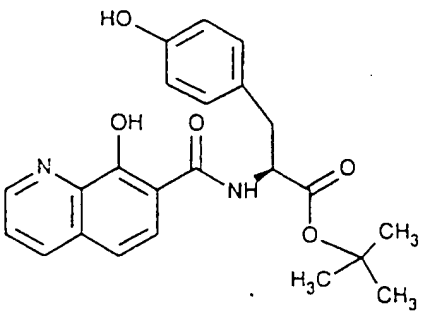
	CMV pol Assay - Y.Yagi
Example Number, Structure	IC50 uM
Example 92 	7.8
Example 116 	7.9
Example 119 	8.1

TABLE 9 (CONT'D.)

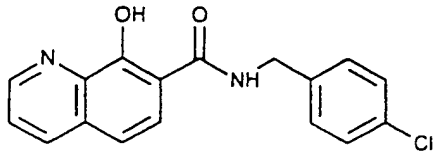
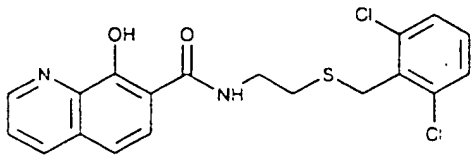
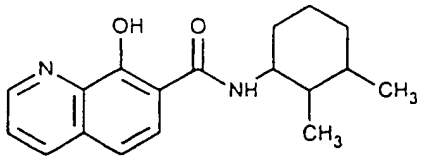
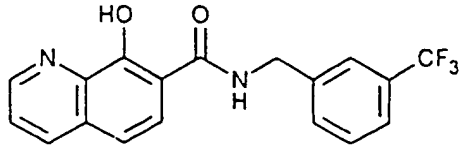
	CMV pol Assay -
Example Number, Structure	IC50 uM
Example 91 	8.1
Example 142 	8.4
Example 97 	8.4
Example 149 	8.5

TABLE 9 (CONT'D.)

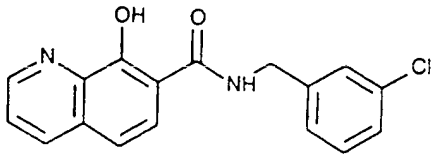
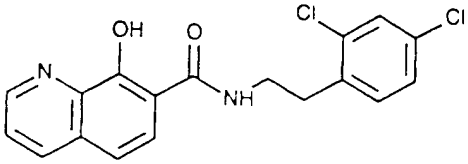
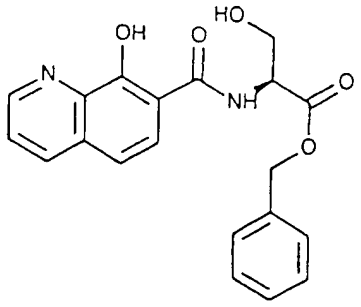
	CMV pol Assay -
Example Number, Structure	IC50 uM
Example 105 	8.7
Example 86 	9
Example 130 	11.3 9.2

TABLE 9 (CONT'D.)

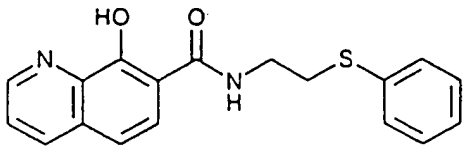
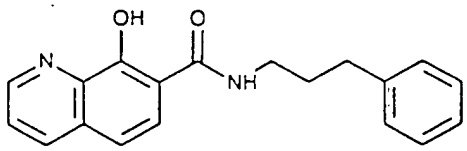
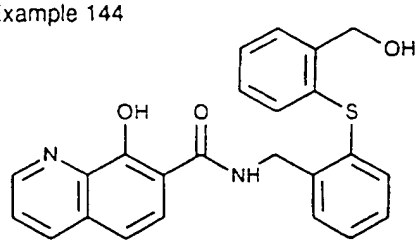
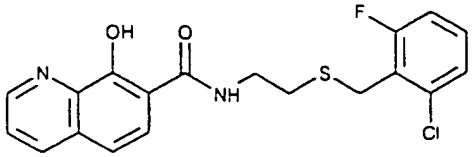
	CMV pol Assay -
Example Number, Structure	IC50 uM
Example 150 	9.2
Example 102 	9.3
Example 144 	9.4
Example 141 	9.6

TABLE 9 (CONT'D.)

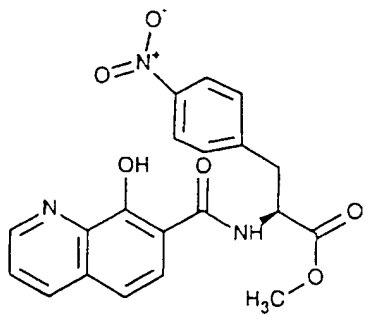
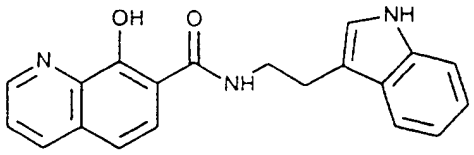
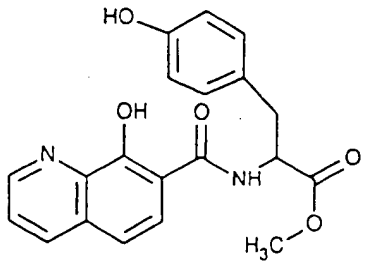
	CMV pol Assay -
Example Number, Structure	IC50 uM
Example 135 	10.8
Example 75 	11.1
Example 131 	12.1

TABLE 9 (CONT'D.)

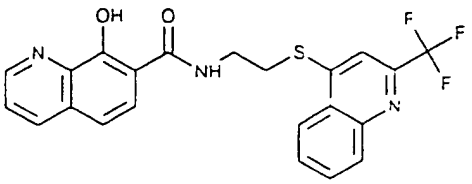
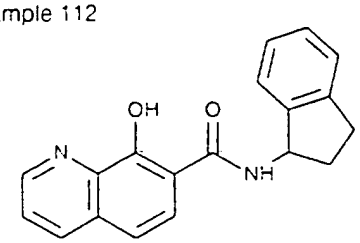
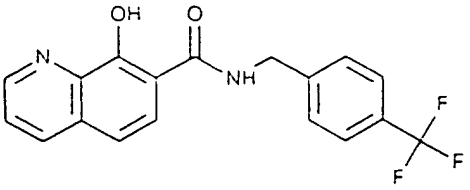
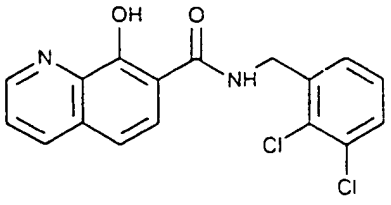
	CMV pol Assay -
Example Number, Structure	IC50 uM
Example 145 	12.6
Example 112 	13.2
Example 83 	13.7
Example 139 	14.6

TABLE 9 (CONT'D.)

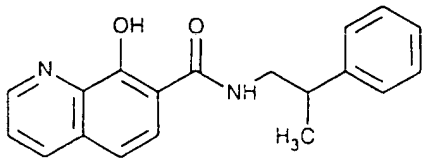
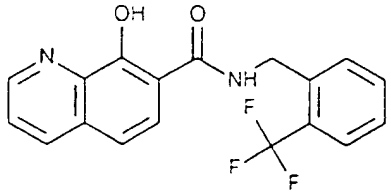
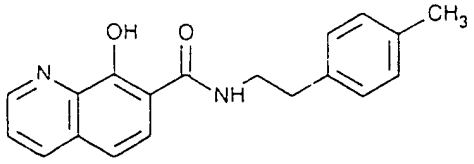
	CMV pol Assay -
Example Number, Structure	IC50 uM
Example 94 	14.8
Example 84 	15.7
Example 100 	16.8

TABLE 9 (CONT'D.)

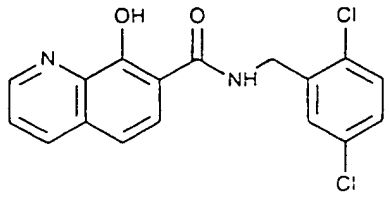
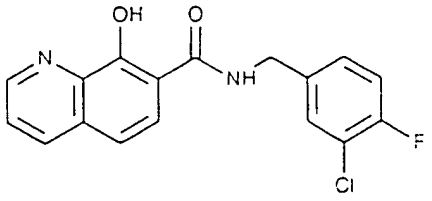
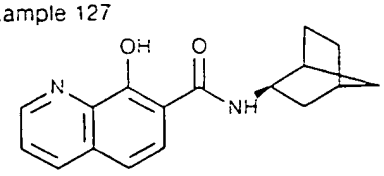
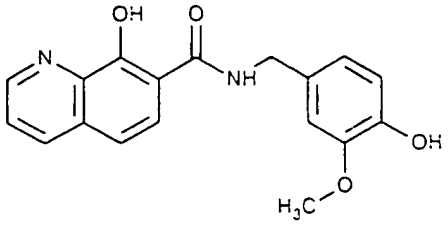
	CMV pol Assay -
Example Number, Structure	IC50 uM
Example 140 	17
Example 138 	17.5
Example 127 	19.2
Example 128 	19.3

TABLE 9 (CONT'D.)

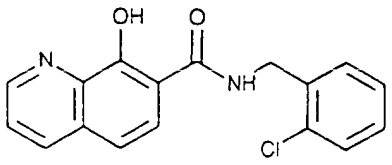
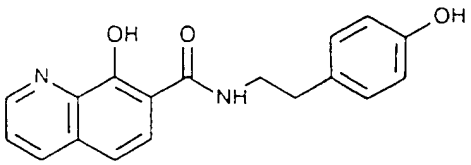
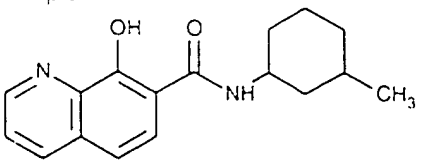
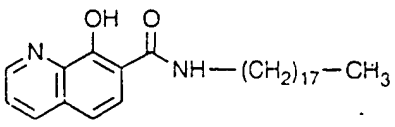
	CMV pol Assay -
Example Number, Structure	IC50 uM
Example 88 	19.7
Example 76 	20.1
Example 108 	20.6
Example 97 	20.7

TABLE 9 (CONT'D.)

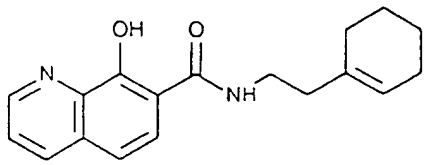
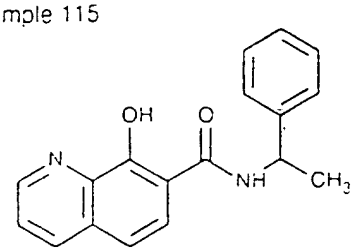
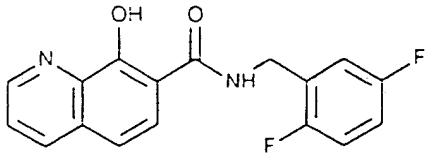
	CMV pol Assay -
Example Number, Structure	IC50 uM
Example 85 	21.1
Example 115 	22.2
Example 136 	22.3

TABLE 9 (CONT'D.)

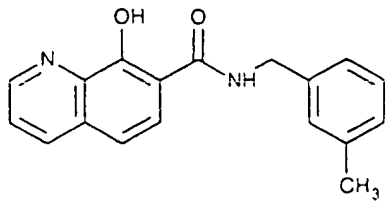
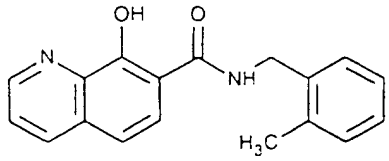
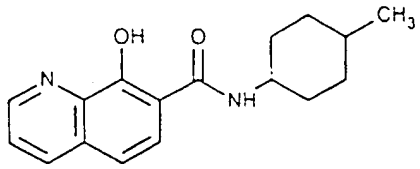
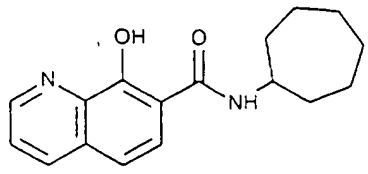
	CMV pol Assay -
Example Number, Structure	IC50 uM
Example 90 	22.6
Example 89 	23.2
Example 109 	23.3
Example 113 	23.8

TABLE 9 (CONT'D.)

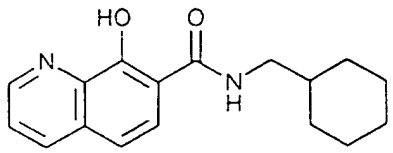
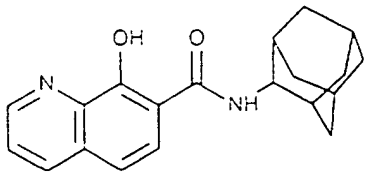
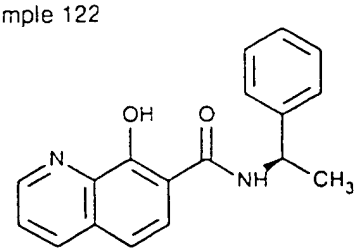
	CMV pol Assay -
Example Number, Structure	IC50 uM
Example 146 	24.2
Example 133 	24.3
Example 122 	24.5

TABLE 9 (CONT'D.)

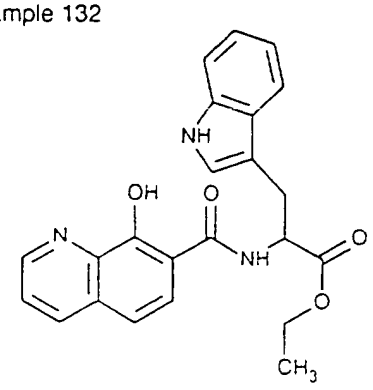
	CMV pol Assay -
Example Number, Structure	IC50 uM
Example 132 	24.6 29.4

TABLE 10

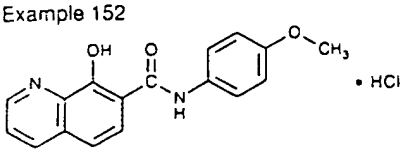
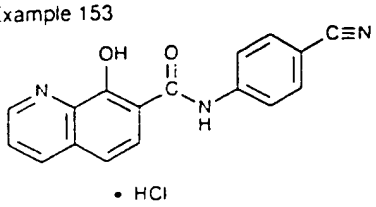
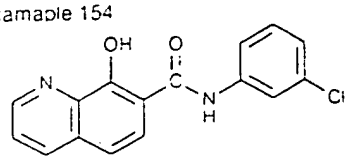
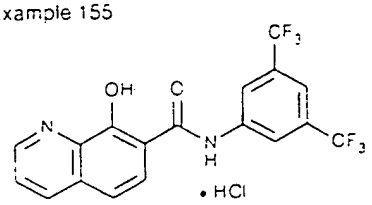
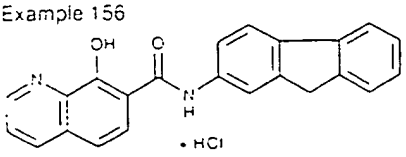
Example Number, Structure	CMV pol Assay			
	Conc (M)	pol type	% Inhib	IC50 uM
Example 152 	1.00e-004	CMV	98.7	30.1
	5.00e-005	CMV	87.6	
	3.13e-006	CMV	2.5	
	6.25e-006	CMV	3.4	
	1.30e-005	CMV	11.8	
	2.50e-005	CMV	43.1	
	1.00e-004	CMV	98.2	
Example 153 	3.13e-006	CMV	7	> 100
	6.25e-006	CMV	6.2	
	1.30e-005	CMV	12.8	
	2.50e-005	CMV	23.1	
	5.00e-005	CMV	28.5	
	1.00e-004	CMV	44	
	1.00e-004	CMV	99.9	
Examaple 154 	3.13e-006	CMV	56.8	1.7
	6.25e-006	CMV	90.6	
	1.30e-005	CMV	99.7	
	2.50e-005	CMV	99.8	
	5.00e-005	CMV	100.8	
	1.00e-004	CMV	100.3	
	1.00e-004	CMV	99.9	
Example 155 	3.13e-006	CMV	2.6	68.2
	6.25e-006	CMV	9.8	
	1.30e-005	CMV	13.6	
	2.50e-005	CMV	28.9	
	5.00e-005	CMV	40.7	
	1.00e-004	CMV	60	
	1.00e-004	CMV	63.6	
Example 156 	3.13e-007	CMV	13.8	1.6
	6.25e-007	CMV	32.1	
	1.25e-006	CMV	40.9	
	2.50e-006	CMV	57.8	
	5.00e-006	CMV	65.3	
	1.00e-005	CMV	74.6	
	3.13e-006	CMV	76.1	< 3.1
	6.25e-006	CMV	79.8	
	1.30e-005	CMV	82.9	
	2.50e-005	CMV	83.6	
	5.00e-005	CMV	82.8	
	1.00e-004	CMV	90.8	

TABLE 10 (CONT'D.)

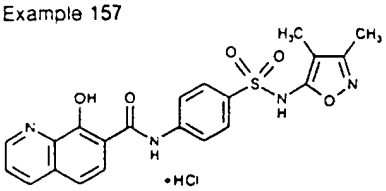
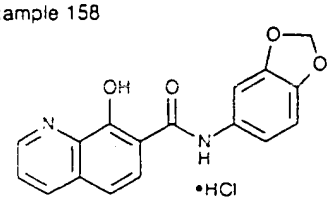
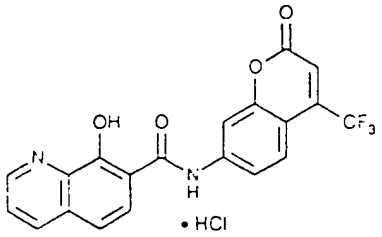
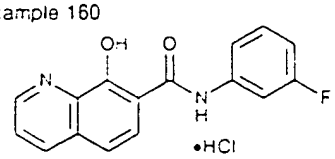
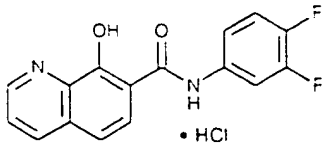
	CMV pol Assay			
Example Number, Structure	Conc (M)	pol type	% Inhib	IC50 uM
Example 157 	3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005 1.00e-004	CMV CMV CMV CMV CMV CMV CMV	-3.9 3.3 6.6 15.8 20.5 57.2	90
Example 158 	3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005 1.00e-004	CMV CMV CMV CMV CMV CMV CMV	-4.2 11.9 39.1 75.6 98.2 100	16.4
Example 159 	3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005 1.00e-004	CMV CMV CMV CMV CMV CMV CMV	26.8 44.1 55.4 63.4 76.3 86.2	9.5
Example 160 	3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005 1.00e-004 1.00e-004	CMV CMV CMV CMV CMV CMV CMV CMV	3.1 4.8 30 82.9 100 100.3 98.7	16.9
Example 161 	3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005 1.00e-004	CMV CMV CMV CMV CMV CMV CMV	0.4 7.1 8.4 26.2 26.5 59	82.9

TABLE 10 (CONT'D.)

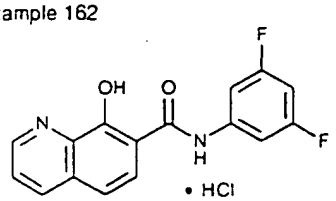
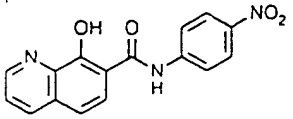
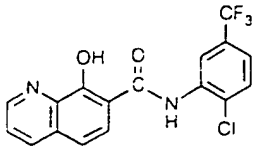
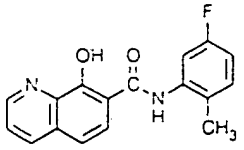
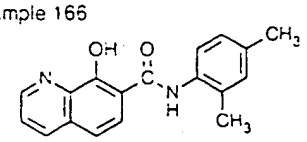
	CMV pol Assay			
Example Number, Structure	Conc (M)	pol type	% Inhib	IC50 uM
Example 162 		CMV		26.3
	3.13e-006	CMV	1.1	
	6.25e-006	CMV	6.6	
	1.30e-005	CMV	32.7	
	2.50e-005	CMV	58.1	
	5.00e-005	CMV	68.8	
	1.00e-004	CMV	80.6	
Example 163 	1.25e-007	CMV	-0.2	
	6.25e-006	CMV	10.4	
	1.30e-005	CMV	18.3	
	2.50e-005	CMV	34.6	
	5.00e-005	CMV	50.3	
	1.00e-004	CMV	71.3	
		CMV		48.4
Example 164 	1.00e-004	CMV	93.2	
		CMV		14
	3.13e-006	CMV	12	
	6.25e-006	CMV	24.4	
	1.30e-005	CMV	45.5	
	2.50e-005	CMV	74.3	
	5.00e-005	CMV	88.1	
Example 165 		CMV		14.7
	3.13e-005	CMV	7.8	
	3.13e-006	CMV	29.7	
	6.25e-006	CMV	11.2	
	6.25e-006	CMV	47.1	
	1.30e-005	CMV	9.4	
	1.30e-005	CMV	88.8	
	2.50e-005	CMV	19.5	
	2.50e-005	CMV	102.4	
	5.00e-005	CMV	48.8	
	5.00e-005	CMV	103	
	1.00e-004	CMV	91.1	
	1.00e-004	CMV	102	
Example 166 		CMV		22.4
	3.13e-006	CMV	6	
	3.13e-006	CMV	26.3	
	6.25e-006	CMV	2.3	
	6.25e-006	CMV	35.6	
	1.30e-005	CMV	5.8	
	1.30e-005	CMV	69.7	
	2.50e-005	CMV	9.5	
	2.50e-005	CMV	101.8	
	5.00e-005	CMV	18.1	
	5.00e-005	CMV	103.6	
	1.00e-004	CMV	65.8	
	1.00e-004	CMV	102.8	

TABLE 10 (CONT'D.)

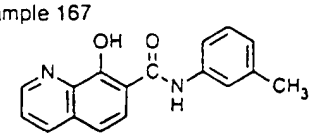
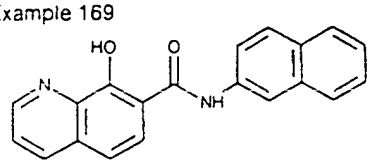
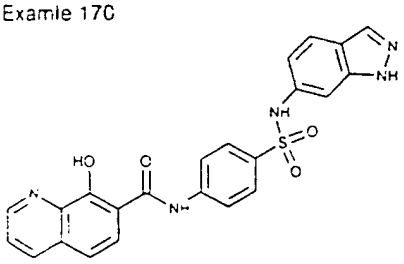
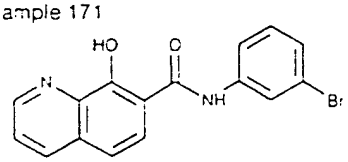
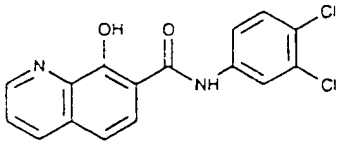
PNU/L-number, Structure	Conc (M)	pol type	% Inhib	IC50 uM
			CMV pol Assay	
Example 167 		CMV		12.2
	3.13e-006	CMV	17	
	6.25e-006	CMV	18.6	
	1.30e-005	CMV	41.9	
	2.50e-005	CMV	91.9	
	5.00e-005	CMV	102.8	
	1.00e-004	CMV	102.9	
Example 169 	2.50e-005	CMV	73.7	15.5
		CMV		
	3.13e-006	CMV	13.2	
	6.25e-006	CMV	21.2	
	1.30e-005	CMV	29.7	
	2.50e-005	CMV	77.9	
	5.00e-005	CMV	98.6	
	1.00e-004	CMV	100	
Example 170 	2.50e-005	CMV	90.8	2.4
		CMV		
	1.56e-006	CMV	26	
	3.13e-006	CMV	58.1	
	6.25e-006	CMV	87.3	
	1.30e-005	CMV	97.6	
	2.50e-005	CMV	99	
	5.00e-005	CMV	99.4	
Example 171 	2.50e-005	CMV	90.6	9.2
		CMV		
	1.56e-006	CMV	10	
	3.13e-006	CMV	15.6	
	6.25e-006	CMV	26.7	
	1.30e-005	CMV	68.5	
	2.50e-005	CMV	96.3	
	5.00e-005	CMV	99.8	
Example 172 	2.50e-005	CMV	71.5	32.7
		CMV		
	3.13e-006	CMV	9.8	
	6.25e-006	CMV	15.5	
	1.30e-005	CMV	19.9	
	2.50e-005	CMV	59.5	
	5.00e-005	CMV	69.9	
	1.00e-004	CMV	51.4	

TABLE 10 (CONT'D.)

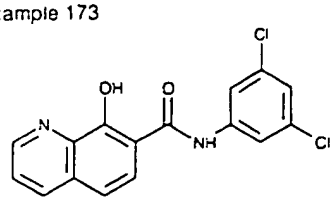
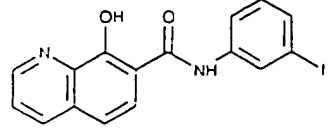
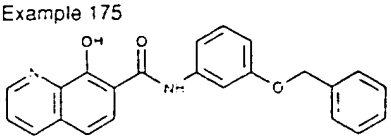
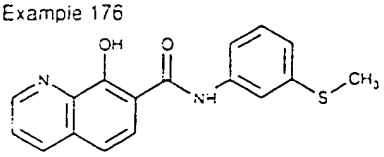
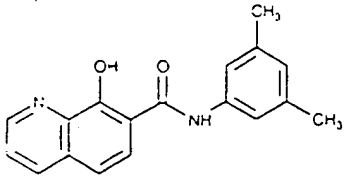
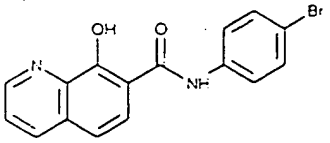
	CMV pol Assay			
Example Number, Structure	Conc (M)	pol type	% Inhib	IC50 uM
Example 173 	2.50e-005	CMV	30.6	
Example 174 	5.00e-005 2.50e-005 2.50e-005 1.56e-006 3.13e-006 6.25e-006 1.30e-005	CMV CMV CMV CMV CMV CMV CMV CMV	99.6 98 95.4 13.9 23 47.4 87.7	5.9
Example 175 	2.50e-005 1.56e-006 3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005	CMV CMV CMV CMV CMV CMV CMV CMV	85.3 17.4 49.8 85.1 97.2 98.3 99.1	2.9
Example 176 	2.50e-005 1.56e-006 3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005	CMV CMV CMV CMV CMV CMV CMV CMV	91.9 7.7 15.2 11.9 19.5 57.3 91.1	25.5
Example 177 	6.25e-006 1.30e-005 2.50e-005 5.00e-005 3.13e-006 2.50e-005 1.56e-006	CMV CMV CMV CMV CMV CMV CMV CMV	13.1 23.1 75.4 99.1 11.1 84 5.9	19.2
Example 178 	2.50e-005 3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005 1.00e-004	CMV CMV CMV CMV CMV CMV CMV CMV	79.6 10.7 16 14.4 37.1 85.3 99.7	29.7

TABLE 10 (CONT'D.)

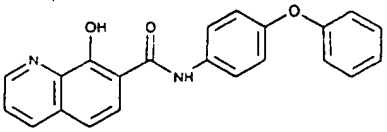
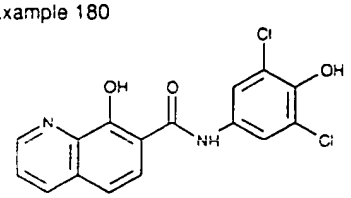
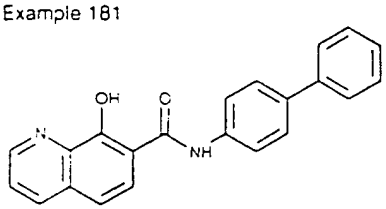
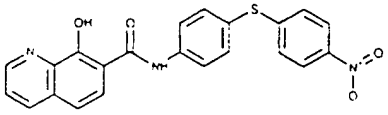
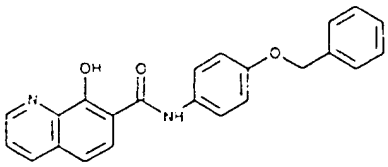
	CMV pol Assay			
Example Number, Structure	Conc (M)	pol type	% Inhib	IC50 uM
Example 179 	1.00e-004 5.00e-005 2.50e-005 3.13e-006 6.25e-006 1.30e-005 2.50e-005	CMV CMV CMV CMV CMV CMV CMV CMV	99.2 98.3 68 17.2 39.8 78.5 95.3	6.9
Example 180 	2.50e-005 3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005 1.00e-004	CMV CMV CMV CMV CMV CMV CMV CMV	67.1 8.9 15.2 19.5 38.9 77.2 98.8	30.5
Example 181 	2.50e-005	CMV	37.8	
Example 182 	 3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005 1.00e-004 2.50e-005	CMV CMV CMV CMV CMV CMV CMV CMV	 24.7 26.3 30.5 43 41.3 52.7 41.8	> 100
Example 183 	 3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005 1.00e-004 2.50e-005	CMV CMV CMV CMV CMV CMV CMV CMV	 30.8 63 88.9 97 97.8 98 42.7	4.1

TABLE 10 (CONT'D.)

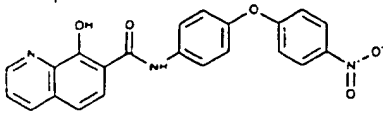
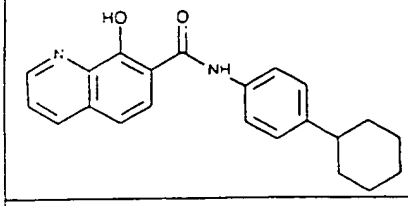
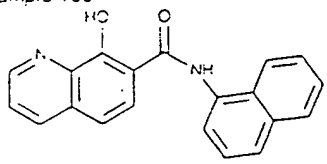
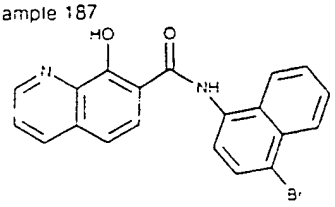
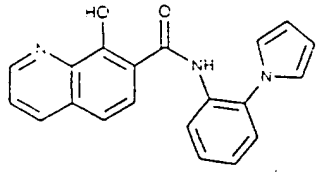
	CMV pol Assay			
Example Number, Structure	Conc (M)	pol type	% Inhib	IC50 uM
Example 184 	2.50e-005 5.00e-005 1.00e-004 3.13e-006 6.25e-006 1.30e-005 2.50e-005	CMV CMV CMV CMV CMV CMV CMV CMV	87.3 77.3 71.8 31.3 65.7 82.9 37.5	3.9
Example 185 	2.50e-005	CMV	37.6	
Example 186 	2.50e-005 1.56e-006 3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005	CMV CMV CMV CMV CMV CMV CMV CMV	91.3 23.8 32.2 53 86.3 98.5 99.5	4.9
Example 187 	2.50e-005	CMV	30.5	
Example 188 	2.50e-005 1.56e-006 3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005	CMV CMV CMV CMV CMV CMV CMV CMV	81.8 -6 -5.4 -0.7 2.7 27.6 83.2	27.9

TABLE 10 (CONT'D.)

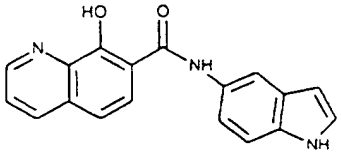
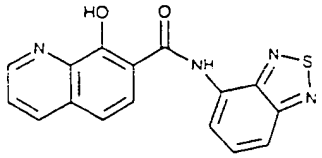
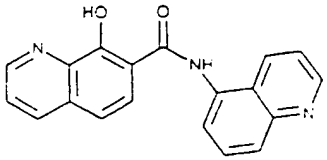
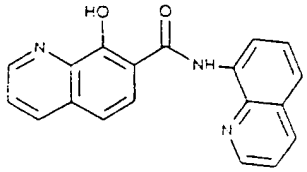
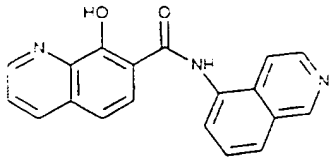
	CMV pol Assay			
Example Number, Structure	Conc (M)	pol type	% Inhib	IC50 uM
Example 189 	2.50e-005 1.56e-006 3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005	CMV CMV CMV CMV CMV CMV CMV	52 12.3 17 13.3 40.9 91.4 100.9	13.6
Example 190 	2.50e-005	CMV	30.8	
Example 191 	2.50e-005	CMV	22.3	
Example 192 	2.50e-005 1.56e-006 3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005	CMV CMV CMV CMV CMV CMV CMV	76.6 6.2 27.6 32.7 55.9 78.5 85.2	10.3
Example 193 	2.50e-005	CMV	39.3	

TABLE 10 (CONT'D.)

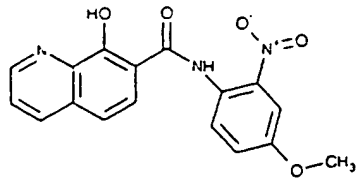
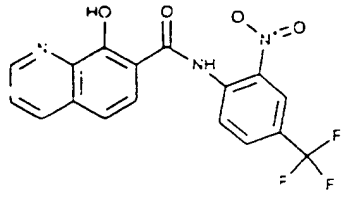
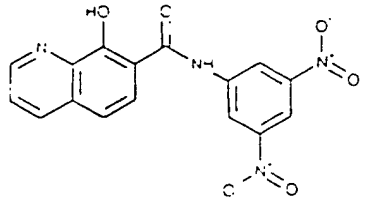
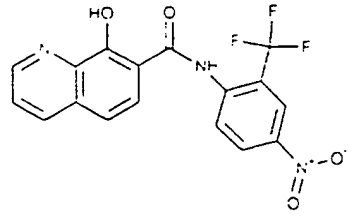
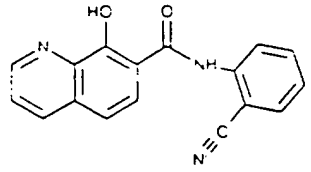
Example Number, Structure	CMV pol Assay			
	Conc (M)	pol type	% Inhib	IC50 uM
Example 194 	2.50e-005	CMV	52.2	22.4
		CMV		
	1.56e-006	CMV	10.8	
	3.13e-006	CMV	17.6	
	6.25e-006	CMV	16.8	
	1.30e-005	CMV	33.2	
	2.50e-005	CMV	51.1	
	5.00e-005	CMV	75.5	
Example 195 	2.50e-005	CMV	33.1	
Example 196 	2.50e-005	CMV	79.2	7.1
		CMV		
	1.56e-006	CMV	2.8	
	3.13e-006	CMV	31.7	
	6.25e-006	CMV	49.6	
	1.30e-005	CMV	70.5	
	2.50e-005	CMV	81.1	
	5.00e-005	CMV	89.7	
Example 197 	2.50e-005	CMV	35.9	
Example 198 	2.50e-005	CMV	46.7	> 50
		CMV		
	1.56e-006	CMV	5.4	
	3.13e-006	CMV	4	
	6.25e-006	CMV	4.1	
	1.30e-005	CMV	10	
	2.50e-005	CMV	7	
	5.00e-005	CMV	45.7	

TABLE 10 (CONT'D.)

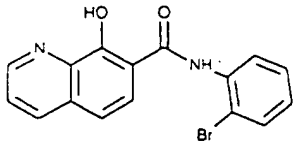
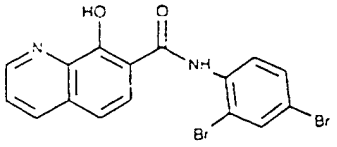
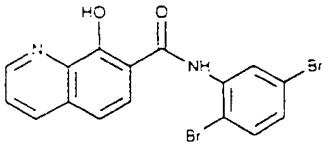
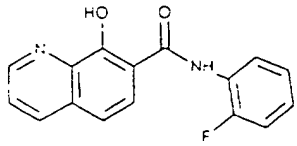
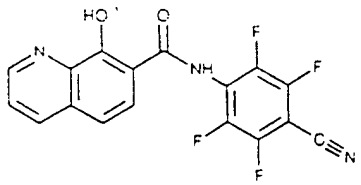
	CMV pol Assay			
Example Number, Structure	Conc (M)	pol type	% Inhib	IC50 uM
Example 199 	2.50e-005	CMV	63.3	16
		CMV		
	1.56e-006	CMV	7.7	
	3.13e-006	CMV	14	
	6.25e-006	CMV	5.1	
	1.30e-005	CMV	37	
	2.50e-005	CMV	85.5	
	5.00e-005	CMV	100	
Example 200 	2.50e-005	CMV	35	
Example 201 	2.50e-005	CMV	47.7	10.1
		CMV		
	1.56e-006	CMV	7.9	
	3.13e-006	CMV	15	
	6.25e-006	CMV	19.8	
	1.30e-005	CMV	65.4	
	2.50e-005	CMV	96.9	
	5.00e-005	CMV	100.8	
Example 202 	2.50e-005	CMV	57.5	27.3
		CMV		
	1.56e-006	CMV	7.6	
	3.13e-006	CMV	8	
	6.25e-006	CMV	10.4	
	1.30e-005	CMV	17.8	
	2.50e-005	CMV	36.2	
	5.00e-005	CMV	95.5	
Example 203 	2.50e-005	CMV	63.9	18.5
		CMV		
	1.56e-006	CMV	12.3	
	3.13e-006	CMV	15.9	
	6.25e-006	CMV	9	
	1.30e-005	CMV	30	
	2.50e-005	CMV	72	
	5.00e-005	CMV	99.6	

TABLE 10 (CONT'D.)

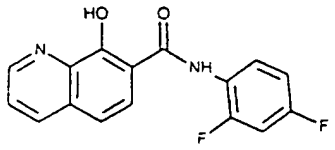
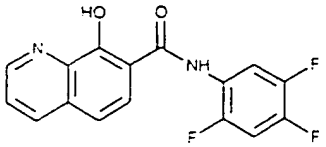
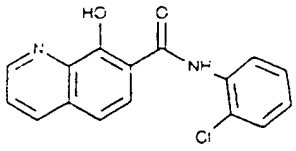
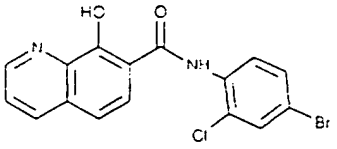
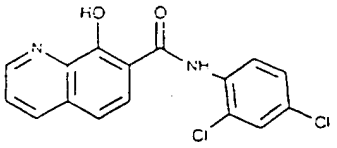
Example Number, Structure	CMV pol Assay			
	Conc (M)	pol type	% Inhib	IC50 uM
Example 204 	2.50e-005	CMV	73.9	26.8
		CMV		
	1.56e-006	CMV	2.1	
	3.13e-006	CMV	13.6	
	6.25e-006	CMV	14.3	
	1.30e-005	CMV	20.6	
	2.50e-005	CMV	35.7	
	5.00e-005	CMV	93.9	
Example 205 	2.50e-005	CMV	61.4	27.4
		CMV		
	1.56e-006	CMV	5.3	
	3.13e-006	CMV	8.3	
	6.25e-006	CMV	7.9	
	1.30e-005	CMV	13.4	
	2.50e-005	CMV	31.2	
	5.00e-005	CMV	98.4	
Example 206 	2.50e-005	CMV	94.6	9.4
		CMV		
	1.56e-006	CMV	26.5	
	3.13e-006	CMV	27.1	
	6.25e-006	CMV	32	
	1.30e-005	CMV	48.7	
	2.50e-005	CMV	92.7	
	5.00e-005	CMV	99.4	
Example 207 	2.50e-005	CMV	22.4	
Example 208 	2.50e-005	CMV	29.3	

TABLE 10 (CONT'D.)

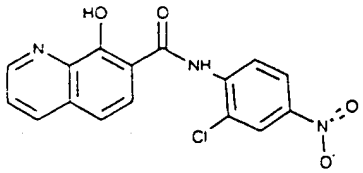
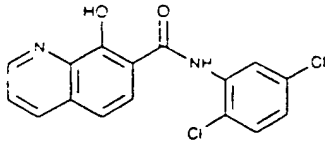
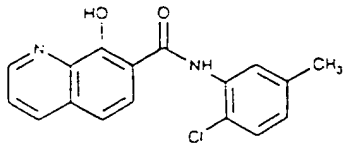
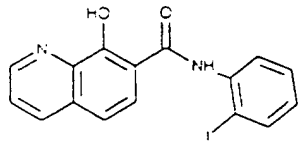
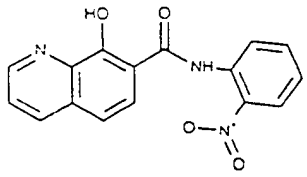
	CMV pol Assay			
Example Number, Structure	Conc (M)	pol type	% Inhib	IC50 uM
Example 209 	2.50e-005	CMV	91.7	3.3
		CMV		
	1.56e-006	CMV	30.1	
	3.13e-006	CMV	50.5	
	6.25e-006	CMV	64.1	
	1.30e-005	CMV	80.2	
	2.50e-005	CMV	89.5	
	5.00e-005	CMV	95.7	
Example 210 	2.50e-005	CMV	46.9	6.9
		CMV		
	1.56e-006	CMV	18.1	
	3.13e-006	CMV	44.5	
	6.25e-006	CMV	69.7	
	1.30e-005	CMV	55	
	2.50e-005	CMV	49.7	
	5.00e-005	CMV	52.9	
		CMV		18.9
	1.56e-006	CMV	17.8	
	3.13e-006	CMV	29.4	
	6.25e-006	CMV	38.9	
	1.30e-005	CMV	50	
	2.50e-005	CMV	47.2	
	5.00e-005	CMV	56.5	
Example 211 	2.50e-005	CMV	96.6	7.5
		CMV		
	1.56e-006	CMV	22.4	
	3.13e-006	CMV	26.3	
	6.25e-006	CMV	33.1	
	1.30e-005	CMV	68.3	
	2.50e-005	CMV	99	
	5.00e-005	CMV	99.4	
Example 212 	2.50e-005	CMV	88.9	12.5
		CMV		
	1.56e-006	CMV	23.4	
	3.13e-006	CMV	24.4	
	6.25e-006	CMV	21.4	
	1.30e-005	CMV	46	
	2.50e-005	CMV	77.9	
	5.00e-005	CMV	98.3	
Example 213 	2.50e-005	CMV	78.7	> 100
		CMV		
	1.56e-006	CMV	-1.3	
	3.13e-006	CMV	9.7	
	6.25e-006	CMV	3.3	
	1.30e-005	CMV	3.7	
	2.50e-005	CMV	1.2	
	5.00e-005	CMV	-6.5	

TABLE 10 (CONT'D.)

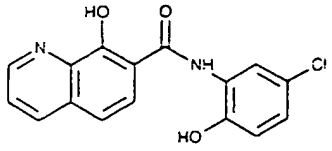
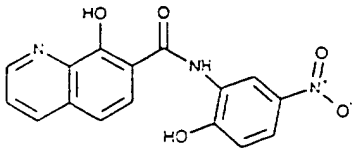
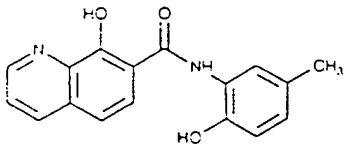
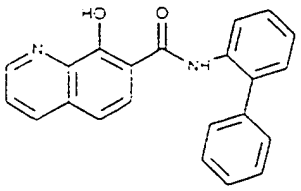
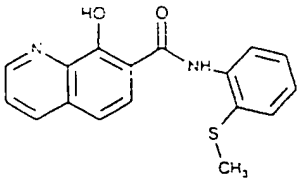
Example Number, Structure	CMV pol Assay			
	Conc (M)	pol type	% Inhib	IC50 uM
Example 214 	2.50e-005	CMV	29.4	13.8
		CMV		
	1.56e-006	CMV	24.8	
	3.13e-006	CMV	33.6	
	6.25e-006	CMV	30.4	
	1.30e-005	CMV	35.6	
	2.50e-005	CMV	53.8	
	5.00e-005	CMV	78.4	
Example 215 	2.50e-005	CMV	91.5	
Example 216 	2.50e-005	CMV	37	
Example 217 	2.50e-005	CMV	97.5	4.3
		CMV		
	1.56e-006	CMV	23.3	
	3.13e-006	CMV	30.1	
	6.25e-006	CMV	61.5	
	1.30e-005	CMV	92.8	
	2.50e-005	CMV	98.4	
	5.00e-005	CMV	99.5	
Example 218 	2.50e-005	CMV	97.5	8.2
		CMV		
	1.56e-006	CMV	20.6	
	3.13e-006	CMV	23.4	
	6.25e-006	CMV	34.2	
	1.30e-005	CMV	62.6	
	2.50e-005	CMV	95.4	
	5.00e-005	CMV	99.7	

TABLE 10 (CONT'D.)

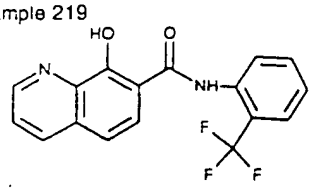
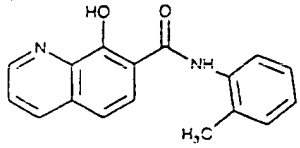
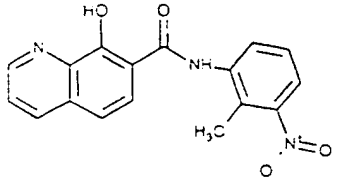
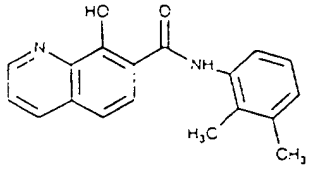
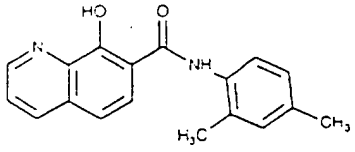
	CMV pol Assay			
Example Number, Structure	Conc (M)	pol type	% Inhib	IC50 uM
Example 219 	2.50e-005	CMV	24	
Example 220 	2.50e-005 CMV 1.56e-006 3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005	CMV CMV CMV CMV CMV CMV CMV CMV	83.8 17.7 20.3 21.9 37.8 84.5 98.7	13.4
Example 221 	2.50e-005 CMV 1.56e-006 3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005	CMV CMV CMV CMV CMV CMV CMV CMV	88 21.9 30.4 44.9 85.5 99.3 99.3	5.5
Example 222 	2.50e-005 CMV 1.56e-006 3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005	CMV CMV CMV CMV CMV CMV CMV CMV	96.9 28.8 27.3 31.9 54.6 94.7 99.4	8.6
Example 166 	2.50e-005 CMV 1.56e-006 3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005	CMV CMV CMV CMV CMV CMV CMV CMV	97.6 19 20.7 32.4 67.9 98.9 99.8	8.[illegible]

TABLE 10 (CONT'D.)

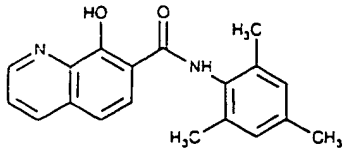
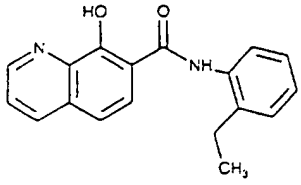
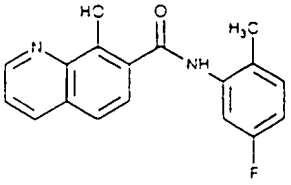
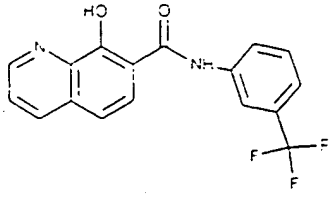
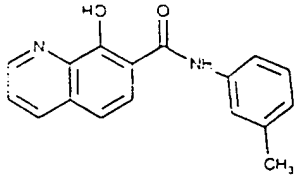
	CMV pol Assay			
Example Number, Structure	Conc (M)	pol type	% Inhib	IC50 uM
Example 223 	2.50e-005	CMV	82.2	
Example 224 	2.50e-005 1.56e-006 3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005	CMV CMV CMV CMV CMV CMV CMV	97.5 11.6 22.1 37.7 76.3 98.4 99.7	7.3
Example 165 	2.50e-005 1.56e-006 3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005	CMV CMV CMV CMV CMV CMV CMV	73.5 7.4 23.7 36.9 89.6 100.3 100.7	6.6
Example 225 	2.50e-005 1.56e-006 3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005	CMV CMV CMV CMV CMV CMV CMV	69.2 12.2 18.9 28.5 73.9 96.5 100	18.4
Example 167 	2.50e-005 1.56e-006 3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005	CMV CMV CMV CMV CMV CMV CMV	97 29.6 31.2 35.6 64.3 98.1 99.6	7

TABLE 10 (CONT'D.)

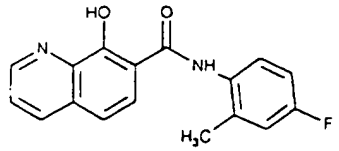
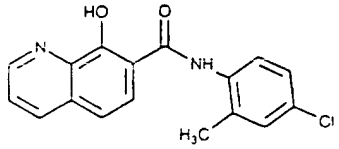
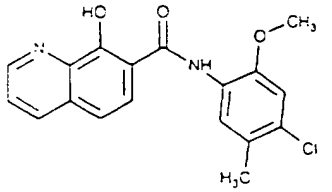
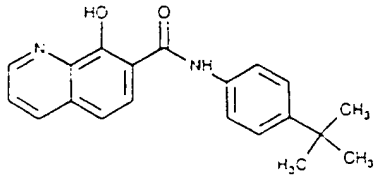
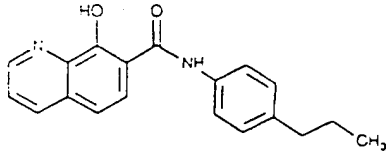
	CMV pol Assay			
Example Number, Structure	Conc (M)	pol type	% Inhib	IC50 uM
Example 226 	2.50e-005	CMV	94.2	10.2
		CMV		
	1.56e-006	CMV	22.7	
	3.13e-006	CMV	26.5	
	6.25e-006	CMV	31	
	1.30e-005	CMV	46.4	
	2.50e-005	CMV	88.6	
	5.00e-005	CMV	99.4	
Example 227 	2.50e-005	CMV	87	3.6
		CMV		
	3.13e-006	CMV	36.5	
	6.25e-006	CMV	64	
	1.30e-005	CMV	93.6	
	2.50e-005	CMV	99.3	
	5.00e-005	CMV	99.7	
	1.00e-004	CMV	99.6	
Example 228 	2.50e-005	CMV	49	7.9
		CMV		
	3.13e-006	CMV	24.4	
	6.25e-006	CMV	45	
	1.30e-005	CMV	60.8	
	2.50e-005	CMV	81.5	
	5.00e-005	CMV	92.1	
	1.00e-004	CMV	94	
Example 229 	2.50e-005	CMV	39	
Example 230 	2.50e-005	CMV	95	3.1
		CMV		
	3.13e-006	CMV	33.5	
	6.25e-006	CMV	77.7	
	1.30e-005	CMV	97.6	
	2.50e-005	CMV	99.8	
	5.00e-005	CMV	99.7	
	1.00e-004	CMV	100	

TABLE 10 (CONT'D.)

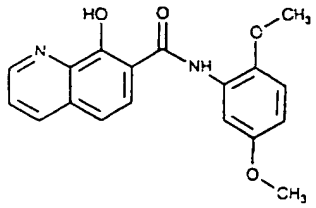
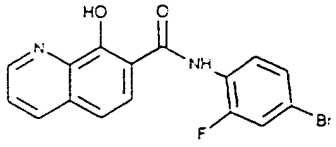
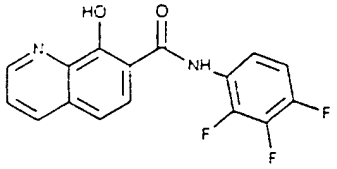
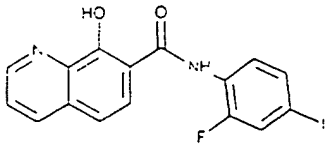
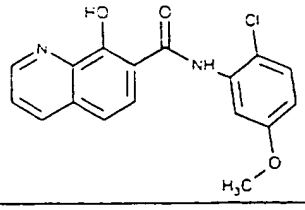
	CMV pol Assay			
Example Number, Structure	Conc (M)	pol type	% Inhib	IC50 uM
Example 231 	2.50e-005	CMV	24	
Example 232 	2.50e-005 3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005 1.00e-004	CMV CMV CMV CMV CMV CMV CMV	67 27.4 47.9 66.5 79.4 85.9 87.9	6.9
Example 233 	2.50e-005	CMV	25	
Example 234 	2.50e-005	CMV	83	
Example 168 	2.50e-005 3.13e-006 6.25e-006 1.30e-005 2.50e-005 5.00e-005 1.00e-004	CMV CMV CMV CMV CMV CMV CMV	97 23.6 40.8 69.9 95.6 99.5 99.7	7

TABLE 10 (CONT'D.)

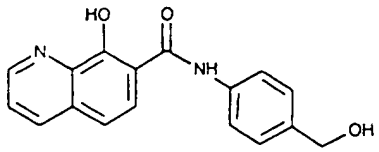
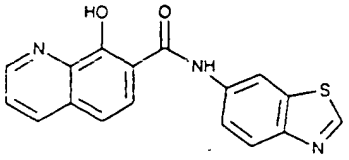
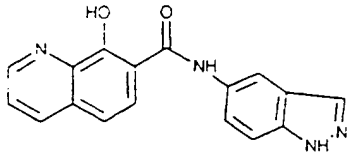
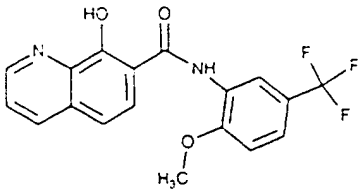
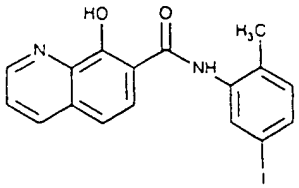
	CMV pol Assay			
Example Number, Structure	Conc (M)	pol type	% Inhib	IC50 uM
Example 235 	2.50e-005	CMV	96	3.7
		CMV		
	3.13e-006	CMV	38.2	
	6.25e-006	CMV	66	
	1.30e-005	CMV	86.1	
	2.50e-005	CMV	97.3	
	5.00e-005	CMV	99.3	
	1.00e-004	CMV	99.6	
Example 236 	2.50e-005	CMV	35	
Example 237 	2.50e-005	CMV	84	< 3.1
		CMV		
	3.13e-006	CMV	70.9	
	6.25e-006	CMV	94.5	
	1.30e-005	CMV	99	
	2.50e-005	CMV	99.2	
	5.00e-005	CMV	99.1	
	1.00e-004	CMV	99.7	
		CMV		2.8
	3.13e-007	CMV	15.5	
	6.25e-007	CMV	19.5	
	1.25e-006	CMV	19.8	
	2.50e-006	CMV	37.9	
	5.00e-006	CMV	82.7	
	1.00e-005	CMV	99.5	
Example 238 	2.50e-005	CMV	29	
Example 239 	2.50e-005	CMV	94	< 3.1
		CMV		
	3.13e-006	CMV	89.6	
	6.25e-006	CMV	98.5	
	1.30e-005	CMV	99.6	
	2.50e-005	CMV	99.9	
	5.00e-005	CMV	99.4	
	1.00e-004	CMV	99.8	
		CMV		2
	3.13e-007	CMV	14.5	
	6.25e-007	CMV	19.7	
	1.25e-006	CMV	20.4	
	2.50e-006	CMV	62.8	
	5.00e-006	CMV	95.2	
	1.00e-005	CMV	98.4	

TABLE 10 (CONT'D.)

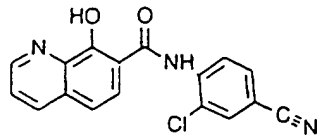
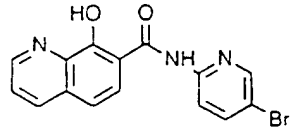
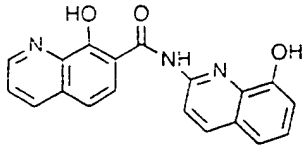
Example Number, Structure	CMV pol Assay			
	Conc (M)	pol type	% Inhib	IC50 uM
Example 240 	2.50e-005	CMV	23	
Example 241 	2.50e-005	CMV	43.2	21.6
		CMV		
	1.56e-006	CMV	18	
	3.13e-006	CMV	24.7	
	6.25e-006	CMV	28.6	
	1.30e-005	CMV	37.5	
	2.50e-005	CMV	54.9	
	5.00e-005	CMV	58.1	
Example 242 	2.50e-005	CMV	82.3	14.5
		CMV		
	1.56e-006	CMV	16.8	
	3.13e-006	CMV	14.1	
	6.25e-006	CMV	16.6	
	1.30e-005	CMV	43.6	
	2.50e-005	CMV	78.5	
	5.00e-005	CMV	96.3	

TABLE 11

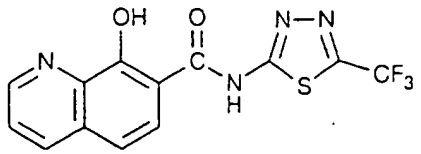
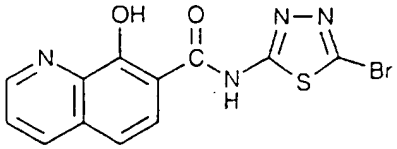
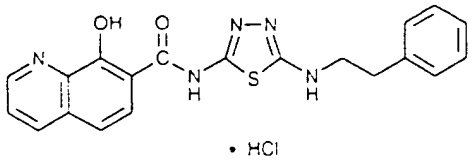
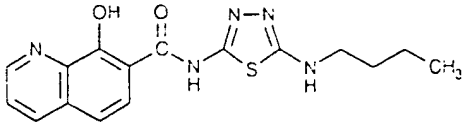
	CMV pol Assay
Example Number, Structure	IC50 uM
Example 243 	71
Example 244 	93.2
Example 245 	2.4
Example 246 	2.5

TABLE 11 (CONT'D.)

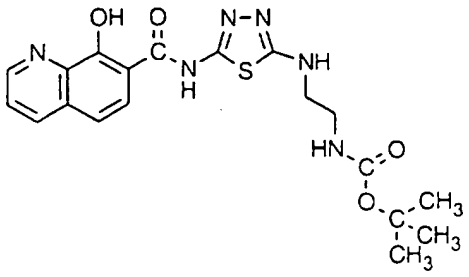
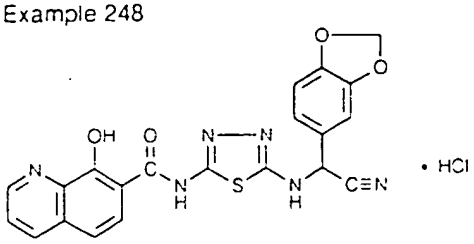
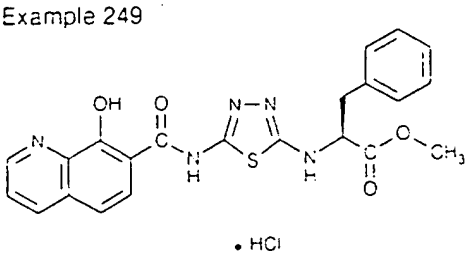
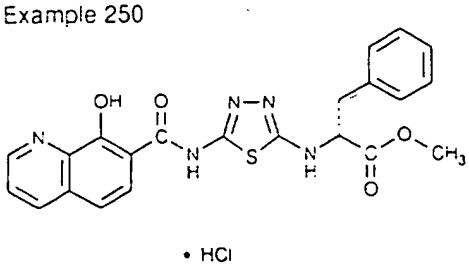
	CMV pol Assay
Example Number, Structure	IC50 uM
Example 247 	14.3
Example 248 	3.1
Example 249 	15.4
Example 250 	9.4

TABLE 11 (CONT'D.)

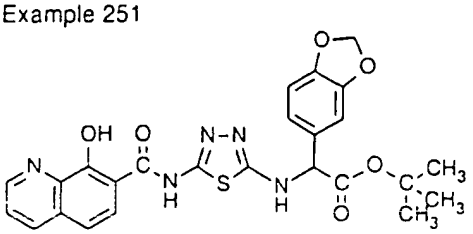
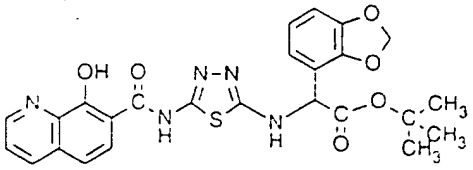
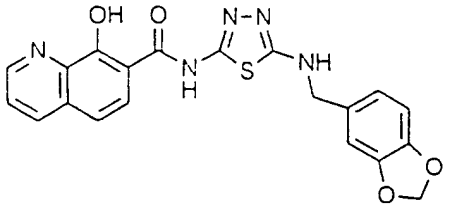
Example Number, Structure	CMV pol Assay IC50 uM
Example 251 	4.3
Example 252 	4.7
Example 253 	7.1

TABLE 11 (CONT'D.)

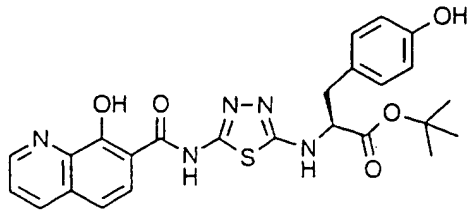
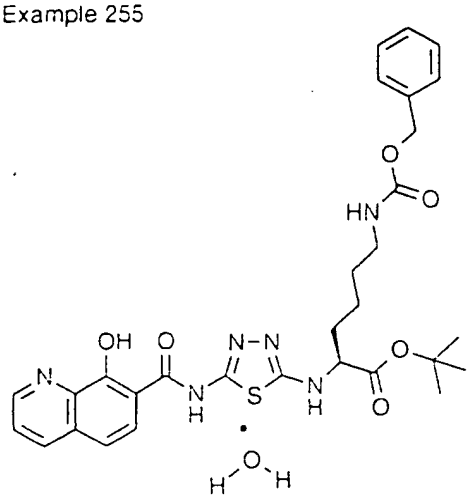
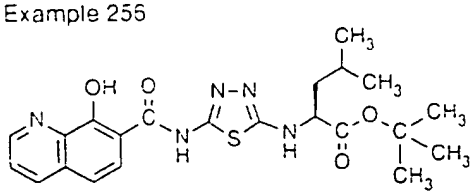
	CMV pol Assay
PNU/L-number, Structure	IC50 uM
Example 254 	< 3.1
Example 255 	< 3.1
Example 256 	11.4

TABLE 11 (CONT'D.)

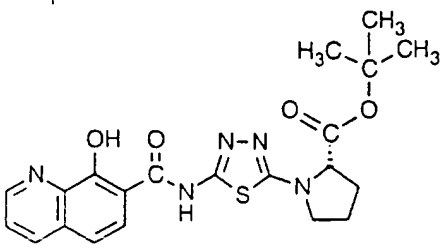
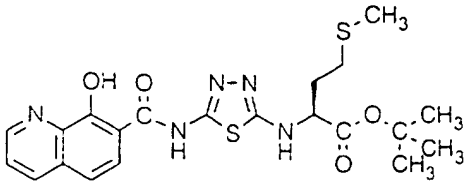
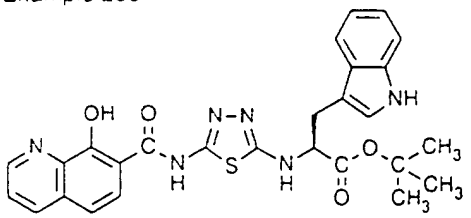
	CMV pol Assay
Example Number, Structure	IC50 uM
Example 257 	13.9
Example 258 	26.6
Example 259 	< 3.1

TABLE 11 (CONT'D.)

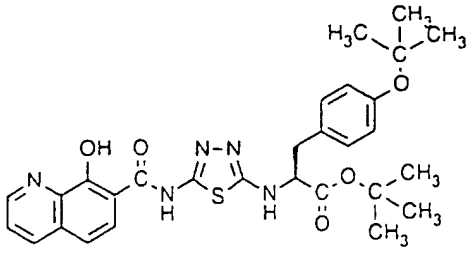
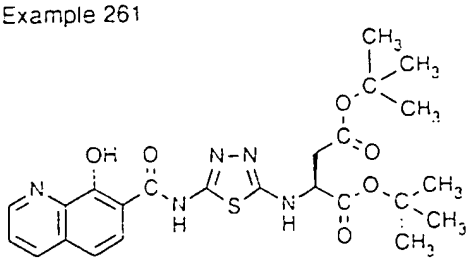
	CMV pol Assay
Example Number, Structure	IC50 uM
Example 260 	4.5
Example 261 	24.2

TABLE 11 (CONT'D.)

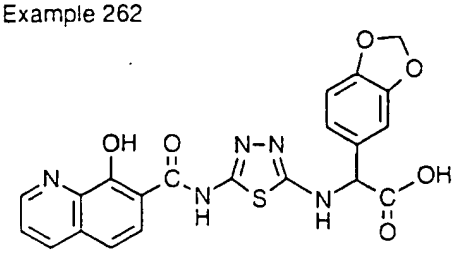
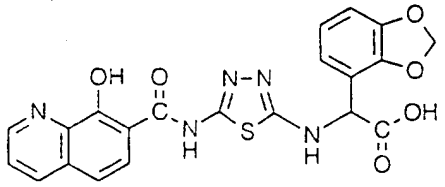
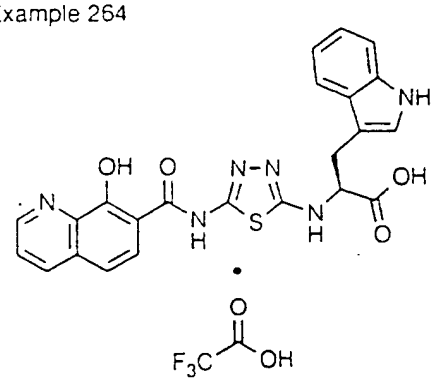
	CMV pol Assay
Example Number, Structure	IC50 uM
Example 262 	22.6
Example 263 	18.9
Example 264 	8.5

TABLE 12

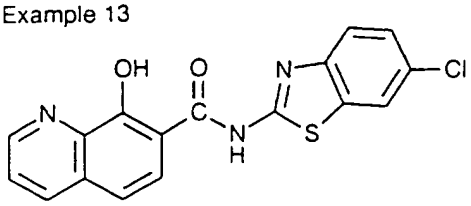
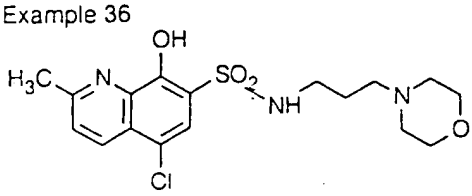
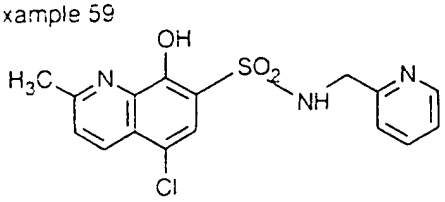
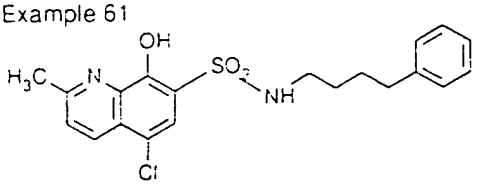
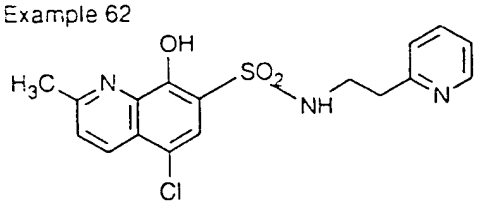
Example Number, Structure	CMV Antiviral Assay		
	Conc (uM)	% Inh - AV	IC50 (AV)
Example 13 	4.00e+001 2.00e+001 4.00e+000 8.00e-001	33.0 50.0 34.0 28.0	25.5 25.5 25.5 25.5
Example 36 	4.00e+001 2.00e+001 4.00e+000 8.00e-001 2.00e+001 8.00e+000 4.00e+000 1.00e+000	90.0 74.0 66.0 29.0 78.0 12.0 62.0 20.0	2.5 2.5 2.5 2.5 3.6 3.6 3.6 3.6
Example 59 	4.00e+001 2.00e+001 1.00e+001 4.00e+000 8.00e-001 2.00e+001 1.50e+001 1.00e+001 5.00e+000	94.0 69.0 8.0 1.0 4.0 78.0 60.0 45.0 36.0	14.4 14.4 14.4 14.4 14.4 9.4 9.4 9.4 9.4
Example 61 	2.00e+001 1.00e+001 4.00e+000 8.00e-001	93.0 97.0 54.0 0.0	3.5 3.5 3.5 3.5
Example 62 	2.00e+001 1.00e+001 4.00e+000 8.00e-001	79.0 26.0 17.0 35.0	14.6 14.6 14.6 14.6

TABLE 12 (CONT'D.)

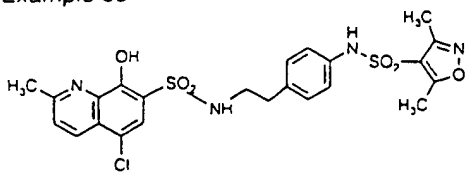
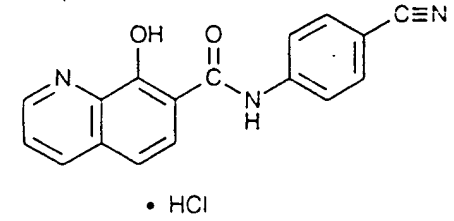
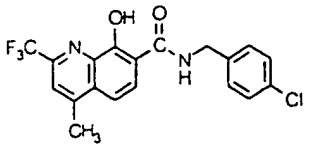
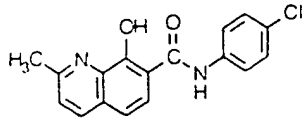
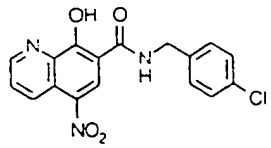
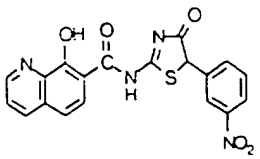
	CMV Antiviral Assay		
Example Number, Structure	Conc (uM)	% Inh - AV	IC50 (AV)
Example 65 			
Example 153  • HCl	8.00e+000 4.00e+000 2.00e+000 1.00e+000	63.0 53.0 48.0 0.0	3.9 3.9 3.9 3.9

TABLE 13

Structure and Name	MP (°C)	Mass Spec	IC_{50} (μM)
 N-[(4-Chlorophenyl)methyl]-8-hydroxy-4-methyl-2-(trifluoromethyl)-7-quinolinecarboxamide	55-58	(EI) 394, M^+	35% inhibition @ 100 uM
 N-(4-Chlorophenyl)-8-hydroxy-2-methyl-7-quinolinecarboxamide	163-165	(EI) 312, M^+	7.6
 N-[(4-Chlorophenyl)methyl]-8-hydroxy-5-nitro-7-quinolinecarboxamide	218-220 (dec)	(EI) 357, M^+	2.6
 N-[4,5-dihydro-[5-(3-nitrophenyl)]-4-oxo-2-thiazolyl]-8-hydroxy-7-quinolinecarboxamide	289-290 (dec)	(EI) 408, M^+	5.2

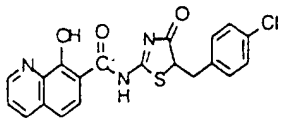
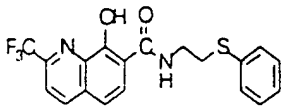
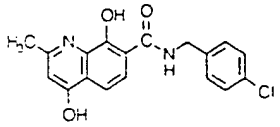
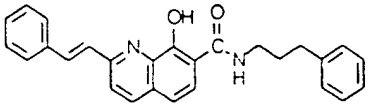
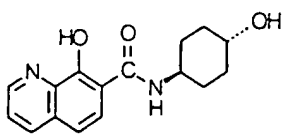
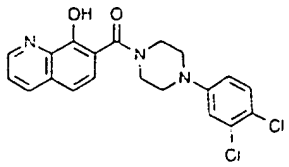
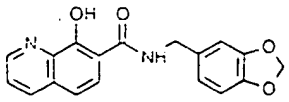
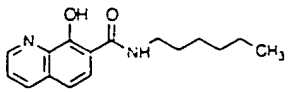
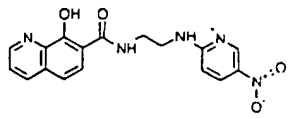
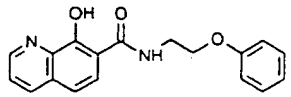
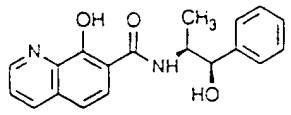
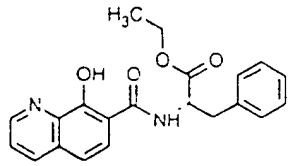
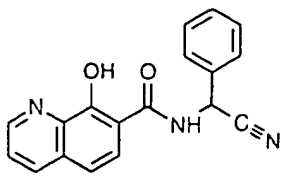
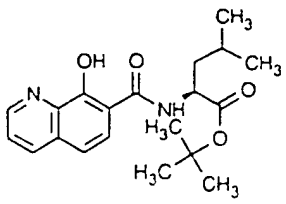
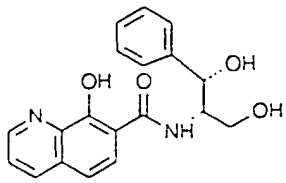
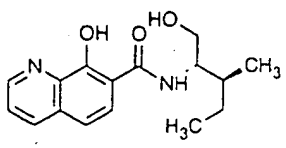
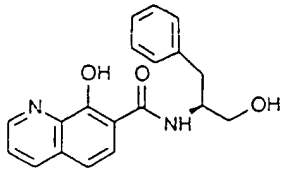
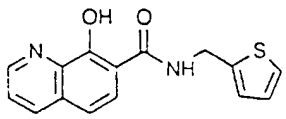
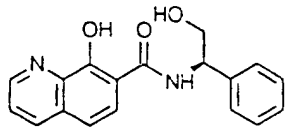
 N-[5-[3-(4-Chlorophenyl)methyl]-4,5-dihydro-4-oxo-2-thiazolyl]-8-hydroxy-7-quinolinecarboxamide	249-250 (dec)	(EI) 411, M^+	1.7
 8-Hydroxy-N-[2-(phenylthio)ethyl]-2-(trifluoromethyl)-7-quinolinecarboxamide	127-129	(ESI) 393, M+H	41.6
 N-[(4-Chlorophenyl)methyl]-4,8-dihydroxy-2-methyl-7-quinolinecarboxamide	274-276	(EI) 342, M^+	102
 (E)-8-Hydroxy-2-(2-phenylethenyl)-N-(3-phenylpropyl)-7-quinolinecarboxamide	110-111	(ESI) 393, M+H	5.1

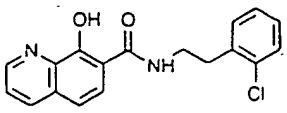
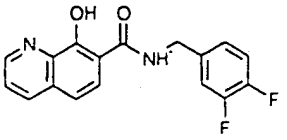
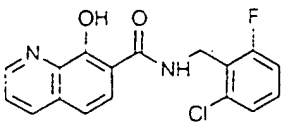
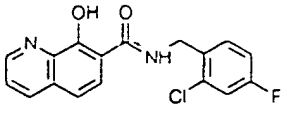
TABLE 14

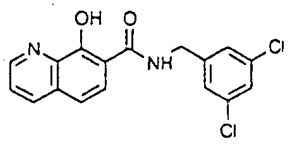
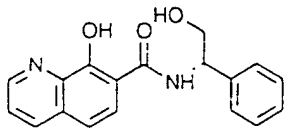
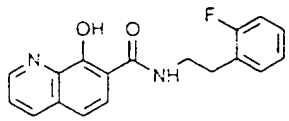
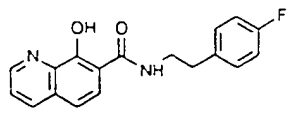
Structure and Name	Mass Spec	IC50 (μM)
 8-Hydroxy-quinoline-7-carboxylic acid trans-4-hydroxy-cyclohexylamide	ESI -MS: M+H = 287 ESI-MS: M-H = 285	21 % inhibition at 25 uM
 [4-(3,4-Dichlorophenyl)-piperazin-yl]-(8-hydroxy-quinolin-7-yl)-methanone	ESI -MS: M+H = 402 ESI-MS: M-H = 400	14
 8-Hydroxy-quinoline-7-carboxylic acid bezo[1,3]dioxol-5-ylmethylamide	ESI -MS: M+H = 323 ESI-MS: M-H = 321	26
 N-Hexyl-8-hydroxy-7-quinolinecarboxamide	ESI -MS: M+H = 273 ESI-MS: M-H = 271	27

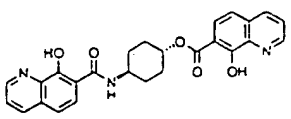
 8-Hydroxy-quinoline-7-carboxylic acid 2-(5-nitro-pyridin-2-ylamino)-ethylamide	ESI -MS: M+H = 354 ESI-MS: M-H = 352	42
 8-Hydroxy-N-[2-(phenyloxy)ethyl]-7-quinolinecarboxamide	ESI -MS: M+H = 309 ESI-MS: M-H = 307	29
 8-Hydroxy-quinoline-7-carboxylic acid 2-(R)-hydroxy-1-(S)-methyl-2-phenyl-ethylamide	ESI -MS: M+H = 323 ESI-MS: M-H = 321	41
 (S)-2-[(8-Hydroxy-quinoline-7-carbonyl)-amino]-3-phenyl-propionic acid ethyl ester	ESI -MS: M+H = 365 ESI-MS: M-H = 363	41

 8-Hydroxy-quinoline-7-carboxylic acid cyano-phenylylamide	ESI -MS: M+H = 304 ESI-MS: M-H = 302	54
 (S)-2-[(8-Hydroxy-quinoline-7-carbonyl)-amino]-4-methyl-penatnoic acid tert-butyl	ESI -MS: M+H = 359 ESI-MS: M-H = 357	51
 (S,S)-8-Hydroxy-quinoline-7-carboxylic acid 2-hydroxy-1-(hydroxy-phenyl-methyl)-ethylamide	ESI -MS: M+H = 339 ESI-MS: M-H = 337	14

 (S,S)-8-Hydroxy-quinoline-7-carboxylic acid 1-hydroxymethyl-2-methyl-butylamide	ESI -MS: M+H = 289 ESI-MS: M-H = 287	26
 (S)-8-Hydroxy-quinoline-7-carboxylic acid 1-benzyl-2-hydroxy-ethylamide	ESI -MS: M+H = 323 ESI-MS: M-H = 321	93% inhibition at 25 uM
 8-Hydroxy-quinoline-7-carboxylic acid thiophen-2-ylmethylamide	ESI -MS: M+H = 285 ESI-MS: M-H = 283	34
 (R)-8-Hydroxy-quinoline-7-carboxylic acid 2-hydroxy-1-phenyl-ethylamide	ESI -MS: M+H = 309 ESI-MS: M-H = 307	19

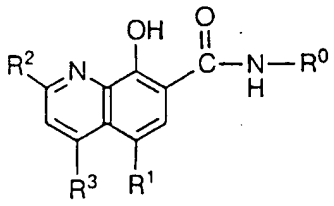
 N-[2-(2-chlorophenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide	ESI -MS: M+H = 327 ESI-MS: M-H = 325	26
 N-[(3,4-Difluorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide	ESI -MS: M+H = 315 ESI-MS: M-H = 313	42
 N-[(2-Chloro-6-fluoro-phenyl)methyl]-8-hydroxy-7-quinolinecarboxamide	ESI -MS: M+H = 331 ESI-MS: M-H = 329	30
 N-[(2-Chloro-4-fluoro-phenyl)methyl]-8-hydroxy-7-quinolinecarboxamide	ESI -MS: M+H = 331 ESI-MS: M-H = 329	28

 N-[(3,5-Dichloro-phenyl)methyl]-8-hydroxy-7-quinolinecarboxamide	ESI -MS: M+H = 347 ESI-MS: M-H = 345	27
 (S)-8-Hydroxy-quinoline-7-carboxylic acid 2-hydroxy-1-phenyl-ethylamide	ESI -MS: M+H = 309 ESI-MS: M-H = 307	39% inhibition at 25 uM
 N-[2-(2-fluorophenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide	ESI -MS: M+H = 311 ESI-MS: M-H = 309	39% inhibition at 25 uM
 N-[2-(4-fluorophenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide	ESI -MS: M+H = 311 ESI-MS: M-H = 309	42% inhibition at 25 uM

 trans-8-Hydroxy-quinoline-7-carboxylic acid 4-[(8-hydroxy-quinoline-7-carbonyl)-amino]-cyclohexyl ester	ESI -MS: M+H = 458 ESI-MS: M-H = 456	4

CLAIMS

1. A compound of formula IA



IA

wherein R^0 is

a) $-(CH_2)_n-X^1$,

b) $-(CH_2)_n-C_3-C_8$ cycloalkyl substituted by zero (0) or one (1) R^8,

c) $-(CH_2)_p\ W^1X^2$,

d) $-(CH_2)_p\ W^1CH_2X^1$, or

e) $-(CH_2)_n-CHR^9-(CH_2)_n-X^1$;

wherein R^1 is

a) -H,

b) -F,

c) -Cl,

d) -Br,

e) $-CF_3$, or

f) $-NO_2$;

wherein R^2 is

a) -H,

b) $-C_1-C_2$alkyl,

c) -OH,

d) $-CF_3$,

e) -CH=CH-furanyl,

f) -CH=CH-phenyl substituted by zero (0) or one (1) R^4,

g) -CH=CH-pyridinyl,

h) $-(CH_2)_p$-phenyl substituted by zero (0) or one (1) R^4,

i) $-NHV^1$,

j) $-CH_2NHV^1$, or

k) $-CH_2Z^1$;

wherein R^3 is

a) -H,

b) -OH,

c) $-CF_3$, or

d) $-C_1$-C_3alkyl;

wherein R^4 is

a) -H

b) -F,

c) -Cl,

d) -Br,

e) $-NO_2$,

f) $-CF_3$,

g) $-W^1$-R^{10},

h) $-C_1$-C_6 alkyl,

i) $-C_3$-C_8 cycloalkyl,

j) $-[CH_2]_n$-aryl,

k) $-[CH_2]_n$-het,

l) $-CH_2$-C_3-C_8 cycloalkyl,

m) $-SO_2NH$-het

n) -CN,

o) -I, or

p) $-CH_2$-OH;

wherein R^5 is

a) -H,

b) -F,

c) -Cl,

d) -Br,

e) $-W^1$-R^{10},

f) $-CF_3$,

g) $-C_1$-C_6 alkyl,

h) $-C_3$-C_8 cycloalkyl,

i) $-(CH_2)_n$-aryl substituted by R^6,

j) $-(CH_2)_n$-het substituted by R^7, or

k) $-CH_2$-C_3-C_8 cycloalkyl;

wherein R^6 is

a) -H,

b) -F,

c) -Cl, or

d) -Br;

wherein R^7 is

a) -H,

b) -F,

c) -Cl, or

d) -Br;

wherein R^8 is

a) $-C_1$-C_4 alkyl,

b) $-W^1-H$, or

c) $-CH_2W^1H$;

wherein R^9 is

a) $-C_1$-C_7 alkyl,

b) $-C_3$-C_8 cycloalkyl,

c) $-C(O)R^{11}$,

d) $-C(O)NHR^{11}$,

e) $-CH(OH)R^{11}$,

f) $-CH_2OH$,

g) $-CO_2R^{11}$, or

h) -aryl;

wherein R^{10} is

a) -H,

b) $-C_1$-C_6 alkyl,

c) $-C_3$-C_8 cycloalkyl,

d) $-(CH_2)_n$-aryl optionally substituted with F, Cl, CH_2OH or $-NO_2$,

e) $-(CH_2)_n$-het, or

f) $-CH_2$-C_3-C_3 cycloalkyl;

wherein R^{11} is

a) $-C_1$-C_7 alkyl,

b) $-C_3$-C_8 cycloalkyl,

c) $-(CH_2)_n X^1$, or

d) $-CH_2$-C_3-C_8 cycloalkyl;

wherein X^1 is

a) -aryl substituted by zero (0), one (1), two (2), or three (3) R^4,

b) -het substituted by zero (0), one (1) or two (2) R^5,

c) $-C_1$-C_8 alkyl,

d) -CH(OH)-phenyl,

e) -S-phenyl,

f) -$NHSO_2$-phenyl substituted by one (1), two (2) or three (3) R^4,

g) -CN,

h) -OH,

i) -C_3-C_8 cycloalkyl substituted by zero (0), one (1) or two (2) R^8, or

j) -4-cyano-2,3,5,6-tetrafluoro-phenyl;

wherein X^2 is

a) -aryl substituted by zero (0), one (1), two (2) or three (3) R^4,

b) -het substituted by zero (0), one (1) or two (2) R^5,

c) -C_1-C_8 alkyl,

d) -CH(OH)-phenyl, or

e) -C_3-C_8 cycloalkyl substituted by zero (0), one (1) or two (2) R^8;

wherein W^1 is

a) -NH,

b) -oxygen, or

c) -sulfur;

wherein V^1 is

a) -R^{11},

b) -$C(O)R^{11}$,

c) -SO_2R^{11}, or

d) -$C(O)NHR^{11}$;

whrein Z^1 is

a) -C_1-C_7 alkyl,

b) -C_3-C_8 cycloalkyl,

c) -$C(O)R^{11}$,

d) -$C(O)NHR^{11}$, or

e) -CO_2R^{11};

wherein -aryl is

a) -phenyl,

b) -naphthyl,

c) -biphenyl,

d) -tetrahydro-naphthyl, or

e) fluorenyl;

wherein -het is a 5-, 6- or 7-membered saturated or unsaturated ring containing from one (1) to three (3) heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur; and including any bicyclic group in which any of the above heterocyclic rings is fused to a benzene ring or another heterocyclic;

wherein -cycloalkyl is a saturated or unsaturated hydrocarbon ring including any bicyclic group in which the above ring is connected to a benzene, heterocyclic or other hydrocarbon ring;

wherein n is zero (0) to six (6), inclusive;

wherein p is one (1), two (2) or three (3);

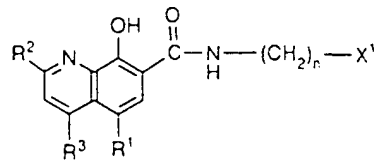
or a pharmaceutically acceptable salt or N-oxide thereof.

2. The compound of formula IA of claim 1 provided that:

a) when R^0 is $-(CH_2)_n-X^1$ and X^1 is -OH, then n is one or greater; and

b) when R^0 is $-(CH_2)_p W^1X^2$, W^1 is -oxygen or -sulfur and X^2 is phenyl then R^4 is other than t-pentyl;

3. A compound of formula I of claim 1



I

wherein R^1 is

a) -H,

b) -F,

c) -Cl,

d) -Br,

e) $-CF_3$, or

f) $-NO_2$;

wherein R^2 is

a) -H,

b) $-C_1$-C_3alkyl,

c) -OH,

d) $-CF_3$,

e) -CH=CH-furanyl,

f) -CH=CH-phenyl substituted by zero (0) or one (1) R^4,

g) -CH=CH-pyridinyl, or

h) $-(CH_2)_p$-phenyl substituted by zero (0) or one (1) R^4;

wherein R^3 is

a) -H,

b) -OH,

c) $-CF_3$, or

d) $-C_1$-C_3alkyl;

wherein X^1 is

a) -phenyl substituted by zero (0) or one (1) R^4,

b) -het substituted by zero (0) or one (1) R^5,

c) $-C_1$-C_{12} alkyl,

d) -CH(OH)-phenyl,

e) -S-phenyl,

f) -naphthyl,

g) $-NHSO_2$-phenyl substituted by one (1) R^4, or

h) -CN;

wherein het is

a) -1,3,4-thiadiazol-2-yl,

b) -4,5-dihydro-4-oxo-2-thiazolyl,

c) -thiazolyl,

d) -benzothiazolyl,

e) -pyridinyl,

f) -morpholinyl, or

g) -imidazolyl;

wherein R^4 is

a) -H

b) -F,

c) -Cl,

d) -Br,

e) $-NO_2$,

f) $-OCH_3$,

g) $-CF_3$, or

h) $-C_1$-C_4 alkyl;

wherein R^5 is

a) -H,

b) -F,

c) -Cl,

d) -Br,

e) $-(CH_2)_r$-(phenyl substituted by R^6),

f) -thienyl substituted by R^7, or

g) -OH;

wherein R^6 is

a) -H,

b) -F,

c) -Cl, or

d) -Br;

wherein R^7 is

a) -H,

b) -F,

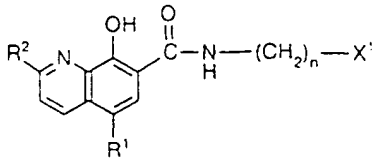
c) -Cl, or

d) -Br;

wherein n is zero (0) to six (6) inclusive;

or a pharmaceutically acceptable salt or a N-oxide thereof.

4. The compound of claim 3 of formula II



II

wherein R^1 is

a) -H,

b) -Cl,

c) -Br, or

d) $-NO_2$;

wherein R^2 is

a) -H,

b) $-CH_3$,

c) $-CF_3$,

d) $-(CH_2)_p$-phenyl substituted by zero (0) or one (1) R^4,

e) -CH=CH-furanyl, or

f) -CH=CH-phenyl substituted by zero (0) or one (1) R^4;

wherein X^1 is

a) -phenyl substituted by one (1) R^4,

b) -het substituted by one (1) R^5,

c) -CH(OH)-phenyl,

d) -S-phenyl,

e) -naphthyl,

f) $-NHSO_2$-phenyl substituted by one (1), two (2) or three (3) R^4, or

g) -CN;

wherein het is

a) -1,3,4-thiadiazol-2-yl,

b) -4,5-dihydro-4-oxo-2-thiazolyl,

c) -2-thiazolyl, or

d) -2-benzothiazolyl;

wherein R^4 is

a) -H,

b) -Cl,

c) -Br,

d) $-NO_2$, or

e) $-OCH_3$;

wherein R^5 is

a) -H,

b) -Cl,

c) $-(CH_2)_n$-(phenyl substituted by R^6),

d) -2-thienyl substituted by R^7, or

e) OH;

wherein R^6 is

a) -H,

b) -Cl, or

c) -Br;

wherein R^7 is

a) -H,

b) -Cl, or

c) -Br.

5. The compound of claim 1 selected from the group consisting of:

N-[(4-Chlorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

N-[5-[(4-Chlorophenyl)methyl]-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinoline-carboxamide;

N-(4-Chlorophenyl)-8-hydroxy-7-quinolinecarboxamide;

5-Bromo-N-(4-chlorophenyl)-8-hydroxy-7-quinolinecarboxamide;

N-[5-(4-Chlorophenyl)-4,5-dihydro-4-oxo-2-thiazolyl]-8-hydroxy-7-quinoline-carboxamide;

5-Bromo-N-[5-(4-chlorophenyl)-4,5-dihydro-4-oxo-2-thiazolyl]-8-hydroxy-7-quinolinecarboxamide;

N-[5-(5-Bromo-2-thienyl)-2-thiazolyl]-8-hydroxy-7-quinolinecarboxamide;

N-[5-(3-Chlorophenyl)-4,5-dihydro-4-oxo-2-thiazolyl]-8-hydroxy-7-quinoline-carboxamide;

5-Bromo-N-[(4-chlorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

N-[(4-Chlorophenyl)methyl]-8-hydroxy-2-methyl-7-quinolinecarboxamide;

5-Chloro-N-[(4-chlorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-[(4-nitrophenyl)methyl]-7-quinolinecarboxamide;

N-[5-(4-Chlorophenyl)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinoline-carboxamide;

5-Chloro-N-(4-chlorophenyl)-8-hydroxy-7-quinolinecarboxamide;

5-Fluoro-N-[[4-chlorophenyl]methyl]-8-hydroxy-7-quinolinecarboxamide;

N-[(4-Chlorophenyl)methyl]-4,8-dihydroxy-2-trifluoromethyl-7-quinoline-carboxamide;

N-[(4-Chlorophenyl)methyl]-8-hydroxy-2-[2-(4-methoxyphenyl)ethenyl]-7-quinolinecarboxamide;

N-Heptyl-8-hydroxy-2-[2-(4-methoxyphenyl)ethenyl]-7-quinolinecarboxamide;

N-Heptyl-8-hydroxy-2-(2-phenylethenyl)-7-quinolinecarboxamide;

8-Hydroxy-N-(2-hydroxy-2-phenylethyl)-2-(2-phenylethenyl)-7-quinoline-carboxamide;

N-[(4-Chlorophenyl)methyl]-8-hydroxy-2-(2-phenylethenyl)-7-quinoline-carboxamide;

8-Hydroxy-2-(2-phenylethenyl)-N-[2-(phenylthio)ethyl]-7-quinoline-carboxamide;

8-Hydroxy-N-(2-hydroxy-2-phenylethyl)-2-[2-(4-methoxyphenyl)ethenyl]-7-quinolinecarboxamide;

8-Hydroxy-2-[2-(4-methoxyphenyl)ethenyl]-N-[2-(phenylthio)ethyl]-7-quinolinecarboxamide;

N-[(4-Chlorophenyl)methyl]-8-hydroxy-2-(trifluoromethyl)-7-quinoline-carboxamide;

N-Heptyl-8-hydroxy-2-(trifluoromethyl)-7-quinolinecarboxamide;

N-[(4-Chlorophenyl)methyl]-2-[2-(2-furyl)ethenyl]-8-hydroxy-7-quinoline-carboxamide;

N-[(4-Chlorophenyl)methyl]-8-hydroxy-7-quinoline-N-oxide carboxamide.

N-[(4-chlorophenyl)methyl]-8-hydroxy-2-methyl-7-quinolinecarboxamide;

5-chloro-8-hydroxy-2-methyl-N-(3-phenylpropyl)-7-quinolinecarboxamide;

5-chloro-8-hydroxy-2-methyl-N-[(2-phenylthio)ethyl]-7-quinolinecarboxamide;

8-hydroxy-N-[5-[4-[(1-methylethyl)phenylsulfonyl]amino]pentyl]-7-quinoline-carboxamide;

8-hydroxy-N-(cyanomethyl)-7-quinolinecarboxamide;

8-hydroxy-N-(2-hydroxy-2-phenylethyl)-2-[2-(4-methoxyphenyl)ethyl]-7-quinolinecarboxamide;

N-[2-(3-Chlorophenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-[2-(3-indolyl)ethyl)-7-quinolinecarboxamide;

8-Hydroxy-N-[2-(4-hydroxyphenyl)ethyl]-7-quinolinecarboxamide;

8-Hydroxy-N-[2-(2-[4-phenoxy]phenyl)ethyl]-7-quinolinecarboxamide;

N-[(2,4-Dichlorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

N-[(3,4-Dichlorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

N-Decyl-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(4-phenylbutyl)-7-quinolinecarboxamide;

8-Hydroxy-N-octyl-7-quinolinecarboxamide;

8-Hydroxy-N-[[4-(trifluoromethyl)phenyl]methyl]-7-quinolinecarboxamide;

8-Hydroxy-N-[[2-(trifluoromethyl)phenyl]methyl]-7-quinolinecarboxamide;

N-[2-(1-Cyclohexenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide;

N-[2-(2,4-Dichlorophenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(cis-myrtanyl)-7-quinolinecarboxamide;

N-[(2-Chlorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-[(2-methylphenyl)methyl]-7-quinolinecarboxamide;

8-Hydroxy-N-[(3-methylphenyl)methyl]-7-quinolinecarboxamide;

N-[(4-Chlorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(2-hydroxy-2-phenylethyl)-7-quinolinecarboxamide;

N-(2,2-Diphenylethyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(2-phenylpropyl)-7-quinolinecarboxamide;

N-[1-(2-Ethyl)hexyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-undecyl-7-quinolinecarboxamide;

8-Hydroxy-N-octadecyl-7-quinolinecarboxamide;

N-[2-(4-Bromophenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide;

N-[2-(4-Chlorophenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-[2-(4-methylphenyl)ethyl]-7-quinolinecarboxamide;

N-(3,3-Diphenylpropyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(3-phenylpropyl)-7-quinolinecarboxamide;

8-Hydroxy-N-nonyl-7-quinolinecarboxamide;

N-[(2,6-Difluorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

N-[(3-Chlorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(2-methylcyclohexyl)-7-quinolinecarboxamide;

N-(2,3-Dimethylcyclohexyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(3-methylcyclohexyl)-7-quinolinecarboxamide;

8-Hydroxy-N-(4-methylcyclohexyl)-7-quinolinecarboxamide;

8-Hydroxy-N-[(1,2,3,4-tetrahydro-1-naphthalenyl)methyl]-7-quinoline-carboxamide;

N-Cyclooctyl-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(1-indanyl)-7-quinolinecarboxamide;

N-Cycloheptyl-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(diphenylmethyl)-7-quinolinecarboxamide;

8-Hydroxy-N-(1-phenylethyl)-7-quinolinecarboxamide;

N-(2-Heptyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(2-octyl)-7-quinolinecarboxamide;

N-(4-tert-Butylcyclohexyl)-8-hydroxy-7-quinolinecarboxamide;

S-N-[7-(7-Carboxy-8-hydroxy)quinolyl]-tyrosine, tert-butyl ester;

R-8-Hydroxy-N-[1-(1-naphthyl)ethyl]-7-quinolinecarboxamide;

S-8-Hydroxy-N-[1-(1-naphthyl)ethyl]-7-quinolinecarboxamide;

R-8-Hydroxy-N-(1-phenylethyl)-7-quinolinecarboxamide;

R-N-[1-(4-Bromophenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide;

S-N-[1-(4-Bromophenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide;

N-[2-((1S,2R)-1,2-Diphenyl-1-hydroxy)ethyl]-8-hydroxy-7-quinoline-carboxamide;

N-[2-((1R,2S)-1,2-Diphenyl-1-hydroxy)ethyl]-8-hydroxy-7-quinoline-carboxamide;

8-Hydroxy-N-(2-exo-norboranyl)-7-quinolinecarboxamide;

8-Hydroxy-N-[(4-hydroxy-3-methoxyphenyl)methyl]-7-quinolinecarboxamide;

S-8-Hydroxy-N-[2-(1-hydroxy-3-[4-hydroxyphenyl])propyl]-7-quinoline-carboxamide;

S-N-[7-(7-Carboxy-8-hydroxy)quinolyl]-serine, benzyl ester;

N-[7-(7-Carboxy-8-hydroxy)quinolyl]-tyrosine, methyl ester;

N-[7-(7-Carboxy-8-hydroxy)quinolyl]-tryptophan, ethyl ester;

N-(2-Adamantyl)-8-hydroxy-7-quinolinecarboxamide;

S-O-Benzyl-N-[7-(7-Carboxy-8-hydroxy)quinolyl]-tyrosine, methyl ester;

S-N-[7-(7-Carboxy-8-hydroxy)quinolyl]-4-nitrophenylalanine, methyl ester;

N-[(2,5-Difluorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-[1-(1-hydroxymethyl)cyclopentyl]-7-quinolinecarboxamide;

N-[(3-Chloro-4-flurorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

N-[(2,3-Dichlorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

N-[(2,5-Dichlorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

N-(2-[([2-chloro-6-fluorophenyl]methyl)thio]ethyl)-8-hydroxy-7-quinoline-carboxamide;

N-[2-([(2,6-Dichlorophenyl)methyl]thio)ethyl]-8-hydroxy-7-quinoline-carboxamide;

N-[(2-Chloro-6-phenoxy-phenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-[(2-[(2-[hydroxymethyl]phenyl)thio]phenyl)methyl]-7-quinoline-carboxamide;

8-Hydroxy-N-(2-[(4-[2-trifluoromethyl]quinolyl)thio]ethyl)-7-quinoline-carboxamide;

N-(Cyclohexylmethyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(1-naphthalenylmethyl)-7-quinolinecarboxamide;

N-[2-(3-Chlorophenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-[[3-(trifluoromethyl)phenyl]methyl]-7-quinolinecarboxamide;

8-Hydroxy-N-[2-(phenylthio)ethyl]-7-quinolinecarboxamide;

N-Heptyl-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(4-methoxyphenyl)-7-quinolinecarboxamide monohydrochloride;

N-(4-Cyanophenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-(3-Chlorophenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-[3,5-Bis(trifluoromethyl)phenyl]-8-hydroxy-7-quinolinecarboxamide mono-hydrochloride;

N-Fluoren-2-yl-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-[[4-[(3,4-Dimethylisoxazol-5-ylamino)sulfonyl]phenyl]-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-1,3-Benzodioxol-5-yl-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

8-Hydroxy-N-[4-(trifluoromethyl)coumarin-7-yl]-7-quinolinecarboxamide monohydrochloride;

N-(3-Fluorophenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-(3,4-Difluorophenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-(3,5-Difluorophenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

8-Hydroxy-N-(4-nitrophenyl)-7quinolinecarboxamide;

N-[2-Chloro-5-(trifluoromethyl)phenyl]-8-hydroxy-7-quinolinecarboxamide;

N-(5-Fluoro-2-methylphenyl)-8-hydroxy-7-quinolinecarboxamide;

N-(2,4-Dimethylphenyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(3-methylphenyl)-7-quinolinecarboxamide;

N-(2-Chloro-5-methoxyphenyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-naphth-2-yl-7-quinolinecarboxamide monohydrochloride;

8-Hydroxy-N-[4-[(indazo-6-ylamino)sulfonyl]phenyl]-7-quinolinecarboxamide monohydrochloride;

N-(3-Bromophenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-(3,4-Dichlorophenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-(3,5-Dichlorophenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

8-Hydroxy-N-(3-iodophenyl)-7-quinolinecarboxamide monohydrochloride;

N-(3-Benzoxyphenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

8-Hydroxy-N-[3-(methylmercapto)phenyl]-7-quinolinecarboxamide monohydrochloride;

N-(3,5-Dimethylphenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-(4-Bromophenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

8-Hydroxy-N-(4-phenoxyphenyl)-7-quinolinecarboxamide monohydrochloride;

N-(3,5-Dichloro-4-hydroxyphenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

8-Hydroxy-N-biphen-4-yl-7-quinolinecarboxamide monohydrochloride;

8-Hydroxy-N-[4-(4-nitrophenylmercapto)phenyl]-7-quinolinecarboxamide monohydrochloride;

N-(4-Benzoxyphenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

8-Hydroxy-N-[4-(4-nitrophenoxy)phenyl]-7-quinolinecarboxamide monohydrochloride;

N-(4-cyclohexylphenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

8-Hydroxy-N-naphth-1-yl-7-quinolinecarboxamide;

N-(4-Bromonaphth-1-yl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(2-pyrrol-1-ylphenyl)-7-quinolinecarboxamide;

8-Hydroxy-N-indol-5-yl-7-quinolinecarboxamide;

N-Benzo-2,1,3-thiadiazol-4-yl-8-hydroxy-7-quinolinecarboxamide;
8-Hydroxy-N-quinolin-5-yl-7-quinolinecarboxamide;
8-Hydroxy-N-quinolin-8-yl-7-quinolinecarboxamide;
8-Hydroxy-N-isoquinolin-5-yl-7-quinolinecarboxamide;
8-Hydroxy-N-(4-methoxy-2-nitrophenyl)-7-quinolinecarboxamide;
8-Hydroxy-N-[2-nitro-4-(trifluoromethyl)phenyl]-7-quinolinecarboxamide;
N-(3,5-Dinitrophenyl)-8-hydroxy-7-quinolinecarboxamide;
8-Hydroxy-N-[4-nitro-2-(trifluoromethyl)phenyl]-7-quinolinecarboxamide;
N-(2-Cyanophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(2-Bromophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(2,4-Dibromophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(2,5-Dibromophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(2-Fluorophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(4-Cyano-2,3,5,6-tetrafluorophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(2,4-Difluorophenyl)-8-hydroxy-7-quinolinecarboxamide;
8-Hydroxy-N-(2,4,5-trifluorophenyl)-7-quinolinecarboxamide;
N-(2-Chlorophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(4-Bromo-2-chlorophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(2,4-Dichlorophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(2-Chloro-4-nitrophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(2,5-Dichlorophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(2-Chloro-5-methylphenyl)-8-hydroxy-7-quinolinecarboxamide;
8-Hydroxy-N-(2-iodophenyl)-7-quinolinecarboxamide;
8-Hydroxy-N-(2-nitrophenyl)-7-quinolinecarboxamide;
N-(5-Chloro-2-hydroxyphenyl)-8-hydroxy-7-quinolinecarboxamide;
8-Hydroxy-N-(2-hydroxy-5-nitrophenyl)-7-quinolinecarboxamide;
8-Hydroxy-N-(2-hydroxy-5-methylphenyl)-7-quinolinecarboxamide;
N-Biphen-2-yl-8-hydroxy-7-quinolinecarboxamide;
8-Hydroxy-N-[2-(methylmercapto)phenyl]-7-quinolinecarboxamide;
8-Hydroxy-N-[2-(trifluoromethyl)phenyl]-7-quinolinecarboxamide;
8-Hydroxy-N-(2-methylphenyl)-7-quinolinecarboxamide;
8-Hydroxy-N-(2-methyl-3-nitrophenyl)-7-quinolinecarboxamide;
N-(2,3-Dimethylphenyl)-8-hydroxy-7-quinolinecarboxamide;
8-Hydroxy-N-(2,4,6-trimethylphenyl)-7-quinolinecarboxamide;
N-(2-Ethylphenyl)-8-hydroxy-7-quinolinecarboxamide;
8-Hydroxy-N-[3-(trifluoromethyl)phenyl]-7-quinolinecarboxamide;

8-Hydroxy-N-(2-methyl-4-fluorophenyl)-7-quinolinecarboxamide;

N-(4-Chloro-2-methylphenyl)-8-hydroxy-7-quinolinecarboxamide;

N-(4-Chloro-2-methoxy-5-methylphenyl)-8-hydroxy-7-quinolinecarboxamide;

N-(4-tert-Butylphenyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(4-propylphenyl)-7-quinolinecarboxamide;

N-(2,6-Di-i-propylphenyl)-8-hydroxy-7-quinolinecarboxamide;

N-(4-Bromo-2-fluorophenyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(2,3,4-trifluorophenyl)-7-quinolinecarboxamide;

N-(2-Fluoro-4-iodophenyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-[4-(hydroxymethyl)phenyl]-7-quinolinecarboxamide;

N-Benzo-1,3-thiazol-6-yl-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-indazol-5-yl-7-quinolinecarboxamide;

8-Hydroxy-N-[2-methoxy-5-(trifluoromethyl)phenyl]-7-quinolinecarboxamide;

8-Hydroxy-N-(5-iodo-2-methylphenyl)-7-quinolinecarboxamide;

N-(2-Chloro-4-cyanophenyl)-8-hydroxy-7-quinolinecarboxamide;

N-(5-Bromopyridin-2-yl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(8-hydroxyquinolin-2-yl)-7-quinolinecarboxamide;

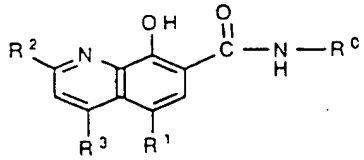
8-Hydroxy-N-[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]-7-quinoline-carboxamide;

N-(5-Bromo-1,3,4-thiadiazol-2-yl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-[5-(2-phenylethyl)amino-1,3,4-thiadiazol-2-yl]-7-quinoline-carboxamide monohydrochloride; and

N-[5-(Butylamino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide monohydrochloride.

6. The use of a compound of formula IA



IA

to prepare a medicament for treating a susceptible cytomegaloviral infection in a mammal

wherein R^0 is

a) $-(CH_2)_n-X^1$,

b) $-(CH_2)_n-C_3-C_8$ cycloalkyl substituted by zero (0) or one (1) R^8,

c) $-(CH_2)_p W^1X^2$,

d) $-(CH_2)_p W^1CH_2X^1$, or

e) $-(CH_2)_t-CHR^9-(CH_2)_n-X^1$;

wherein R^1 is

a) -H,

b) -F,

c) -Cl,

d) -Br,

e) $-CF_3$, or

f) $-NO_2$;

wherein R^2 is

a) -H,

b) $-C_1-C_3$alkyl,

c) -OH,

d) $-CF_3$,

e) -CH=CH-furanyl,

f) -CH=CH-phenyl substituted by zero (0) or one (1) R^4,

g) -CH=CH-pyridinyl,

h) $-(CH_2)_p$-phenyl substituted by zero (0) or one (1) R^4,

i) $-NHV^1$,

j) $-CH_2NHV^1$, or

k) $-CH_2Z^1$;

wherein R^3 is

a) -H,

b) -OH,

c) $-CF_3$, or

d) $-C_1-C_3$alkyl;

wherein R^4 is

a) -H

b) -F,

c) -Cl,

d) -Br,

e) $-NO_2$,

f) $-CF_3$,

g) $-W^1-R^{10}$,

h) $-C_1-C_6$ alkyl,
i) $-C_3-C_8$ cycloalkyl,
j) $-[CH_2]_n$-aryl,
k) $-[CH_2]_n$-het,
l) $-CH_2-C_3-C_8$ cycloalkyl,
m) $-SO_2NH$-het
n) -CN,
o) -I, or
p) $-CH_2-OH$;

wherein R^5 is
a) -H,
b) -F,
c) -Cl,
d) -Br,
e) $-W^1-R^{10}$,
f) $-CF_3$,
g) $-C_1-C_6$ alkyl,
h) $-C_3-C_8$ cycloalkyl,
i) $-(CH_2)_n$-aryl substituted by R^6,
j) $-(CH_2)_n$-het substituted by R^7, or
k) $-CH_2-C_3-C_8$ cycloalkyl;

wherein R^6 is
a) -H,
b) -F,
c) -Cl, or
d) -Br;

wherein R^7 is
a) -H,
b) -F,
c) -Cl, or
d) -Br;

wherein R^8 is
a) $-C_1-C_4$ alkyl,
b) $-W^1-H$, or
c) $-CH_2W^1H$;

wherein R^9 is

a) $-C_1-C_7$ alkyl,

b) $-C_3-C_8$ cycloalkyl,

c) $-C(O)R^{11}$,

d) $-C(O)NHR^{11}$,

e) $-CH(OH)R^{11}$,

f) $-CH_2OH$,

g) $-CO_2R^{11}$, or

h) -aryl;

wherein R^{10} is

a) -H,

b) $-C_1-C_6$ alkyl,

c) $-C_3-C_8$ cycloalkyl,

d) $-(CH_2)_n$-aryl optionally substituted with F, Cl, CH_2OH or $-NO_2$,

e) $-(CH_2)_n$-het, or

f) $-CH_2-C_3-C_3$ cycloalkyl;

wherein R^{11} is

a) $-C_1-C_7$ alkyl,

b) $-C_3-C_8$ cycloalkyl,

c) $-(CH_2)_n X^1$, or

d) $-CH_2-C_3-C_8$ cycloalkyl;

wherein X^1 is

a) -aryl substituted by zero (0), one (1), two (2), or three (3) R^4,

b) -het substituted by zero (0), one (1) or two (2) R^5,

c) $-C_1-C_8$ alkyl,

d) -CH(OH)-phenyl,

e) -S-phenyl,

f) $-NHSO_2$-phenyl substituted by one (1), two (2) or three (3) R^4,

g) -CN,

h) -OH,

i) $-C_3-C_8$ cycloalkyl substituted by zero (0), one (1) or two (2) R^9, or

j) -4-cyano-2,3,5,6-tetrafluoro-phenyl;

wherein X^2 is

a) -aryl substituted by zero (0), one (1), two (2) or three (3) R^4,

b) -het substituted by zero (0), one (1) or two (2) R^5,

c) $-C_1-C_8$ alkyl,

d) -CH(OH)-phenyl, or

e) -C_3-C_8 cycloalkyl substituted by zero (0), one (1) or two (2) R^8;

wherein W^1 is

a) -NH,

b) -oxygen, or

c) -sulfur;

wherein V^1 is

a) -R^{11},

b) -$C(O)R^{11}$,

c) -SO_2R^{11}, or

d) -$C(O)NHR^{11}$;

whrein Z^1 is

a) -C_1-C_7 alkyl,

b) -C_3-C_8 cycloalkyl,

c) -$C(O)R^{11}$,

d) -$C(O)NHR^{11}$, or

e) -CO_2R^{11};

wherein -aryl is

a) -phenyl,

b) -naphthyl,

c) -biphenyl,

d) -tetrahydro-naphthyl, or

e) fluorenyl;

wherein -het is a 5-, 6- or 7-membered saturated or unsaturated ring containing from one (1) to three (3) heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur; and including any bicyclic group in which any of the above heterocyclic rings is fused to a benzene ring or another heterocyclic;

wherein -cycloalkyl is a saturated or unsaturated hydrocarbon ring including any bicyclic group in which the above ring is connected to a benzene, heterocyclic or other hydrocarbon ring;

wherein n is zero (0) to six (6), inclusive;

wherein p is one (1), two (2) or three (3);

or a pharmaceutically acceptable salt or N-oxide thereof.

7. The use of claim 6 wherein the compound is selected from the group consisting of:

N-[(4-Chlorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

N-[5-[(4-Chlorophenyl)methyl]-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinoline-carboxamide;

N-(4-Chlorophenyl)-8-hydroxy-7-quinolinecarboxamide;

5-Bromo-N-(4-chlorophenyl)-8-hydroxy-7-quinolinecarboxamide;

N-[5-(4-Chlorophenyl)-4,5-dihydro-4-oxo-2-thiazolyl]-8-hydroxy-7-quinoline-carboxamide;

5-Bromo-N-[5-(4-chlorophenyl)-4,5-dihydro-4-oxo-2-thiazolyl]-8-hydroxy-7-quinolinecarboxamide;

N-[5-(5-Bromo-2-thienyl)-2-thiazolyl]-8-hydroxy-7-quinolinecarboxamide;

N-[5-(3-Chlorophenyl)-4,5-dihydro-4-oxo-2-thiazolyl]-8-hydroxy-7-quinoline-carboxamide;

5-Bromo-N-[(4-chlorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

N-[(4-Chlorophenyl)methyl]-8-hydroxy-2-methyl-7-quinolinecarboxamide;

5-Chloro-N-[(4-chlorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-[(4-nitrophenyl)methyl]-7-quinolinecarboxamide;

N-[5-(4-Chlorophenyl)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinoline-carboxamide;

5-Chloro-N-(4-chlorophenyl)-8-hydroxy-7-quinolinecarboxamide;

5-Fluoro-N-[[4-chlorophenyl]methyl]-8-hydroxy-7-quinolinecarboxamide;

N-[(4-Chlorophenyl)methyl]-4,8-dihydroxy-2-trifluoromethyl-7-quinoline-carboxamide;

N-[(4-Chlorophenyl)methyl]-8-hydroxy-2-[2-(4-methoxyphenyl)ethenyl]-7-quinolinecarboxamide;

N-Heptyl-8-hydroxy-2-[2-(4-methoxyphenyl)ethenyl]-7-quinolinecarboxamide;

N-Heptyl-8-hydroxy-2-(2-phenylethenyl)-7-quinolinecarboxamide;

8-Hydroxy-N-(2-hydroxy-2-phenylethyl)-2-(2-phenylethenyl)-7-quinoline-carboxamide;

N-[(4-Chlorophenyl)methyl]-8-hydroxy-2-(2-phenylethenyl)-7-quinoline-carboxamide;

8-Hydroxy-2-(2-phenylethenyl)-N-[2-(phenylthio)ethyl]-7-quinoline-carboxamide;

8-Hydroxy-N-(2-hydroxy-2-phenylethyl)-2-[2-(4-methoxyphenyl)ethenyl]-7-quinolinecarboxamide;

8-Hydroxy-2-[2-(4-methoxyphenyl)ethenyl]-N-[2-(phenylthio)ethyl]-7-quinolinecarboxamide;

N-[(4-Chlorophenyl)methyl]-8-hydroxy-2-(trifluoromethyl)-7-quinoline-

carboxamide;

N-Heptyl-8-hydroxy-2-(trifluoromethyl)-7-quinolinecarboxamide;

N-[(4-Chlorophenyl)methyl]-2-[2-(2-furyl)ethenyl]-8-hydroxy-7-quinoline-carboxamide;

N-[(4-Chlorophenyl)methyl]-8-hydroxy-7-quinoline-N-oxide carboxamide.

N-[(4-chlorophenyl)methyl]-8-hydroxy-2-methyl-7-quinolinecarboxamide;

5-chloro-8-hydroxy-2-methyl-N-(3-phenylpropyl)-7-quinolinecarboxamide;

5-chloro-8-hydroxy-2-methyl-N-[(2-phenylthio)ethyl]-7-quinolinecarboxamide;

8-hydroxy-N-[5-[4-[(1-methylethyl)phenylsulfonyl]amino]pentyl]-7-quinoline-carboxamide;

8-hydroxy-N-(cyanomethyl)-7-quinolinecarboxamide;

8-hydroxy-N-(2-hydroxy-2-phenylethyl)-2-[2-(4-methoxyphenyl)ethyl]-7-quinolinecarboxamide;

N-[2-(3-Chlorophenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-[2-(3-indolyl)ethyl)-7-quinolinecarboxamide;

8-Hydroxy-N-[2-(4-hydroxyphenyl)ethyl]-7-quinolinecarboxamide;

8-Hydroxy-N-[2-(2-[4-phenoxy]phenyl)ethyl]-7-quinolinecarboxamide;

N-[(2,4-Dichlorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

N-[(3,4-Dichlorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

N-Decyl-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(4-phenylbutyl)-7-quinolinecarboxamide;

8-Hydroxy-N-octyl-7-quinolinecarboxamide;

8-Hydroxy-N-[[4-(trifluoromethyl)phenyl]methyl]-7-quinolinecarboxamide;

8-Hydroxy-N-[[2-(trifluoromethyl)phenyl]methyl]-7-quinolinecarboxamide;

N-[2-(1-Cyclohexenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide;

N-[2-(2,4-Dichlorophenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(cis-myrtanyl)-7-quinolinecarboxamide;

N-[(2-Chlorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-[(2-methylphenyl)methyl]-7-quinolinecarboxamide;

8-Hydroxy-N-[(3-methylphenyl)methyl]-7-quinolinecarboxamide;

N-[(4-Chlorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(2-hydroxy-2-phenylethyl)-7-quinolinecarboxamide;

N-(2,2-Diphenylethyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(2-phenylpropyl)-7-quinolinecarboxamide;

N-[1-(2-Ethyl)hexyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-undecyl-7-quinolinecarboxamide;

8-Hydroxy-N-octadecyl-7-quinolinecarboxamide;

N-[2-(4-Bromophenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide;

N-[2-(4-Chlorophenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-[2-(4-methylphenyl)ethyl]-7-quinolinecarboxamide;

N-(3,3-Diphenylpropyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(3-phenylpropyl)-7-quinolinecarboxamide;

8-Hydroxy-N-nonyl-7-quinolinecarboxamide;

N-[(2,6-Difluorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

N-[(3-Chlorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(2-methylcyclohexyl)-7-quinolinecarboxamide;

N-(2,3-Dimethylcyclohexyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(3-methylcyclohexyl)-7-quinolinecarboxamide;

8-Hydroxy-N-(4-methylcyclohexyl)-7-quinolinecarboxamide;

8-Hydroxy-N-[(1,2,3,4-tetrahydro-1-naphthalenyl)methyl]-7-quinoline-carboxamide;

N-Cyclooctyl-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(1-indanyl)-7-quinolinecarboxamide;

N-Cycloheptyl-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(diphenylmethyl)-7-quinolinecarboxamide;

8-Hydroxy-N-(1-phenylethyl)-7-quinolinecarboxamide;

N-(2-Heptyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(2-octyl)-7-quinolinecarboxamide;

N-(4-tert-Butylcyclohexyl)-8-hydroxy-7-quinolinecarboxamide;

S-N-[7-(7-Carboxy-8-hydroxy)quinolyl]-tyrosine, tert-butyl ester;

R-8-Hydroxy-N-[1-(1-naphthyl)ethyl]-7-quinolinecarboxamide;

S-8-Hydroxy-N-[1-(1-naphthyl)ethyl]-7-quinolinecarboxamide;

R-8-Hydroxy-N-(1-phenylethyl)-7-quinolinecarboxamide;

R-N-[1-(4-Bromophenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide;

S-N-[1-(4-Bromophenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide;

N-[2-((1S,2R)-1,2-Diphenyl-1-hydroxy)ethyl]-8-hydroxy-7-quinoline-carboxamide;

N-[2-((1R,2S)-1,2-Diphenyl-1-hydroxy)ethyl]-8-hydroxy-7-quinoline-carboxamide;

8-Hydroxy-N-(2-exo-norboranyl)-7-quinolinecarboxamide;

8-Hydroxy-N-[(4-hydroxy-3-methoxyphenyl)methyl]-7-quinolinecarboxamide;

S-8-Hydroxy-N-[2-(1-hydroxy-3-[4-hydroxyphenyl])propyl]-7-quinoline-

carboxamide;

S-N-[7-(7-Carboxy-8-hydroxy)quinolyl]-serine, benzyl ester;

N-[7-(7-Carboxy-8-hydroxy)quinolyl]-tyrosine, methyl ester;

N-[7-(7-Carboxy-8-hydroxy)quinolyl]-tryptophan, ethyl ester;

N-(2-Adamantyl)-8-hydroxy-7-quinolinecarboxamide;

S-O-Benzyl-N-[7-(7-Carboxy-8-hydroxy)quinolyl]-tyrosine, methyl ester;

S-N-[7-(7-Carboxy-8-hydroxy)quinolyl]-4-nitrophenylalanine, methyl ester;

N-[(2,5-Difluorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-[1-(1-hydroxymethyl)cyclopentyl]-7-quinolinecarboxamide;

N-[(3-Chloro-4-flurorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

N-[(2,3-Dichlorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

N-[(2,5-Dichlorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

N-(2-[([2-chloro-6-fluorophenyl]methyl)thio]ethyl)-8-hydroxy-7-quinoline-carboxamide;

N-[2-([(2,6-Dichlorophenyl)methyl]thio)ethyl]-8-hydroxy-7-quinoline-carboxamide;

N-[(2-Chloro-6-phenoxy-phenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-[(2-[(2-[hydroxymethyl]phenyl)thio]phenyl)methyl]-7-quinoline-carboxamide;

8-Hydroxy-N-(2-[(4-[2-trifluoromethyl]quinolyl)thio]ethyl)-7-quinoline-carboxamide;

N-(Cyclohexylmethyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(1-naphthalenylmethyl)-7-quinolinecarboxamide;

N-[2-(3-Chlorophenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-[[3-(trifluoromethyl)phenyl]methyl]-7-quinolinecarboxamide;

8-Hydroxy-N-[2-(phenylthio)ethyl]-7-quinolinecarboxamide;

N-Heptyl-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(4-methoxyphenyl)-7-quinolinecarboxamide monohydrochloride;

N-(4-Cyanophenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-(3-Chlorophenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-[3,5-Bis(trifluoromethyl)phenyl]-8-hydroxy-7-quinolinecarboxamide mono-hydrochloride;

N-Fluoren-2-yl-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-[[4-[(3,4-Dimethylisoxazol-5-ylamino)sulfonyl]phenyl]-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-1,3-Benzodioxol-5-yl-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

8-Hydroxy-N-[4-(trifluoromethyl)coumarin-7-yl]-7-quinolinecarboxamide monohydrochloride;

N-(3-Fluorophenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-(3,4-Difluorophenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-(3,5-Difluorophenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

8-Hydroxy-N-(4-nitrophenyl)-7quinolinecarboxamide;

N-[2-Chloro-5-(trifluoromethyl)phenyl]-8-hydroxy-7-quinolinecarboxamide;

N-(5-Fluoro-2-methylphenyl)-8-hydroxy-7-quinolinecarboxamide;

N-(2,4-Dimethylphenyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(3-methylphenyl)-7-quinolinecarboxamide;

N-(2-Chloro-5-methoxyphenyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-naphth-2-yl-7-quinolinecarboxamide monohydrochloride;

8-Hydroxy-N-[4-[(indazo-6-ylamino)sulfonyl]phenyl]-7-quinolinecarboxamide monohydrochloride;

N-(3-Bromophenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-(3,4-Dichlorophenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-(3,5-Dichlorophenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

8-Hydroxy-N-(3-iodophenyl)-7-quinolinecarboxamide monohydrochloride;

N-(3-Benzoxyphenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

8-Hydroxy-N-[3-(methylmercapto)phenyl]-7-quinolinecarboxamide monohydrochloride;

N-(3,5-Dimethylphenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-(4-Bromophenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

8-Hydroxy-N-(4-phenoxyphenyl)-7-quinolinecarboxamide monohydrochloride;

N-(3,5-Dichloro-4-hydroxyphenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

8-Hydroxy-N-biphen-4-yl-7-quinolinecarboxamide monohydrochloride;

8-Hydroxy-N-[4-(4-nitrophenylmercapto)phenyl]-7-quinolinecarboxamide monohydrochloride;

N-(4-Benzoxyphenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

8-Hydroxy-N-[4-(4-nitrophenoxy)phenyl]-7-quinolinecarboxamide monohydrochloride;

N-(4-cyclohexylphenyl)-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

8-Hydroxy-N-naphth-1-yl-7-quinolinecarboxamide;

N-(4-Bromonaphth-1-yl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(2-pyrrol-1-ylphenyl)-7-quinolinecarboxamide;
8-Hydroxy-N-indol-5-yl-7-quinolinecarboxamide;
N-Benzo-2,1,3-thiadiazol-4-yl-8-hydroxy-7-quinolinecarboxamide;
8-Hydroxy-N-quinolin-5-yl-7-quinolinecarboxamide;
8-Hydroxy-N-quinolin-8-yl-7-quinolinecarboxamide;
8-Hydroxy-N-isoquinolin-5-yl-7-quinolinecarboxamide;
8-Hydroxy-N-(4-methoxy-2-nitrophenyl)-7-quinolinecarboxamide;
8-Hydroxy-N-[2-nitro-4-(trifluoromethyl)phenyl]-7-quinolinecarboxamide;
N-(3,5-Dinitrophenyl)-8-hydroxy-7-quinolinecarboxamide;
8-Hydroxy-N-[4-nitro-2-(trifluoromethyl)phenyl]-7-quinolinecarboxamide;
N-(2-Cyanophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(2-Bromophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(2,4-Dibromophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(2,5-Dibromophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(2-Fluorophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(4-Cyano-2,3,5,6-tetrafluorophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(2,4-Difluorophenyl)-8-hydroxy-7-quinolinecarboxamide;
8-Hydroxy-N-(2,4,5-trifluorophenyl)-7-quinolinecarboxamide;
N-(2-Chlorophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(4-Bromo-2-chlorophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(2,4-Dichlorophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(2-Chloro-4-nitrophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(2,5-Dichlorophenyl)-8-hydroxy-7-quinolinecarboxamide;
N-(2-Chloro-5-methylphenyl)-8-hydroxy-7-quinolinecarboxamide;
8-Hydroxy-N-(2-iodophenyl)-7-quinolinecarboxamide;
8-Hydroxy-N-(2-nitrophenyl)-7-quinolinecarboxamide;
N-(5-Chloro-2-hydroxyphenyl)-8-hydroxy-7-quinolinecarboxamide;
8-Hydroxy-N-(2-hydroxy-5-nitrophenyl)-7-quinolinecarboxamide;
8-Hydroxy-N-(2-hydroxy-5-methylphenyl)-7-quinolinecarboxamide;
N-Biphen-2-yl-8-hydroxy-7-quinolinecarboxamide;
8-Hydroxy-N-[2-(methylmercapto)phenyl]-7-quinolinecarboxamide;
8-Hydroxy-N-[2-(trifluoromethyl)phenyl]-7-quinolinecarboxamide;
8-Hydroxy-N-(2-methylphenyl)-7-quinolinecarboxamide;
8-Hydroxy-N-(2-methyl-3-nitrophenyl)-7-quinolinecarboxamide;
N-(2,3-Dimethylphenyl)-8-hydroxy-7-quinolinecarboxamide;
8-Hydroxy-N-(2,4,6-trimethylphenyl)-7-quinolinecarboxamide;

N-(2-Ethylphenyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-[3-(trifluoromethyl)phenyl]-7-quinolinecarboxamide;

8-Hydroxy-N-(2-methyl-4-fluorophenyl)-7-quinolinecarboxamide;

N-(4-Chloro-2-methylphenyl)-8-hydroxy-7-quinolinecarboxamide;

N-(4-Chloro-2-methoxy-5-methylphenyl)-8-hydroxy-7-quinolinecarboxamide;

N-(4-tert-Butylphenyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(4-propylphenyl)-7-quinolinecarboxamide;

N-(2,6-Di-i-propylphenyl)-8-hydroxy-7-quinolinecarboxamide;

N-(4-Bromo-2-fluorophenyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(2,3,4-trifluorophenyl)-7-quinolinecarboxamide;

N-(2-Fluoro-4-iodophenyl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-[4-(hydroxymethyl)phenyl]-7-quinolinecarboxamide;

N-Benzo-1,3-thiazol-6-yl-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-indazol-5-yl-7-quinolinecarboxamide;

8-Hydroxy-N-[2-methoxy-5-(trifluoromethyl)phenyl]-7-quinolinecarboxamide;

8-Hydroxy-N-(5-iodo-2-methylphenyl)-7-quinolinecarboxamide;

N-(2-Chloro-4-cyanophenyl)-8-hydroxy-7-quinolinecarboxamide;

N-(5-Bromopyridin-2-yl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-(8-hydroxyquinolin-2-yl)-7-quinolinecarboxamide;

8-Hydroxy-N-[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]-7-quinoline-carboxamide;

N-(5-Bromo-1,3,4-thiadiazol-2-yl)-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-[5-(2-phenylethyl)amino-1,3,4-thiadiazol-2-yl]-7-quinoline-carboxamide monohydrochloride;

N-[5-(Butylamino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-[5-({2-[(tert-Butoxy)amido]ethyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-[5-[(1,3-Benzodioxol-5-cyanomethyl)amino]-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

(S)-N-[5-({Benzyl[(methoxy)carbonyl]methyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

(R)-N-[5-({Benzyl[(methoxy)carbonyl]methyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-[5-({1,3-Benzodioxol-5-yl-[(tert-butoxy)carbonyl]methyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide semihydrate;

N-[5-({1,3-Benzodioxol-4-yl-[(tert-butyloxy)carbonyl]methyl} amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide semihydrate;

N-[5-[(1,3,-Benzodioxol-5-ylmethyl)amino]-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide;

(S)-N-[5-({[(tert-Butoxy)carbonyl]-[4-hydroxybenzyl]methyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide;

(S)-N-[5-({5-[Benzoxy]amido-1-[(tert-butoxy)carbonyl]pentyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide;

(S)-N-[5-({1-[(tert-Butoxy)carbonyl]-3-methylbutyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide monohydrate;

(S)-N-(5-{2-[(tert-Butoxy)carbonyl]pyrrolidin-N-yl}-1,3,4-thiadiazol-2-yl)-8-hydroxy-7-quinolinecarboxamide semihydrate;

(S)-N-[5-({1-[(tert-Butoxy)carbonyl]-3-[methylmercapto]propyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide monohydrate;

(S)-N-[5-({1-[(tert-Butoxy)carbonyl]-2-indol-3-ylethyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide monohydrate;

(S)-N-(5-{1-[(tert-Butoxy)carbonyl]-2-[4-(tert-butoxy)phenyl]ethyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide monohydrate;

(S)-N-[5-({1,2-Di-[(tert-butoxy)carbonyl]ethyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide monohydrate;

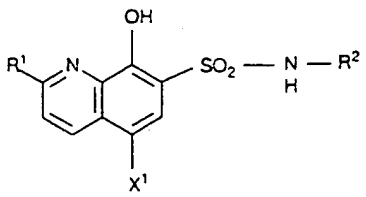
N-{2-[(8-Hydroxyquinolin-7-yl)amido]-1,3,4-thiadiazol-5-yl}-2-benzo-1,3-dioxol-5-ylglycine monohydrotrifluoroacetate;

N-{2-[(8-Hydroxyquinolin-7-yl)amido]-1,3,4-thiadiazol-5-yl}-2-benzo-1,3-dioxol-4-ylglycine monohydrotrifluoroacetate; and

N-{2-[(8-Hydroxyquinolin-7-yl)amido]-1,3,4-thiadiazol-5-yl}tryptophan monohydrotrifluoroacetate.

8. An antiviral pharmaceutical composition which comprises a pharmaceutically acceptable excipient and an effective amount of a compound of formula I of claim 1.

9. A compound of the formula III



III

wherein R^1 is

a) -H,

b) -C_1-C_5 alkyl, or

c) -CH=CH-aryl;

wherein R^2 is

a) -C_1-C_{10} alkyl,

b) -$(CH_2)_nR^3$,

c) -$CH(R^4)R^3$, or

d) -$(CH_2)_n$-X^2-R^3;

wherein R^3 is

a) -aryl,

b) -het substituted by zero (0) to two (2) R^5, or

c) -C_3-C_6 cycloalkyl;

wherein R^4 is

a) -C_1-C_5 alkyl, or

b) -aryl;

wherein X^1 is

a) -H,

b) -F,

c) -Cl,

d) -Br, or

e) -I;

wherein X^2 is

a) -O-,

b) -S-, or

c) -NH-;

wherein n is zero (0) to four (4) inclusive;

wherein aryl is

a) phenyl substituted by zero (0) to two (2) R^6, or

b) naphthyl substituted by zero (0) to two (2) R^5;

wherein het is a 5-, 6- or 7-membered saturated or unsaturated ring containing from

one (1) to three (3) heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur; and including any bicyclic group in which any of the above heterocyclic rings is fused to a benzene ring or another heterocycle; and the ring may be connected through a carbon or secondary nitrogen in the ring or an exocyclic nitrogen; and if chemically feasible, the nitrogen and sulfur atoms may be in the oxidized forms; and if chemically feasible, the nitrogen atom may be in the protected form;

wherein R^5 is

a) -H,

b) -C_1-C_5 alkyl,

c) -F,

d) -Cl,

e) -OCH_3,

f) -CF_3,

g) -$NHSO_2$-het substituted by zero (0) to two (2) -C_1-C_5 alkyl, or

h) -$NHSO_2$-phenyl;

or a pharmaceutically acceptable salt thereof.

10. The compound of claim 9 of formula III

wherein R^1 is

a) -H,

b) -CH_3, or

c) -CH=CH-phenyl;

wherein R^2 is

a) -$(CH_2)_nR^3$,

b) -$(CH_2)_n$-X^2-R^3, or

c) -$CH(R^4)R^3$;

wherein R^3 is

a) -phenyl substituted by zero (0) to two (2) R^5,

b) -het,

c) -naphthyl, or

d) -C_{3-6} cycloalkyl;

wherein R^4 is

a) -CH_3, or

b) -phenyl;

wherein R^5 is

a) -F,

b) -Cl,

c) -$NHSO_2$-phenyl;

wherein X^1 is

a) -Cl, or

b) -Br;

wherein X^2 is

a) -O-, or

b) -S-;

wherein het is

a) -imidazolyl, or

b) -indolyl.

11. A compound of claim 9 selected from the group consisting of:

5-Chloro-*N*-[(4-chlorophenyl)methyl]-8-hydroxy-2-methyl-7-quinolinesulfonamide;

5-Chloro-*N*-[(4-chlorophenyl)methyl]-8-hydroxy-7-quinolinesulfonamide;

5-Chloro-*N*-[(4-chlorophenyl)methyl]-2-(1,1-dimethylethyl)-8-hydroxy-7-quinolinesulfonamide;

5-Chloro-*N*-(4-chlorophenyl)-8-hydroxy-7-quinolinesulfonamide;

5-Chloro-8-hydroxy-*N*-(3-phenylpropyl)-7-quinolinesulfonamide monohydrobromide;

5-Chloro-8-hydrxoy-N-(phenylmehtyl)-7-quinolinesulfonamide;

5-Chloro-N-[2-(4-chlorophenyl)ethyl]-8-hydroxy-7-quinolinesulfonamide;

5-Bromo-8-hydroxy-N-(phenylmethyl)-7-quinolinesulfonamide;

5-Chloro-N-[2-(2,4-dichlorophenyl)ethyl]-8-hydroxy-2-methyl-7-quinolinesulfonamide;

5-Chloro-8-hydroxy-2-methyl-N-[2-(phenylthio)ethyl]-7-quinolinesulfonamide;

5-Chloro-8-hydroxy-2-methyl-N-(phenylmethyl)-7-quinolinesulfonamide;

5-Chloro-N-(4-chlorophenyl)-8-hydroxy-2-methyl-7-quinolinesulfonamide;

5-Chloro-8-hydroxy-2-methyl-N-octyl-7-quinolinesulfonamide;

5-Chloro-N-[4-fluorophenyl)methyl]-8-hydroxy-2-methyl-7-quinolinesulfonamide;

5-Chloro-8-hydroxy-2-methyl-N-(1-naphthalenylmethyl)-7-quinolinesulfonamide;

5-Chloro-N-(cyclohexylmethyl)-8-hydroxy-2-methyl-7-quinolinesulfonamide;

5-Chloro-N-[(3-chlorophenyl)methyl]-8-hydroxy-2-methyl-7-quinoline-sulfonamide;

5-Chloro-8-hydroxy-2-methyl-N-(3-phenylpropyl)-7-quinolinesulfonamide;

5-Chloro-8-hydroxy-2-methyl-N-(2-phenoxyethyl)-7-quinolinesulfonamide;

5-Chloro-8-hydroxy-2-methyl-N-[3-(4-morpholinyl)propyl]-7-quinoline-sulfonamide;

5-Chloro-8-hydroxy-N-[3-(1H-imidazol-1-yl)propyl]-2-methyl-7-quinoline-sulfonamide;

5-Chloro-N-(diphenylmethyl)-8-hydroxy-2-methyl-7-quinolinesulfonamide;

(R)-5-Chloro-8-hydroxy-2-methyl-N-(1-phenylethyl)-7-quinolinesulfonamide;

(S)-5-Chloro-8-hydroxy-2-methyl-N-(1-phenylethyl)-7-quinolinesulfonamide;

5-Chloro-8-hydroxy-2-methyl-N-(2-pyridinylmethyl)-7-quinolinesulfonamide;

5-Chloro-N-[2-(4-chlorophenyl)ethyl]-8-hydroxy-2-methyl-7-quinoline-sulfonamide;

5-Chloro-8-hydroxy-2-methyl-N-(4-phenylbutyl)-7-quinolinesulfonamide;

5-Chloro-8-hydroxy-2-methyl-N-[2-(2-pyridinyl)ethyl]-7-quinolinesulfonamide;

(E)-5-Chloro-8-hydroxy-2-(2-phenylethenyl)-N-[2-(phenylthio)ethyl]-7-quinolinesulfonamide;

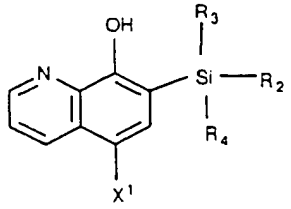
5-Chloro-8-hydroxy-N-[2-1H-indol-3-yl)ethyl]-2-methyl-7-quinoline-sulfonamide;

5-Chloro-8-hydroxy-2-methyl-N-[2-[4-[[(3,5-dimethyl-4-isoxazolyl)sulfonyl]-amino]phenyl]ethyl]-7-quinolinesulfonamide;

5-Chloro-8-hydroxy-2-methyl-N-[2-[4-[(phenylsulfonyl)amino]phenyl]ethyl]-7-quinolinesulfonamide; and

5-Flouro-8-hydroxy-N-(phenylmethyl)-7-quinolinesulfonamide.

12. The compound of the formula IV



IV

where X^1 is

a) -H,

b) -F,

c) -Cl,

d) -Br, or

e) -I;

wherein R_2, R_3 and R_4 may be the same or different and are

a) -C_1-C_5 alkyl, or

b) -phenyl.

13. A compound of claim 12 selected from the group consisting of:

5-Chloro-7-[(1,1-dimethylethyl)dimethylsilyl]-8-quinolinol;

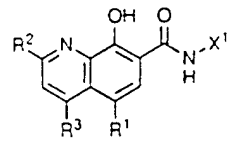
5-Chloro-7-[(tris(1-methylethyl)silyl]-8-quinolinol;

5-Chloro-7-[(1,1,-dimethylethyl)diphenylsilyl]-8-quinolinol;

5-Chloro-7-(trimethylsilyl)-8-quinolinol; and

5-Chloro-7-(dimethylphenylsilyl)-8-quinolinol.

14. A compound of claim 1 of formula V



V

wherein X^1 is

a) phenyl substituted by zero (0) to three (3) R^4,

b) naphthyl substituted by zero (0) to three (3) R^4,

c) fluorenyl substituted by zero (0) to three (3) R^4,

d) het substituted by zero (0) to one (1) R^5, or

e) 4-cyano-2,3,5,6-tetrafluorophenyl;

wherein R^4 is

a) -F,

b) -Cl,

c) -Br,

d) -I,

e) -NO_2,

f) -CN,

g) -CF_3,

h) -C_1-C_6 alkyl,

i) phenyl,

j) cyclohexyl,

k) hydroxymethyl,

l) $-OR^{10}$,

m) $-SR^{10}$, or

n) $-SO_2NH$-het;

wherein het is

a) 1,3-benzodioxol-4-yl,

b) 1,3-benzodioxo-5-yl,

c) coumarinyl,

d) indazoyl,

e) indolyl,

f) benzothiazolyl,

g) benzothiadiazolyl,

h) quinolinyl,

i) pyridinyl,

j) 1,3,4-thiadiazol-2-yl, or

k) isoxazolyl substituted with one or two C_1-C_4 alkyl;

wherein R^5 is

a) -F,

b) -Cl,

c) -Br,

d) -I,

e) $-CF_3$,

f) $-C_1$-C_4-alkyl, or

g) $-C_1$-C_2-alkylsubstituted with an aryl;

wherein R^{10} is

a) hydrogen,

b) $-C_1$-C_4 alkyl,

c) phenyl,

d) benzyl, or

e) 4-nitrophenyl.

15. A compound of claim 14

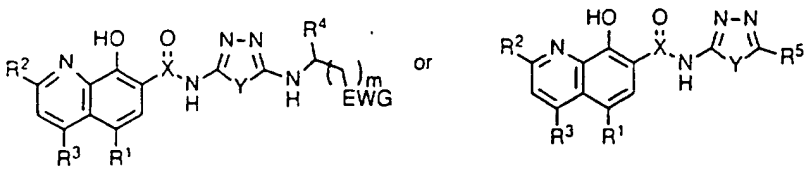
wherein het is

a) indazoyl,

b) indoyl, or

c) isoxazolyl substituted with one (1) or two (2) C_1-C_4 alkyl.

16. A compound of formula VI or VII



VI VII

wherein X is

a) -C, or

b) -SO;

wherein Y is

a) -NH,

b) -O, or

c) -S;

wherein EWG is an electron withdrawing group;

wherein R^1, R^2 and R^3 are as defined in claim 1;

wherein R^4 is

a) -H,

b) -$(CH_2)_n$-CO_2-C_1-C_6 alkyl,

c) -$(CH_2)_m$-phenyl optionally substituted with one (1) or two (2) R^7,

d) -$(CH_2)_m$-het,

e) -C_1-C_6 alkyl optionally substituted by one R^6,

f) -C_1-C_4 alkyl-NH-$COOCH_2$-benzyl, or

g) -C_1-C_4 alkyl-S-CH_3;

wherein R^5 is pyrrolidin-1-yl optionally substituted with EWG or R^6;

wherein n is zero (0) to three (3);

wherein m is zero (0) to one (1);

wherein -het is a 5-, 6- or 7-membered saturated or unsaturated ring containing from one (1) to three (3) heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur; and including any bicyclic group in which any of the above heterocyclic rings is fused to a benzene ring or another heterocyclic;

wherein R^6 is

a) hydroxy,

b) -C_1-C_6 alkyloxy,

c) mercapto, or

d) -C_1-C_6 alkylmercapto;

wherein R^7 is

a) hydroxy, or

b) -C_1-C_6 alkyloxy.

17. A compound of claim 16

wherein R^7 is t-butyl;

wherein EWG is

a) -NH-$CO_2C(CH_3)_3$,

b) -CN,

c) -COX^2-C_1-C_6 alkyl, or

d) -COOH;

wherein X^2 is

a) -O-, or

b) -NH;

wherein het is

a) 1,3-benzodioxol-4-yl,

b) 1,3-benzodioxol-5-yl,

c) indolyl.

18. The compound of claim 16 selected from the group consisting of:

N-[5-({2-[(tert-Butoxy)amido]ethyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-[5-[(1,3-Benzodioxol-5-cyanomethyl)amino]-1,3,4-thiadiazol-2-yl}-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

(S)-N-[5-({Benzyl[(methoxy)carbonyl]methyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

(R)-N-[5-({Benzyl[(methoxy)carbonyl]methyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide monohydrochloride;

N-[5-({1,3-Benzodioxol-5-yl-[(tert-butoxy)carbonyl]methyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide semihydrate;

N-[5-({1,3-Benzodioxol-4-yl-[(tert-butyloxy)carbonyl]methyl} amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide semihydrate;

N-{5-[(1,3,-Benzodioxol-5-ylmethyl)amino]-1,3,4-thiadiazol-2-yl}-8-hydroxy-7-quinolinecarboxamide;

(S)-N-[5-({[(tert-Butoxy)carbonyl]-[4-hydroxybenzyl]methyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide;

(S)-N-[5-({5-[Benzoxy]amido-1-[(tert-butoxy)carbonyl]pentyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide;

(S)-N-[5-({1-[(tert-Butoxy)carbonyl]-3-methylbutyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide monohydrate;

(S)-N-(5-{2-[(tert-Butoxy)carbonyl]pyrrolidin-N-yl}-1,3,4-thiadiazol-2-yl)-8-hydroxy-7-quinolinecarboxamide semihydrate;

(S)-N-[5-({1-[(tert-Butoxy)carbonyl]-3-[methylmercapto]propyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide monohydrate;

(S)-N-[5-({1-[(tert-Butoxy)carbonyl]-2-indol-3-ylethyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide monohydrate;

(S)-N-(5-{1-[(tert-Butoxy)carbonyl]-2-[4-(tert-butoxy)phenyl]ethyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide monohydrate;

(S)-N-[5-({1,2-Di-[(tert-butoxy)carbonyl]ethyl}amino)-1,3,4-thiadiazol-2-yl]-8-hydroxy-7-quinolinecarboxamide monohydrate;

N-{2-[(8-Hydroxyquinolin-7-yl)amido]-1,3,4-thiadiazol-5-yl}-2-benzo-1,3-dioxol-5-ylglycine monohydrotrifluoroacetate;

N-{2-[(8-Hydroxyquinolin-7-yl)amido]-1,3,4-thiadiazol-5-yl}-2-benzo-1,3-dioxol-4-ylglycine monohydrotrifluoroacetate; and

N-{2-[(8-Hydroxyquinolin-7-yl)amido]-1,3,4-thiadiazol-5-yl}tryptophan monohydrotrifluoroacetate.

19. The compound of claim 1 selected from the group consisting of:

N-[(4-Chlorophenyl)methyl]-8-hydroxy-4-methyl-2-(trifluoromethyl)-7-quinolinecarboxamide;

N-(4-Chlorophenyl)-8-hydroxy-2-methyl-7-quinolinecarboxamide;

N-[(4-Chlorophenyl)methyl]-8-hydroxy-5-nitro-7-quinolinecarboxamide;

N-[4,5-dihydro-[5-(3-nitrophenyl)]-4-oxo-2-thiazolyl]-8-hydroxy-7-quinolinecarboxamide;

N-[5-[3-(4-Chlorophenyl)methyl]-4,5-dihydro-4-oxo-2-thiazolyl]-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-N-[2-(phenylthio)ethyl]-2-(trifluoromethyl)-7-quinolinecarboxamide;

N-[(4-Chlorophenyl)methyl]-4,8-dihydroxy-2-methyl-7-quinolinecarboxamide;

(E)-8-Hydroxy-2-(2-phenylethenyl)-N-(3-phenylpropyl)-7-quinolinecarboxamide;

8-Hydroxy-quinoline-7-carboxylic acid trans-4-hydroxy-cyclohexylamide;

[4-(3,4-Dichlorophenyl)-piperazin-yl]-(8-hydroxy-quinolin-7-yl)-methanone;

8-Hydroxy-quinoline-7-carboxylic acid bezo[1,3]dioxol-5-ylmethylamide;

N-Hexyl-8-hydroxy-7-quinolinecarboxamide;

8-Hydroxy-quinoline-7-carboxylic acid 2-(5-nitro-pyridin-2-ylamino)-ethylamide;

8-Hydroxy-N-[2-(phenyloxy)ethyl]-7-quinolinecarboxamide;

8-Hydroxy-quinoline-7-carboxylic acid 2-(R)-hydroxy-1-(S)-methyl-2-phenyl-ethylamide;

(S)-2-[(8-Hydroxy-quinoline-7-carbonyl)-amino]-3-phenyl-propionic acid ethyl ester;

8-Hydroxy-quinoline-7-carboxylic acid cyano-phenylylamide;

(S)-2-[(8-Hydroxy-quinoline-7-carbonyl)-amino]-4-methyl-penatnoic acid tert-butyl ester;

(S,S)-8-Hydroxy-quinoline-7-carboxylic acid 2-hydroxy-1-(hydroxy-phenyl-methyl)-ethylamide;

(S,S)-8-Hydroxy-quinoline-7-carboxylic acid 1-hydroxymethyl-2-methyl-butylamide;

(S)-8-Hydroxy-quinoline-7-carboxylic acid 1-benzyl-2-hydroxy-ethylamide;

8-Hydroxy-quinoline-7-carboxylic acid thiophen-2-ylmethylamide;

(R)-8-Hydroxy-quinoline-7-carboxylic acid 2-hydroxy-1-phenyl-ethylamide;

N-[2-(2-chlorophenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide;

N-[(3,4-Difluorophenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

N-[(2-Chloro-6-fluoro-phenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

N-[(2-Chloro-4-fluoro-phenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

N-[(3,5-Dichloro-phenyl)methyl]-8-hydroxy-7-quinolinecarboxamide;

(S)-8-Hydroxy-quinoline-7-carboxylic acid 2-hydroxy-1-phenyl-ethylamide;

N-[2-(2-fluorophenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide;

N-[2-(4-fluorophenyl)ethyl]-8-hydroxy-7-quinolinecarboxamide;

trans-8-Hydroxy-quinoline-7-carboxylic acid 4-[(8-hydroxy-quinoline-7-carbonyl)-amino]-cyclohexyl ester;

INTERNATIONAL SEARCH REPORT

Int. ional Application No
PC./US 97/15310

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 C07D215/48 A61K31/47 C07D417/12 C07D417/14 C07D215/36
C07D403/12 C07F7/10 C07D405/06 C07D215/60 C07D401/12
C07D413/12 C07D405/12

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 C07D A61K C07F

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication where appropriate of the relevant passages	Relevant to claim No
X	CHEMICAL ABSTRACTS, vol. 82, no. 15, 14 April 1975 Columbus, Ohio, US; abstract no. 98387x, KEMP,D.S. ET AL: "Peptide synthesis ..." XP002050888 * RN 55477-69-5 * see abstract & TETRAHEDRON, vol. 30, no. 20, - 1974 pages 3677-3688, ---	1
A	US 4 959 363 A (MARK P. WENTLAND) 25 September 1990 cited in the application see claims -----	1,6

☐ Further documents are listed in the continuation of box C

☒ Patent family members are listed in annex

Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
19 December 1997	15/01/1998

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax (+31-70) 340-3016	Van Bijlen, H

Form PCT/ISA/210 (second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No
PCT/US 97/15310

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 4959363 A	25-09-90	NONE	

Form PCT/ISA/210 (patent family annex) (July 1992)